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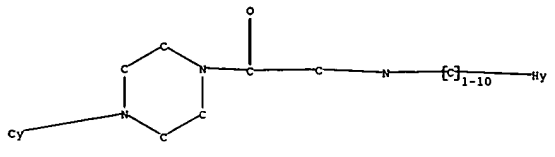

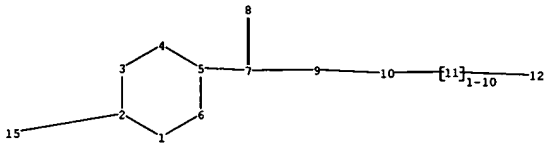

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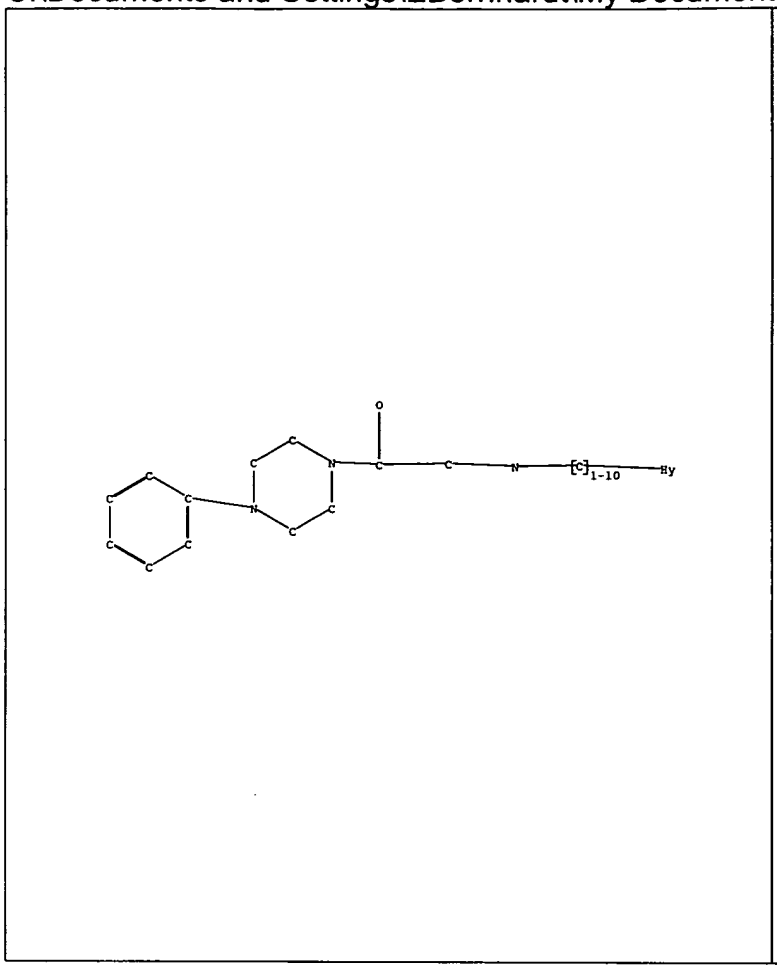
7 8 9 10 11 12 15
ring nodes :
1 2 3 4 5 6
chain bonds :
2-15 5-7 7-8 7-9 9-10 10-11 11-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 2-15 3-4 4-5 5-6 5-7 7-8 9-10 10-11 11-12
exact bonds :
7-9
isolated ring systems :
containing 1 :

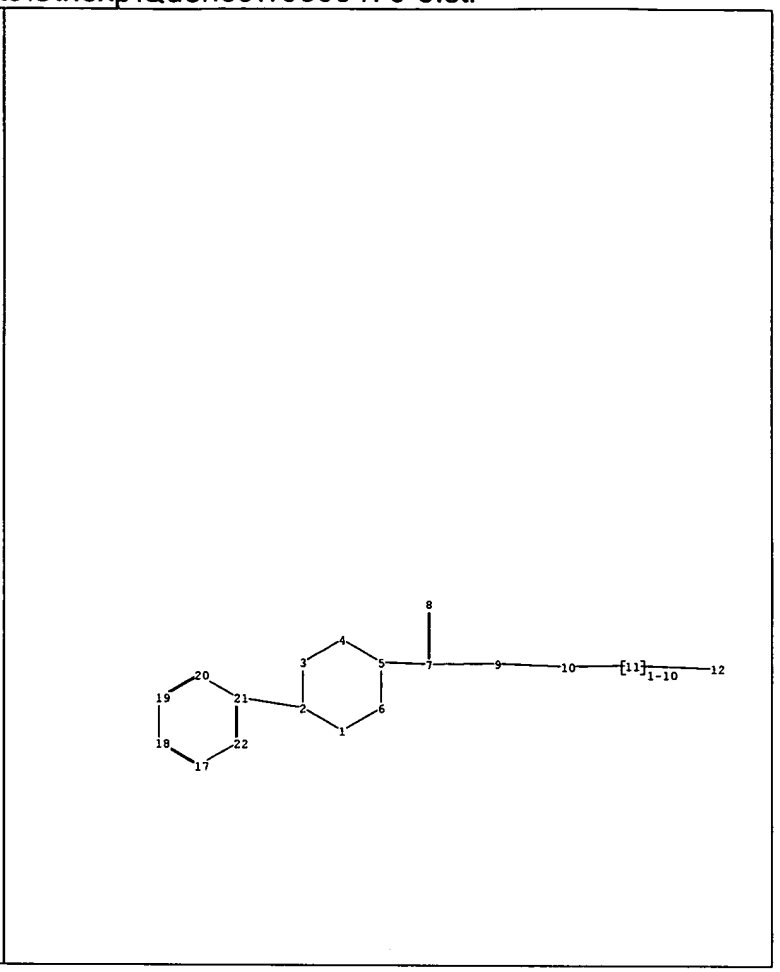
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:Atom 15:CLASS
Generic attributes :
15:
Type of Ring System : Monocyclic

Element Count :

Node 12: Limited
N,N1-2
O,O0
S,S0

C:\Documents and Settings\EBernhardt\My Documents\Stnexp\Queries\10500476-3.str





chain nodes :
7 8 9 10 11 12
ring nodes :
1 2 3 4 5 6 17 18 19 20 21 22
chain bonds :
2-21 5-7 7-8 7-9 9-10 10-11 11-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22
exact/norm bonds :
1-2 1-6 2-3 2-21 3-4 4-5 5-6 5-7 7-8 9-10 10-11 11-12
exact bonds :
7-9
normalized bonds :
17-18 17-22 18-19 19-20 20-21 21-22
isolated ring systems :
containing 1 : 17 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:Atom
17:CLASS 18:Atom 19:Atom 20:CLASS 21:Atom 22:Atom
Element Count :
Node 12: Limited
N,N1-2

O,O0
S,S0

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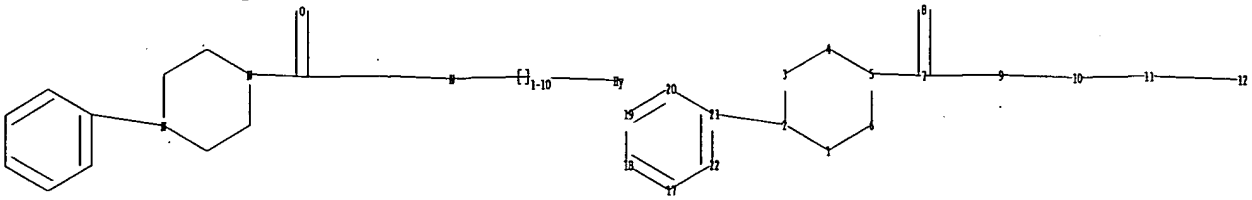

chain nodes :
7 8 9 10 11 12
ring nodes :
1 2 3 4 5 6 17 18 19 20 21 22
chain bonds :
2-21 5-7 7-8 7-9 9-10 10-11 11-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22
exact/norm bonds :
1-2 1-6 2-3 2-21 3-4 4-5 5-6 5-7 7-8 9-10 10-11 11-12
exact bonds :
7-9
normalized bonds :
17-18 17-22 18-19 19-20 20-21 21-22
isolated ring systems :
containing 1 : 17 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:Atom 17:CLASS 18:Atom 19:Atom 20:CLASS 21:Atom 22:Atom
Element Count :
Node 12: Limited
N,N1-2
O,O0
S,S0

L4 STRUCTURE UPLOADED

=> s l4 sub=l3 full
FULL SUBSET SEARCH INITIATED 15:04:39 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 1497 TO ITERATE

100.0% PROCESSED 1497 ITERATIONS 973 ANSWERS
SEARCH TIME: 00.00.01

L5 973 SEA SUB=L3 SSS FUL L4

=> s l3 not l5
L6 540 L3 NOT L5

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=> save l6
ENTER NAME OR (END):ten500476/a
ANSWER SET L6 HAS BEEN SAVED AS 'TEN500476/A'

=> file caplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                       208.54     208.75

FILE 'CAPLUS' ENTERED AT 15:05:11 ON 16 OCT 2006
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FILE COVERS 1907 - 16 Oct 2006  VOL 145 ISS 17
FILE LAST UPDATED: 15 Oct 2006  (20061015/ED)

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http://www.cas.org/infopolicy.html

=> s l6
L7          52 L6

=> d l7 1-52 bib abs fhitstr

L7   ANSWER 1 OF 52  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2006:821750  CAPLUS
DN   145:285357
TI   Kinetic evidence for tandemly arranged ligand binding sites in
     melanocortin 4 receptor complexes
AU   Kopanchuk, Sergei; Veiksina, Santa; Mutulis, Felikss; Mutule, Ilze;
     Yahorava, Sviatlana; Mandrika, Ilona; Petrovska, Ramona; Rinken, Ago;
     Wikberg, Jarl E. S.
CS   Institute of Organic and Bioorganic Chemistry, University of Tartu, Tartu,
     51014, Estonia
SO   Neurochemistry International (2006), 49(5), 533-542
     CODEN: NEUIDS; ISSN: 0197-0186
PB   Elsevier B.V.
DT   Journal
LA   English
AB   The melanocortin 4 receptor (MC4R) binding of the peptide analog of MSH,
     [125I]NDP-MSH, and the low mol. weight radionucleid 1-(D-1,2,3,4-
     tetrahydroisoquinoline-3-carboxy-D-4-125iodophenylalanyl)-4-cyclohexyl-4-
     [(1,2,4-triazol-1-yl)methyl]piperidine trifluoroacetate ([125I]THIQ) were
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compared. Kinetic anal. indicated heterogeneity in the binding of both radioligands, the binding apparently proceeding to two tandemly arranged interconnected mutually dependent binding sites. Steric considerations and BRET anal. of Rluc and GFP tagged receptors proposed that these sites are located on different subunits of receptor dimers, which form receptor complexes. According to the minimal model proposed, ligand binding proceeds consecutively to the two binding sites of the dimer. After binding of the first ligand conformational transformations of the complex occur, which is followed by binding of the second ligand. When both receptor units have bound [125I]NDP-MSH, the radioligand can be released only from one unit. The [125I]NDP-MSH bound to the remaining unit stays practically irreversibly bound due to a very slow retransformation rate of the transformed complex. The considerably faster binding of [125I]THIQ did not allow accurate kinetic differentiation of the two binding sites. However, addition of NDP-MSH as well as a fragment of the human agouti protein, hAGRP(83-132) to the preformed [125I]THIQ-MC4R complex drastically retarded the release of [125I]THIQ from the complex, blocking conformational transformations in the complex by binding into the second binding site. The consecutive binding of ligands to the MC4R dimers has substantial impact on the apparent ligand potencies, when determined in competition with the two different radioligands applied herein; the apparent potencies of the same ligand differing up to three orders of magnitude when assayed in competition with [125I]NDP-MSH or [125I]THIQ.

IT 766550-08-7
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(kinetic evidence for tandemly arranged ligand binding sites in human melanocortin 4 receptor complexes)

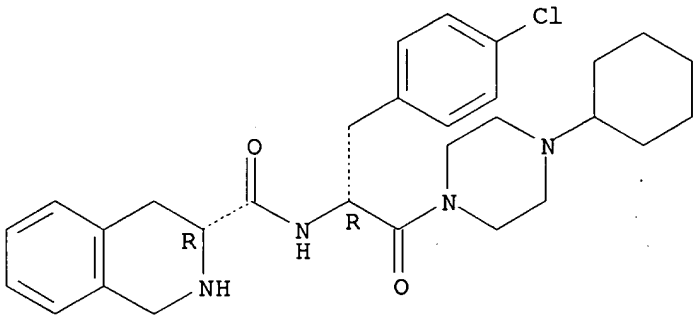
RN 766550-08-7 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-(4-cyclohexyl-1-piperazinyl)-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

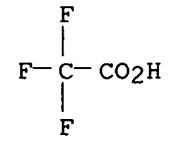
CRN 766550-07-6
CMF C29 H37 Cl N4 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

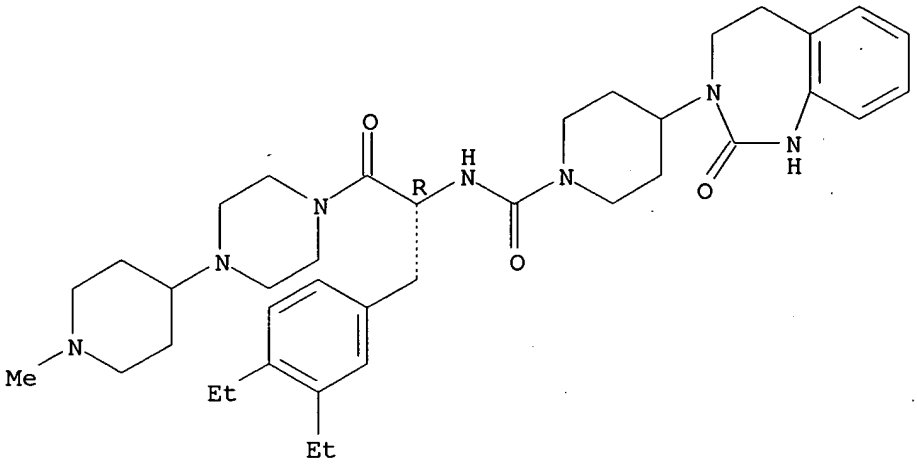
L7 ANSWER 2 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:656692 CAPLUS
DN 145:96491
TI Use of CGRP antagonists in treatment and prevention of hot flushes in prostate cancer patients
IN Rudolf, Klaus; Doods, Henri; Mueller, Stephan Georg; Zamponi, Annette; Lustenberger, Philipp; Stenkamp, Dirk; Arndt, Kirsten; Schaenzle, Gerhard; Brickl, Rolf-Stefan
PA Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006069754	A1	20060706	WO 2005-EP13974	20051223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102004063755	A1	20060720	DE 2004-102004063755	20041229
US 2006154921	A1	20060713	US 2005-301422	20051213
PRAI DE 2004-102004063755	A	20041229		

AB The invention discloses a method for treatment or prevention of hot flushes in men who underwent castration, e.g. due to androgen ablation treatment in prostate cancer therapy, comprising administration of an effective amount of a selected CGRP antagonist to the patient, as well as the use of the active compds. for the manufacture of a pharmaceutical composition
intended to be used in this method.
IT 686296-57-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CGRP antagonists for treatment and prevention of hot flushes in prostate cancer patients)
RN 686296-57-1 CAPLUS
CN 1-Piperidinecarboxamide, N-[(1R)-1-[(3,4-diethylphenyl)methyl]-2-[4-(1-

methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:636811 CAPLUS
DN 145:76714
TI Use of selected CGRP antagonists for combating menopausal hot flushes
IN Rudolf, Klaus; Doods, Henri; Mueller, Stephan Georg; Zamponi, Annette; Lustenberger, Philipp; Arndt, Kirsten; Schaenzle, Gerhard; Stenkamp, Dirk; Brickl, Rolf-Stefan
PA Boehringer Ingelheim International GmbH, Germany
SO U.S. Pat. Appl. Publ., 21 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2006142274	A1	20060629	US 2005-301446	20051213
DE 102004063752	A1	20060713	DE 2004-102004063752	20041229
WO 2006072415	A1	20060713	WO 2005-EP13972	20051223

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI DE 2004-102004063752 A 20041229
AB The invention discloses the use of selected CGRP antagonists, the physiol.

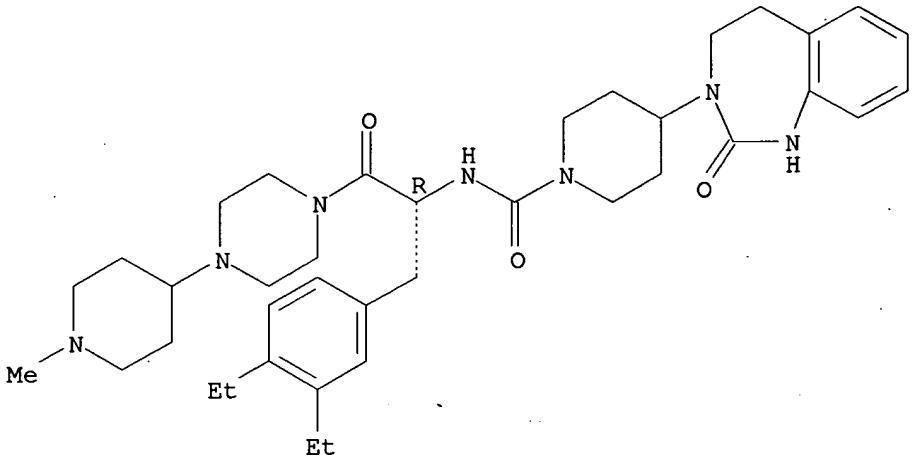
acceptable salts thereof or the hydrates or the hydrates of the salts thereof for combating menopausal hot flushes. A variety of formations are included.

IT 686296-57-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CGRP antagonists for combating menopausal hot flushes)

RN 686296-57-1 CAPLUS

CN 1-Piperidinecarboxamide, N-[(1R)-1-[(3,4-diethylphenyl)methyl]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 4 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:636805 CAPLUS

DN 145:96481

TI Use of selected CGRP antagonists in combination with other antimigraine drugs for the treatment of migraine

IN Rudolf, Klaus; Doods, Henri; Mueller, Stephan Georg; Zamponi, Annette; Lustenberger, Philipp; Arndt, Kirsten; Schaenzle, Gerhard; Stenkamp, Dirk; Brickl, Rolf-Stefan

PA Boehringer Ingelheim International GmbH, Germany

SO U.S. Pat. Appl. Publ., 22 pp.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2006142273	A1	20060629	US 2005-275169	20051216
DE 102004063753	A1	20060713	DE 2004-102004063753	20041229
WO 2006072413	A1	20060713	WO 2005-EP13964	20051223

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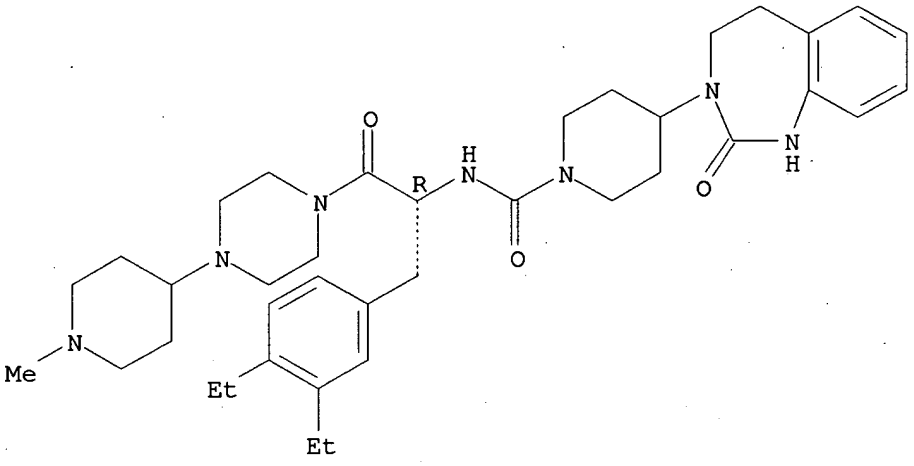
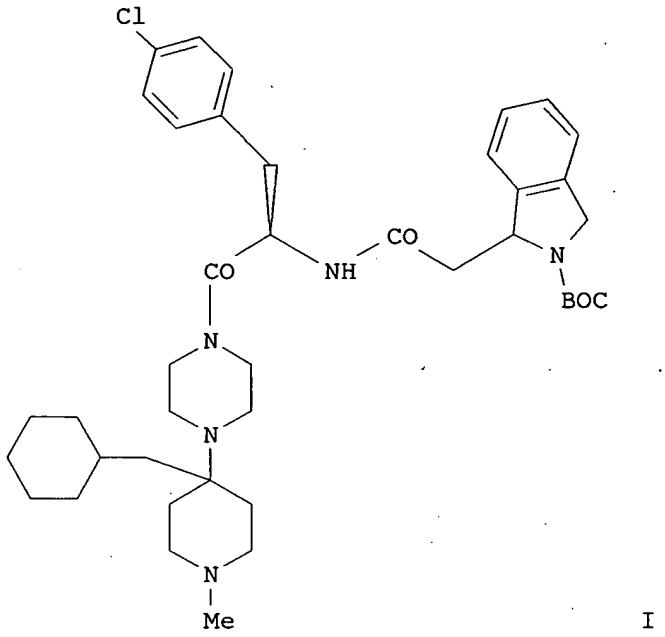
VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI DE 2004-102004063753 A 20041229
AB The invention discloses a process for the treatment or prevention of indications which are selected from among the group comprising headaches, migraine and cluster headaches, the process comprising the joint administration of a therapeutically effective amount of a selected CGRP antagonist (A), a physiol. acceptable salt thereof or a hydrate of the salt and a therapeutically effective amount of a second or third active anti-migraine medicament (B), particularly sumatriptan, zolmitriptan, or dihydroergotamine, or a physiol. acceptable salt thereof, as well as the corresponding pharmaceutical compns. and the preparation thereof. A variety of formulations are included.
IT 686296-57-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CGRP antagonists in combination with other antimigraine drugs for treatment of migraine)
RN 686296-57-1 CAPLUS
CN 1-Piperidinecarboxamide, N-[(1R)-1-[(3,4-diethylphenyl)methyl]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 5 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:548798 CAPLUS
DN 145:211324
TI Privileged structure based ligands for melanocortin receptors - 4,4-disubstituted piperidine derivatives
AU Kuklish, Steven L.; Backer, Ryan T.; Briner, Karin; Doecke, Christopher W.; Husain, Saba; Mullaney, Jeffrey T.; Ornstein, Paul L.; Zgombick, John M.; O'Brien, Thomas P.; Fisher, Matthew J.
CS Lilly Research Laboratories, A Division of Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN, 46258, USA

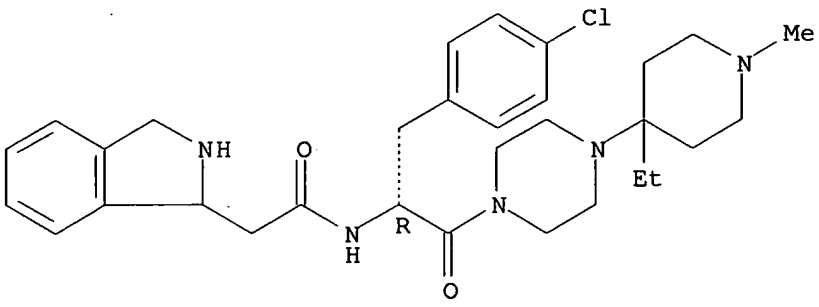
SO Bioorganic & Medicinal Chemistry Letters (2006), 16(14), 3843-3846
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
GI



I

AB Achiral 4,4-disubstituted piperidine privileged structures were prepared as melanocortin 4 receptor (MC4R) ligands (e.g., I). The piperidine nitrogen was replaced with carbon, oxygen, sulfur, and sulfone with minor erosion of binding.
IT 569654-01-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 4,4-disubstituted piperidine derivs. as melanocortin 4 receptor (MC4R) ligands)
RN 569654-01-9 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-(4-ethyl-1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:544646 CAPLUS
DN 145:46084
TI process for the preparation of oxoquinazolinylpiperidinylcarbamoylethylindazoles
IN Chaturvedula, Prasad; Han, Xiaojun; Jiang, Xiang-Jun J.
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006060678	A2	20060608	WO 2005-US43670	20051202
	WO 2006060678	A3	20060720		
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 2006122250	A1	20060608	US 2005-291670	20051201
PRAI	US 2004-633159P	P	20041203		

OS MARPAT 145:46084
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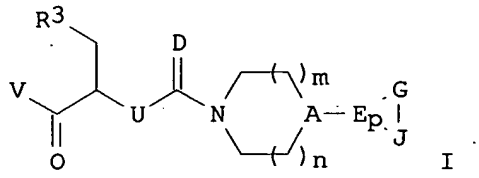
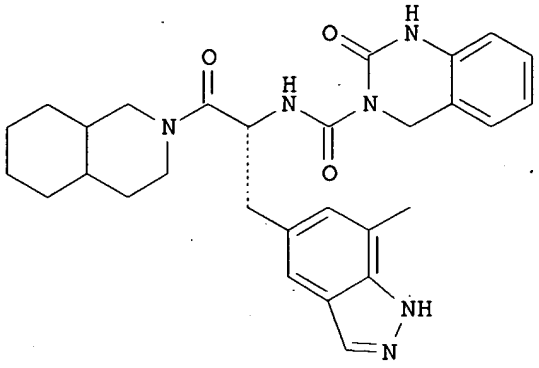


I



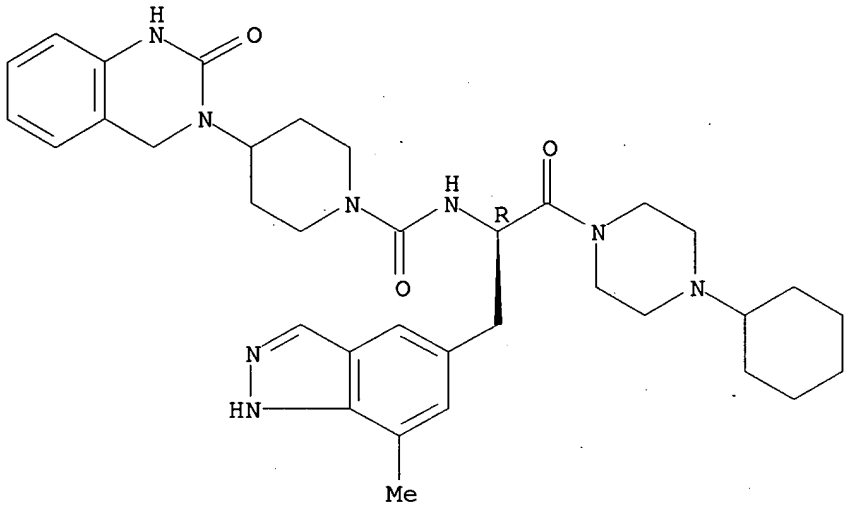
II

AB Title compds. [I; V = NR1R2, OR4; R4 = alkyl, haloalkyl, etc.; R1, R2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, Ph, azetidinyl, adamantyl, oxadiazolyl, piperazinyl, etc.; R1R2N = (substituted) azetidinyl, pyrrolyl, pyrrolinyl, pyrrolidinyl, azepinyl, diazepinyl, piperidinyl, piperazinyl, etc.; R3 = (substituted) (bicyclic) heteroaryl, Ph, fluorenyl, naphthyl; D = O, NCN, alkylsulfonylimino; m, n = 0-2; A, E = C, N, CH; p = 0, 1; if p = 1, GJE = atoms to form (substituted) (fused) heterocyclyl; if p = 0, AJG = atoms to form (substituted) spirocyclyl; with provisos], were prepared via a 6-step procedure. Thus, 4-iodo-2,6-dimethylaniline hydrochloride (preparation given), Me 2-benzyloxycarbonylacrylate (preparation given), Pd(OAc)2, Bu4NCl, and Et3N were refluxed together for 3 h in THF to give 65% Me (Z)-3-(4-amino-3,5-dimethylphenyl)-2-benzyloxycarbonylacrylate. This was hydrogenated using (-)-1,2-bis[(2R,5R)-2,5-diethylphospholano]benzene(cyclooctadiene)rhodium(I) tetrafluoroborate in CH2Cl2/MeOH at 65 psi H2 for 16 h at room temperature to give 98% Me (R)-3-(4-amino-3,5-dimethylphenyl)-2-(benzyloxycarbonylamino)propanoate. The latter was stirred with KOAc and isoamyl nitrite in PhMe/HOAc for 16 h to give 76% Me (R)-2-(benzyloxycarbonylamino)-3-(7-methyl-1H-indazol-5-yl)propanoate. Hydrogenolysis of this in MeOH over Pd/C at 15 psi H2 overnight gave 100% Me (R)-2-amino-3-(7-methyl-1H-indazol-5-yl)propanoate. This was stirred with diisopropylethylamine and disuccinimidyl carbonate in DMF for 30 min. followed by addition of 3-(piperidin-4-yl)-3,4-dihydroquinazolin-2(1H)-one and stirring for 24 h to give 100% Me (R)-2-[4-[2-oxo-1,2-dihydroquinazolin-3(4H)-yl]piperidine-1-carboxamido]-3-(7-methyl-1H-indazol-5-yl)propanoate. Saponification with LiOH in THF/MeOH/H2O followed by acidification with HCl gave 80% free acid, which was stirred with diisopropylethylamine, decahydroisoquinoline, and PyBOP in DMF at 0° to room temperature overnight to give 79% title compound (II).

IT 890044-53-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of oxoquinazolinylpiperidinylcarbamoylethylinda

zoles)
RN 890044-53-8 CAPLUS
CN 1-Piperidinecarboxamide, N-[(1R)-2-(4-cyclohexyl-1-piperazinyl)-1-[(7-methyl-1H-indazol-5-yl)methyl]-2-oxoethyl]-4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 7 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2006:499093 CAPLUS
DN 145:159054
TI Privileged structure based ligands for melanocortin-4 receptors-Aliphatic piperazine derivatives
AU Briner, Karin; Collado, Ivan; Fisher, Matthew J.; Garcia-Paredes, Cristina; Husain, Saba; Kuklish, Steven L.; Mateo, Ana I.; O'Brien, Thomas P.; Ornstein, Paul L.; Zgombick, John; De Frutos, Oscar
CS Lilly Research Laboratories, Lilly Corporate Center, A Division of Eli Lilly and Company, Indianapolis, IN, 46258, USA
SO Bioorganic & Medicinal Chemistry Letters (2006), 16(13), 3449-3453
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Analogs of the melanocortin-4 receptor binding (isoquinolinecarbonyl)chlorophenylalaninyl (diethylaminomethyl)benzylpiperazine I such as II are prepared The fluorophenyl group of I is replaced with aliphatic and alicyclic moieties to yield analogs; in addition, the tetrahydroisoquinolinecarbonyl moiety of I is replaced in some cases with a dihydroisoindolylacetyl group. Analogs replacing the fluorophenyl group of I with a cyclohexyl group show consistently high affinities for the

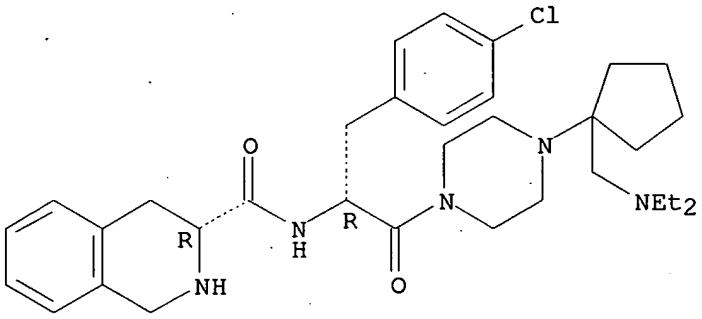
human melanocortin-4 receptor. The diethylamino moiety of I can be replaced with polar groups with decreased basicities such as N-Et acetamides, N-ethylmethanesulfonamides, and succinimides. For example, II binds to the human melanocortin-4 receptor with a Ki value of 2 nM.

IT 569654-52-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of alkyl and cycloalkyl-substituted N-(tetrahydroisoquinolinylcarbonyl) and N-(dihydroisoindoleacetyl) p-chlorophenylalaninyl piperazines and their binding to the human melanocortin-4 receptor)

RN 569654-52-0 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-[(diethylamino)methyl]cyclopentyl]-1-piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:411919 CAPLUS

DN 144:445363

TI Use of dipyridamole in combination with antithrombotics for treatment and prevention of thromboembolic diseases

IN Eisert, Wolfgang

PA Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma Gmbh & Co. KG

SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006045756	A1	20060504	WO 2005-EP55446	20051021

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI EP 2004-25283 A 20041025

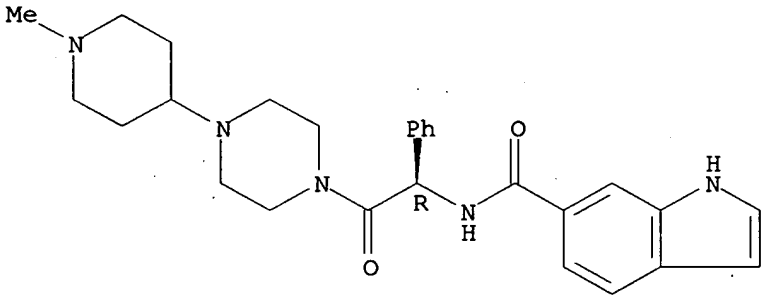
AB The invention relates to a method for treating and preventing thromboembolic disorders, comprising administering dipyridamole in combination with an antithrombotic selected from direct thrombin inhibitors, factor Xa inhibitors and combined thrombin/factor Xa inhibitors to a patient, as well as pharmaceutical compns. suitable for this method of treatment and the use of dipyridamole for the manufacture of these pharmaceutical compns.

IT 313489-71-3, LY 517717
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dipyridamole-antithrombotic agent combination for treatment and prevention of thromboembolic diseases)

RN 313489-71-3 CAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:104456 CAPLUS

DN 144:192496

TI Neutralization preparation of the antithrombotic 1-(indole-6-carbonyl-D-phenylglycinyl)-4-(1-methylpiperidin-4-yl)piperazine D-tartrate

IN Bush, Julie Kay

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 17 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006011955	A1	20060202	WO 2005-US20490	20050613

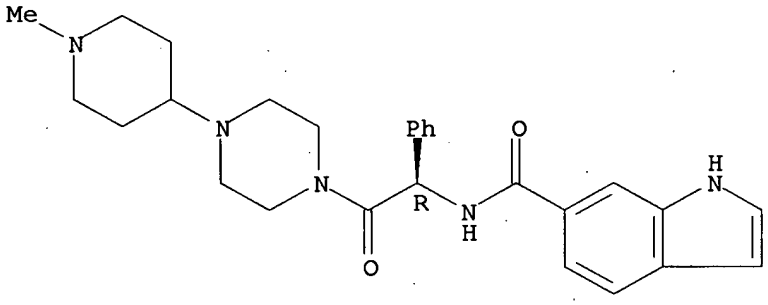
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,

NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRAI US 2004-583599P P 20040630
AB 1-(Indole-6-carbonyl-D-phenylglycinyl)-4-(1-methylpiperidin-4-yl)piperazine D-tartrate, a storage-stable salt, prepared by the neutralization of 1-(indole-6-carbonyl-D-phenylglycinyl)-4-(1-methylpiperidin-4-yl)piperazine with D-tartaric acid, is claimed for use in pharmaceutical formulations for the treatment of thrombotic disorders.
IT 874893-43-3P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(neutralization preparation of the antithrombotic 1-(indole-6-carbonyl-D-phenylglycinyl)-4-(1-methylpiperidin-4-yl)piperazine D-tartrate)
RN 874893-43-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 313489-71-3
CMF C27 H33 N5 O2

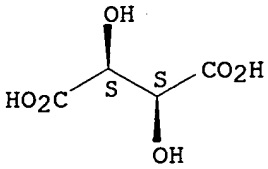
Absolute stereochemistry. Rotation (-).



CM 2

CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1152762 CAPLUS
DN 143:440448
TI Preparation of 3-piperidin-4-yl-1,3,4,5-tetrahydro-1,3-benzdiazepin-2-ones and related compounds as CGRP antagonists
IN Mueller, Stephan Georg; Rudolf, Klaus; Lustenberger, Philipp; Stenkamp, Dirk; Arndt, Kirsten; Doods, Henri; Schaenzle, Gerhard
PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SO Ger. Offen., 51 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 102004018795	A1	20051027	DE 2004-102004018795	20040415
	WO 2005100343	A1	20051027	WO 2005-EP3741	20050409
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2005282857	A1	20051222	US 2005-107195	20050415
PRAI	DE 2004-102004018795	A	20040415		
	US 2004-570005P	P	20040511		

OS MARPAT 143:440448
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = substituted Ph, i.e., CF3, NH2, Cl, etc.; X = O, CH2, NH; R1 = 3,4-dihydro-2(1H)-quinazolinonyl, 1,3,4,5-tetrahydro-2H-benzo-1,3-diazepin-2-onyl; NR2R3 = 1,4'-bipiperidinyl, 1-methyl-4-(4-piperidinyl)piperazinyl, 1-(1-methyl-4-piperidinyl)piperazinyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, coupling of 4-(2-piperidin-1-yl-ethyl)piperidine and acid II

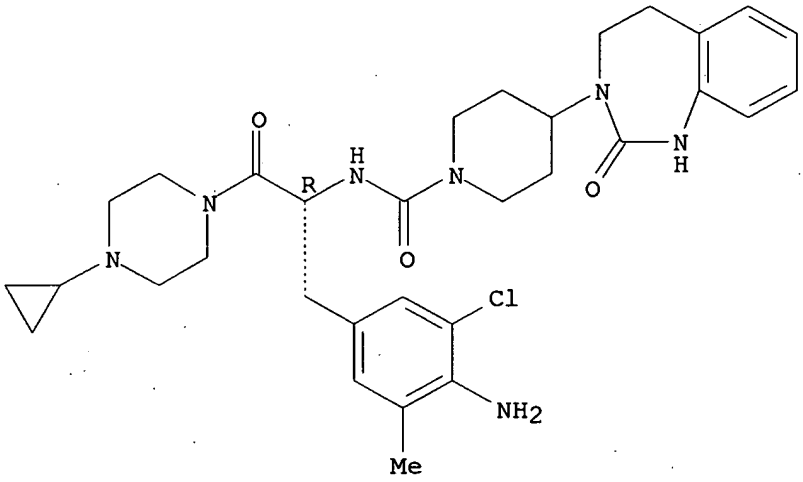
afforded benzdiazepin-2-one III in 64% yield. In human cgrp receptor assays, compds. I exhibited IC50 values ≤ 1000 nM.

IT 868383-79-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzdiazepin-2-ones and related compds. as CGRP antagonists)

RN 868383-79-3 CAPLUS

CN 1-Piperidinecarboxamide, N-[(1R)-1-[(4-amino-3-chloro-5-methylphenyl)methyl]-2-(4-cyclopropyl-1-piperazinyl)-2-oxoethyl]-4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 11 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:1144492 CAPLUS

DN 144:51548

TI Structure-activity relationship studies on a series of cyclohexylpiperazines bearing a phenylacetamide as ligands of the human melanocortin-4 receptor

AU Pontillo, Joseph; Tran, Joe A.; White, Nicole S.; Arellano, Melissa; Fleck, Beth A.; Marinkovic, Dragan; Tucci, Fabio C.; Saunders, John; Foster, Alan C.; Chen, Chen

CS Department of Medicinal Chemistry, Neurocrine Biosciences Inc., San Diego, CA, 92130, USA

SO Bioorganic & Medicinal Chemistry Letters (2005), 15(23), 5237-5240
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier B.V.

DT Journal

LA English

AB Synthesis and structure-activity relationship studies of a series of cyclohexylpiperazines bearing an amide side chain as ligands of the MC4 receptor are discussed. One compound from this series is a potent pituitary hormone receptor (melanocortin receptor 4) agonist.

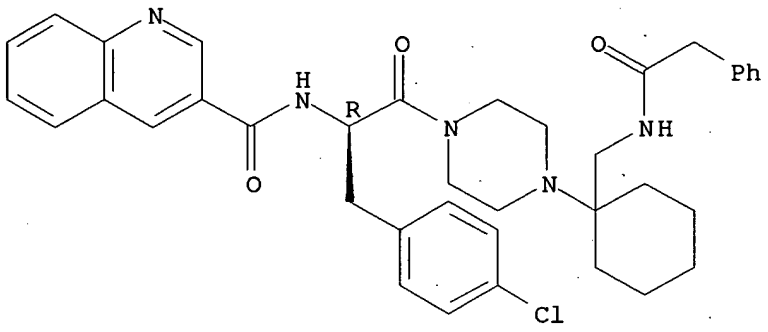
IT 511540-40-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of N-[(chlorophenyl)methyl]oxo[[[(phenylacetyl)amino]methyl]cyclohexyl]piperazinyl]ethyl amide derivs. and study of their structure-activity relationship and their activity as human melanocortin-4 receptor ligands)

RN 511540-40-2 CAPLUS

CN 3-Quinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[1-[[(phenylacetyl)amino]methyl]cyclohexyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:1132894 CAPLUS

DN 143:379858

TI CGRP antagonist in combination with a serotonin reuptake inhibitor for the treatment of migraine or other headache

IN Doods, Henri; Rudolf, Klaus

PA Boehringer Ingelheim International GmbH, Germany

SO U.S. Pat. Appl. Publ., 13 pp.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2005233980	A1	20051020	US 2005-108985	20050419
DE 102004019736	A1	20051117	DE 2004-102004019736	20040420
DE 102004063754	A1	20060713	DE 2004-102004063754	20041229
WO 2005102322	A1	20051103	WO 2005-EP4076	20050418

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI DE 2004-102004019736 A 20040420

US 2004-570379P P 20040512
DE 2004-102004063754 A 20041229

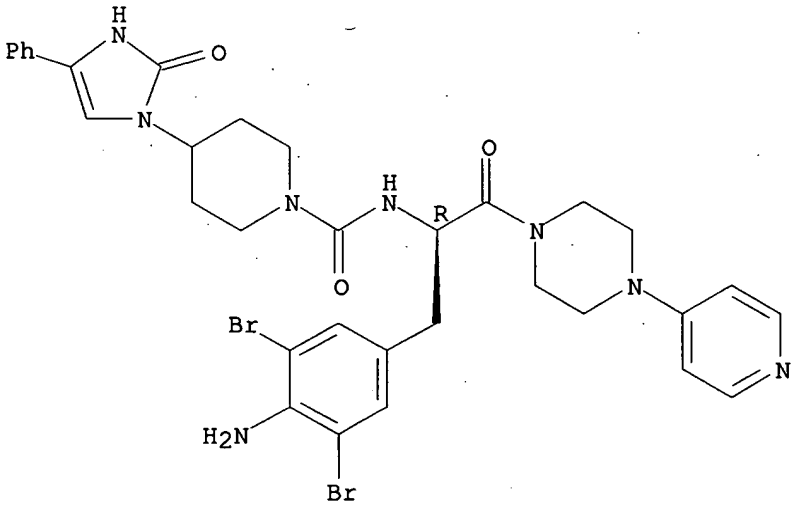
AB The invention discloses a process for the treatment or prevention of headaches, migraine or cluster headache, comprising the joint administration of a therapeutically effective amount of a CGRP-antagonist [e.g. 1-(N2-(3,5-dibromo-N-((4-(3,4-dihydro-2(1H)-oxoquinazolin-3-yl)-1-piperidinyl)carbonyl)-D-tyrosyl)-L-lysyl)-4-(4-pyridinyl)piperazine], or a physiol. acceptable salt thereof, and a therapeutically effective amount of the selective serotonin reuptake inhibitor [e.g. (+)-N-methyl-3-(1-naphthyloxy)-3-(2-thienyl)propanamine], or a physiol. acceptable salt thereof, as well as the corresponding pharmaceutical compns. and the preparation thereof.

IT 204696-63-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CGRP antagonist combination with serotonin reuptake inhibitor for treatment of migraine or other headache)

RN 204696-63-9 CAPLUS

CN 1-Piperidinecarboxamide, N-[(1R)-1-[(4-amino-3,5-dibromophenyl)methyl]-2-oxo-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]-4-(2,3-dihydro-2-oxo-4-phenyl-1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 13 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1004565 CAPLUS
DN 143:306304
TI Preparation isoindazoles and related compounds as cgrp antagonists
IN Lustenberger, Philipp; Rudolf, Klaus; Mueller, Stephan Georg; Stenkamp, Dirk; Doods, Henri; Arndt, Kirsten; Schaenzle, Gerhard
PA Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharma GmbH & Co. KG
SO PCT Int. Appl., 132 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005084672	A1	20050915	WO 2005-EP2082	20050226
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 102004010254	A1	20050922	DE 2004-102004010254	20040303
	DE 102004028751	A1	20060105	DE 2004-102004028751	20040615
	US 2005227968	A1	20051013	US 2005-73341	20050303
PRAI	DE 2004-102004010254	A	20040303		
	DE 2004-102004028751	A	20040615		

OS MARPAT 143:306304
GI

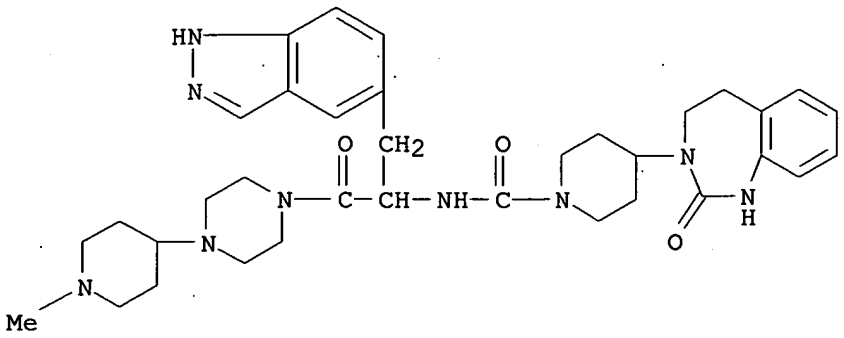
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = N, CH; B = N, CH; D = H, Me; E = H, halo, Me, etc.; X = CH2, NH; R1 = (un)substituted 3-phenyl-2-pyrazolin-5-one, tetrahydro-2H-benzo-1,3-diazepin-2-one with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, coupling of carboxylic acid II and 1-methyl-4-piperidin-4-ylpiperazine afforded claimed isoindazole III in 34% yield. In cgrp antagonist assays, compds. I exhibited IC50 values equal to or < 10000 nM.

IT 864536-61-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation isoindazoles and related compds. as cgrp antagonists medicaments)

RN 864536-61-8 CAPLUS

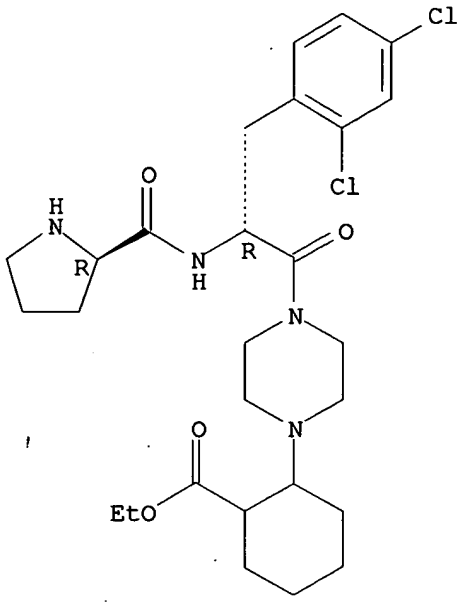
CN 1-Piperidinecarboxamide, N-[1-(1H-indazol-5-ylmethyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:921450 CAPLUS
DN 143:405877
TI Potent and orally active non-peptide antagonists of the human melanocortin-4 receptor based on a series of trans-2-disubstituted cyclohexylpiperazines
AU Tucci, Fabio C.; White, Nicole S.; Markison, Stacy; Joppa, Margaret; Tran, Joe A.; Fleck, Beth A.; Madan, Ajay; Dyck, Brian P.; Parker, Jessica; Pontillo, Joseph; Melissa Arellano, L.; Marinkovic, Dragan; Jiang, Wanlong; Chen, Caroline W.; Gogas, Kathleen R.; Goodfellow, Val S.; Saunders, John; Foster, Alan C.; Chen, Chen
CS Department of Medicinal Chemistry, Neurocrine Biosciences Inc., San Diego, CA, 92130, USA
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(19), 4389-4395
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
AB The melanocortin-4 receptor (MC4R) plays an important role in the regulation of energy homeostasis. Recent studies have shown that blockade of the MC4R reverses tumor-induced weight loss in mice. Herein, the synthesis and identification of potent and selective non-peptide antagonists of the human MC4R from a series of 2-[(ethoxycarbonyl)cyclohexyl]piperazine derivs. are described. One compds. was found to possess low nanomolar affinity for the MC4R, and exhibit oral bioavailability in rats. More importantly, when administered orally to mice (10 mg/kg), it led to statistically significant increases in food intake over a 24-h period.
IT 866945-03-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of trans-substituted (cyclohexyl)piperazine derivs. and study of their activity as orally active non-peptide antagonists of human melanocortin-4 receptor)
RN 866945-03-1 CAPLUS
CN Cyclohexanecarboxylic acid, 2-[4-(D-prolyl-2,4-dichloro-D-phenylalanyl)-1-piperazinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:638773 CAPLUS
DN 143:133401
TI Preparation of diazaheterocycles as calcitonin gene related peptide receptor antagonists
IN Degnan, Andrew P.; Chen, Ling; Civiello, Rita; Dubowchik, Gene M.; Han, Xiaojun; Jiang, Xiang Jun J.; Macor, John E.; Tora, George
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 385 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005065779	A1	20050721	WO 2003-US38799	20031205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2549330	AA	20050721	CA 2003-2549330	20031205
AU 2003297694	A1	20050812	AU 2003-297694	20031205
EP 1689493	A1	20060816	EP 2003-819270	20031205
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
NO 2006002648	A	20060802	NO 2006-2648	20060608

PRAI EP 2003-819270 A 20031205
WO 2003-US38799 W 20031205
OS MARPAT 143:133401
GI

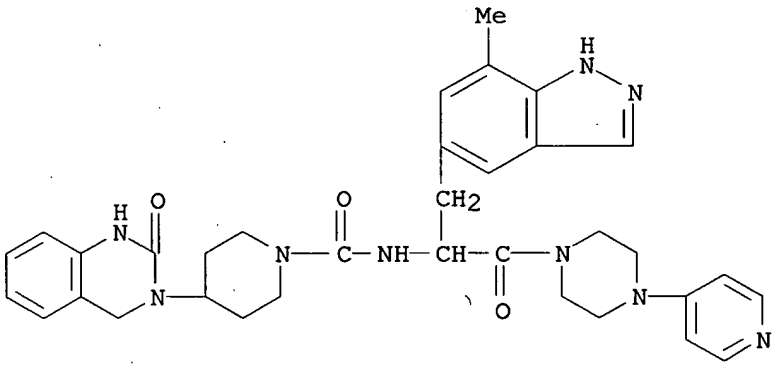
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Diazaheterocycles I [m, n = 0-2; V = (un)substituted NH2, OH; Q = (un)substituted alkyl, NH2, NHCO2H, NHCONH2; U = CH2, NH; D = O, NCN, alkylsulfonylimino; A = C, N, CH; E = (un)substituted heterocyclic; with provisos] were prepared for use as antagonists of calcitonin gene-related peptide receptors for treatment of neurogenic vasodilation, neurogenic inflammation, migraine and other headaches, thermal injury, circulatory shock, flushing associated with menopause, airway inflammatory diseases, such as asthma and chronic obstructive pulmonary disease (COPD). E.g., a multi-step synthesis of II which had IC50 for calcitonin gene related peptide receptor binding of ≤ 10 nM, was given. The pharmaceutical composition comprising the compound I is claimed.

IT 635710-46-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diazaheterocycles as calcitonin gene related peptide receptor antagonists)

RN 635710-46-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-N-[1-[(7-methyl-1H-indazol-5-yl)methyl]-2-oxo-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:122798 CAPLUS
DN 142:212404
TI Use of CGRP antagonists or CGRP release inhibitor in treatment and prevention of hot flushes in prostate cancer patients
IN Doods, Henri; Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Hammar, Mats; Spetz, Anna-Clara

PA Boehringer Ingelheim International GmbH, Germany
SO U.S. Pat. Appl. Publ., 17 pp., which
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005032783	A1	20050210	US 2004-881892	20040630
CA 2531407	AA	20050120	CA 2004-2531407	20040702
WO 2005004869	A1	20050120	WO 2004-EP7228	20040702

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1648466	A1	20060426	EP 2004-763078	20040702

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

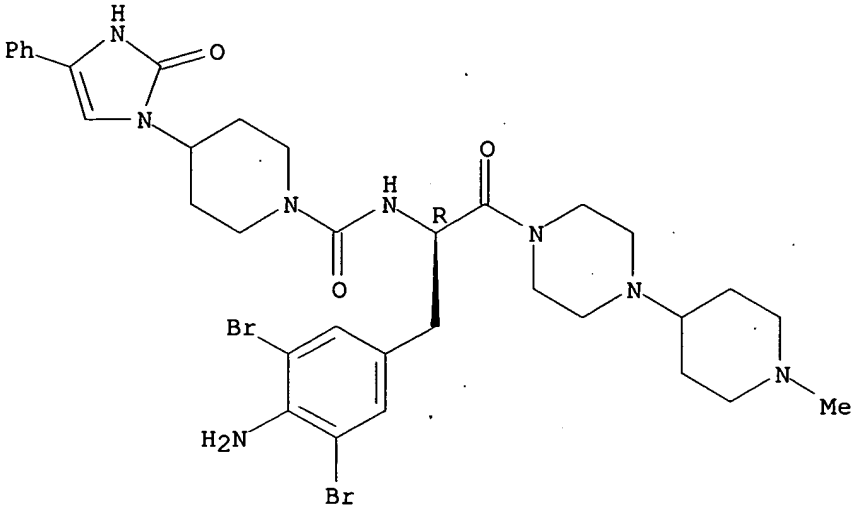
PRAI EP 2003-15335	A	20030707
US 2003-491576P	P	20030731
EP 2003-21802	A	20030926
US 2003-515817P	P	20031030
WO 2004-EP7228	W	20040702

AB The invention relates to a method of treatment or prevention of hot flushes in men who underwent castration, e.g. due to androgen ablation treatment in prostate cancer therapy, comprising administration of an effective amount of a CGRP antagonist and/or of a CGRP release inhibitor to the patient, and to the use of said active compds. for the manufacture of a pharmaceutical composition intended to be used in this method.

IT 204696-61-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of CGRP antagonists in treatment and prevention of hot flushes in prostate cancer patients)

RN 204696-61-7 CAPLUS
CN 1-Piperidinecarboxamide, N-[(1R)-1-[(4-amino-3,5-dibromophenyl)methyl]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-(2,3-dihydro-2-oxo-4-phenyl-1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 17 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:52976 CAPLUS
DN 142:211428
TI Proteochemometric mapping of the interaction of organic compounds with melanocortin receptor subtypes
AU Lapinsh, Maris; Veiksina, Santa; Uhlen, Staffan; Petrovska, Ramona; Mutule, Ilze; Mutulis, Felikss; Yahorava, Sviatlana; Prusis, Peteris; Wikberg, Jarl E. S.
CS Department of Pharmaceutical Biosciences, Uppsala University, Uppsala, Swed.
SO Molecular Pharmacology (2005), 67(1), 50-59
CODEN: MOPMA3; ISSN: 0026-895X
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
AB Proteochemometrics was applied in the anal. of the binding of organic compds. to wild-type and chimeric melanocortin receptors. Thirteen chimeric melanocortin receptors were designed based on statistical mol. design; each chimera contained parts from three of the MC1,3-5 receptors. The binding affinities of 18 compds. were determined for these chimeric melanocortin receptors and the four wild-type melanocortin receptors. The data for 14 of these compds. were correlated to the physicochem. and structural descriptors of compds., binary descriptors of receptor sequences, and cross-terms derived from ligand and receptor descriptors to obtain a proteochemometric model (correlation was performed using partial least-squares projections to latent structures; PLS). A well fitted math. model (R2 = 0.92) with high predictive ability (Q2 = 0.79) was obtained. In a further validation of the model, the predictive ability for ligands (Q2lig = 0.68) and receptors (Q2rec = 0.76) was estimated The model was moreover validated by external prediction by using the data for the four addnl. compds. that had not at all been included in the proteochemometric model; the anal. yielded a Q2ext = 0.73. An interpretation of the results using PLS coeffs. revealed the influence of particular properties of organic compds. on their affinity to melanocortin receptors. Three-dimensional models of melanocortin receptors were also created, and physicochem. properties of the amino acids inside the receptors' transmembrane cavity

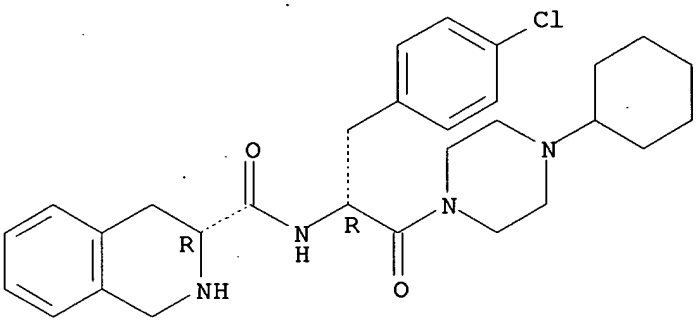
were correlated to the PLS modeling results. The importance of particular amino acids for selective binding of organic compds. was estimated and used to outline the ligand recognition site in the melanocortin receptors.

IT 766550-07-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(proteochemometric mapping of interaction of organic compds. with melanocortin receptor subtypes)

RN 766550-07-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-(4-cyclohexyl-1-piperazinyl)-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:1037102 CAPLUS

DN 142:23513

TI Preparation of pyrrolopyridine-2-carboxylic acid amide as inhibitors of glycogen phosphorylase

IN Bradley, Stuart Edward; Krulle, Thomas Martin; Murray, Peter John; Procter, Martin James; Rowley, Robert John; Sambrook Smith, Colin Peter; Thomas, Gerard Hugh

PA Osi Pharmaceuticals, Inc., USA; Schofield, Karen Lesley

SO PCT Int. Appl., 188 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004104001	A2	20041202	WO 2004-US16243	20040520
	WO 2004104001	A3	20050303		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

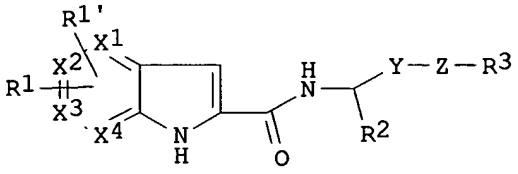
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004240946	A1	20041202	AU 2004-240946	20040520
CA 2525502	AA	20041202	CA 2004-2525502	20040520
US 2005261272	A1	20051124	US 2004-851902	20040520
EP 1636224	A2	20060322	EP 2004-753127	20040520
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
BR 2004010445	A	20060530	BR 2004-10445	20040520
CN 1826340	A	20060830	CN 2004-80021117	20040520
NO 2005005305	A	20051215	NO 2005-5305	20051110
PRAI US 2003-472375P	P	20030521		
US 2004-551256P	P	20040308		
WO 2004-US16243	W	20040520		

OS MARPAT 142:23513

GI



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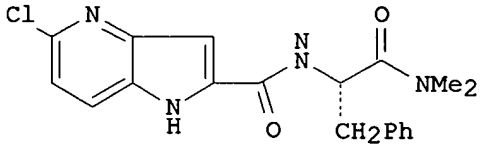


II

AB Heterocyclyl acyl amino acid derivs. I [one of X1-X4 is N and the others are C; R1, R1' are each independently halo, hydroxy, cyano, alkyl, alkoxy, fluoromethyl, ethenyl or ethynyl; R2 is alkyl or substituted alkyl, carboxy ester or acyl; Y is alkyl or CH(OH); Z is CH2, CO, O, (cyclo)alkylamino or absent, but when Y is CH(OH), Z or R3 must be bonded to Y through a carbon-carbon bond; R3 is H, carbalkoxy, alkoxy, alkyl, arylalkyl, alkylamino, etc.] or their stereoisomers or pharmaceutically-acceptable salts were prepared as inhibitors of glycogen phosphorylase and are useful in the prophylactic or therapeutic treatment of diabetes, hyperglycemia, hypercholesterolemia, hyperinsulinemia, hyperlipidemia, hypertension, atherosclerosis, etc. Thus, pyrrolo[3,2-b]pyridine-2-carboxylic acid L-phenylalaninamide derivative II was prepared via peptide coupling reaction and showed IC50 < 1 mM in the glycogen phosphorylase assay in vitro.

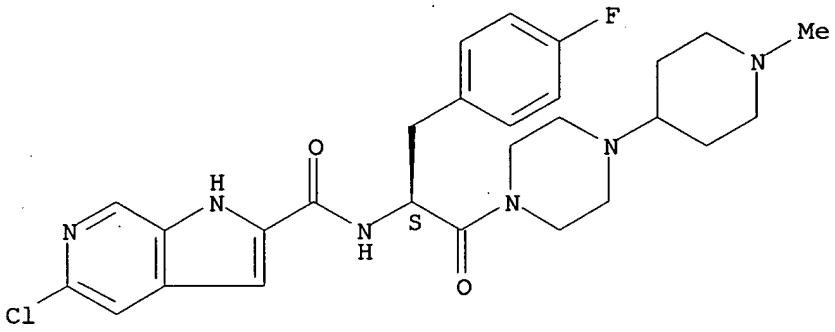
IT 800400-14-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of

glycogen phosphorylase)
RN 800400-14-0 CAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S)-1-[(4-fluorophenyl)methyl]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

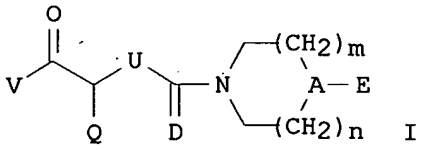
Absolute stereochemistry.



L7 ANSWER 19 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:857166 CAPLUS
DN 141:332218
TI Preparation of diazaheterocycles as calcitonin gene related peptide receptor antagonists
IN Chaturvedula, Prasad V.; Chen, Ling; Civiello, Rita; Conway, Charles Mark; Degnan, Andrew P.; Dubowchik, Gene M.; Han, Xiaojun; Jiang, Xiang Jun; Karageorge, George N.; Luo, Guanglin; Macor, John E.; Poindexter, Graham; Tora, George; Vig, Shikha
PA USA
SO U.S. Pat. Appl. Publ., 203 pp., Cont.-in-part of U.S. Ser. No. 445,523.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004204397	A1	20041014	US 2003-729155	20031205
	US 2004063735	A1	20040401	US 2003-445523	20030527
PRAI	US 2002-386138P	P	20020605		
	US 2002-388617P	P	20020613		
	US 2002-389870P	P	20020619		
	US 2002-393200P	P	20020701		
	US 2002-413534P	P	20020925		
	US 2003-445523	A2	20030527		

OS MARPAT 141:332218
GI



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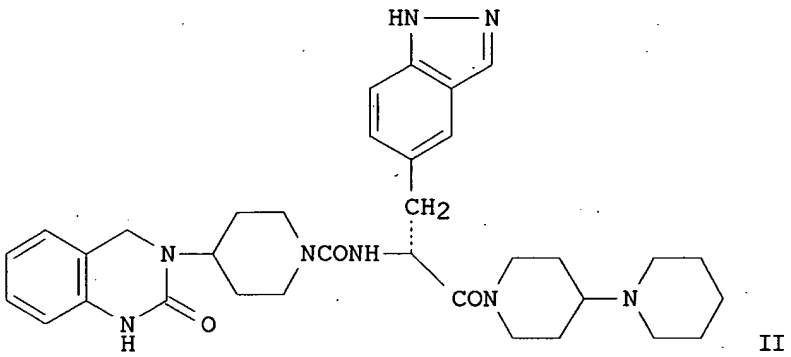


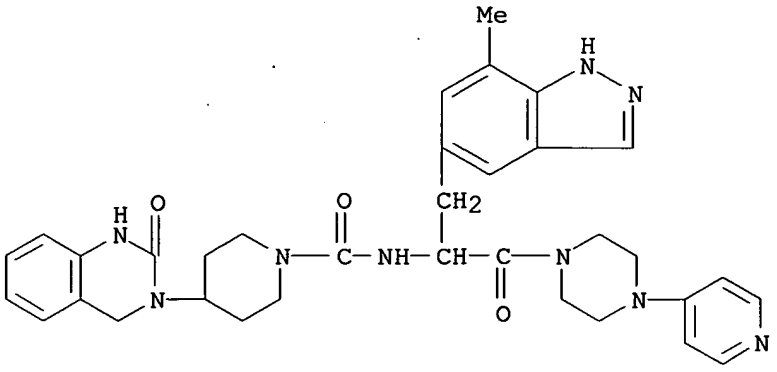
II

AB Diazaheterocycles I [m, n = 0-2; V = (un)substituted NH2, OH; Q = (un)substituted alkyl, NH2, NHCO2H, NHCONH2; U = CH2, NH; D = O, NCN, alkylsulfonylimino; A = C, N, CH; E = (un)substituted heterocyclic; with provisos] were prepared for use as antagonists of calcitonin gene-related peptide receptors for treatment of neurogenic vasodilation, neurogenic inflammation, migraine and other headaches, thermal injury, circulatory shock, flushing associated with menopause, airway inflammatory diseases, such as asthma and chronic obstructive pulmonary disease (COPD). Thus, the indazole II was prepared from 1H-indazole-5-carboxaldehyde and had IC50 for calcitonin gene related peptide receptor binding of ≤ 10 nM. The pharmaceutical composition comprising the compound I is claimed.

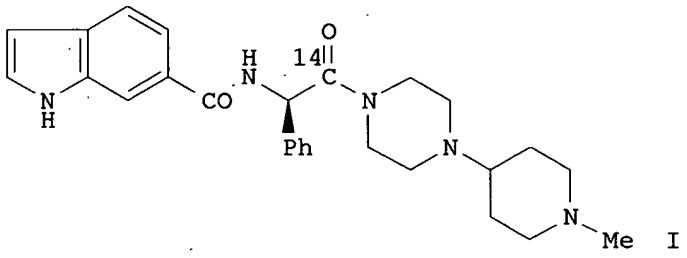
IT 635710-46-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diazaheterocycles as calcitonin gene related peptide receptor antagonists)

RN 635710-46-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-N-[1-[(7-methyl-1H-indazol-5-yl)methyl]-2-oxo-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 20 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:760389 CAPLUS
DN 142:355534
TI Synthesis of a carbon-14 labeled 1-(indole-6-carbonyl-D-phenylglycinyl)-4-(1-methylpiperidin-4-yl)piperazine-[carbonyl-14C], LY517717-[14C], a factor Xa inhibitor
AU Kuo, Fengjiun; Clodfelter, Dean K.; Priest, Tamara R.; Kau, Donald L. K.
CS Lilly Research Laboratories, A Division of Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN, 46285, USA
SO Journal of Labelled Compounds & Radiopharmaceuticals (2004), 47(9), 599-608
CODEN: JLCRD4; ISSN: 0362-4803
PB John Wiley & Sons Ltd.
DT Journal
LA English
OS CASREACT 142:355534
GI

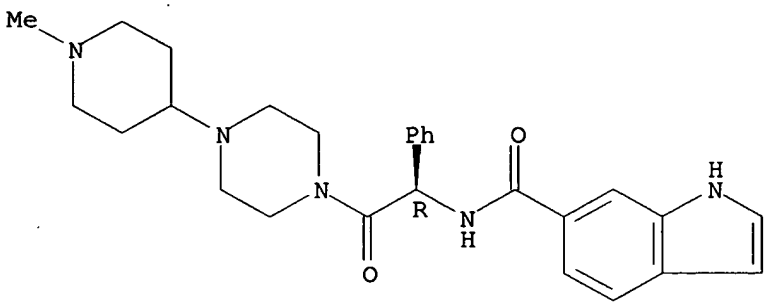


I

AB Human Factor Xa is a trypsin-like serine protease, which serves a critical role in blood coagulation events. LY 517717 is currently under clin. investigation as a Factor Xa inhibitor. To support the ADME studies, LY 517717-[carboxy-14C] (I) was synthesized using D-phenylglycine with a carbon-14 labeled carboxyl moiety. This key component, D-phenylglycine-[carboxyl-14C], was synthesized by a Strecker synthesis on benzaldehyde with potassium [14C]cyanide, followed by a resolution of DL-phenyl-glycine Me ester-[carbonyl-14C] with (+)-tartaric acid in the presence of benzaldehyde.
IT 313489-71-3DP, LY 517717, derivs.

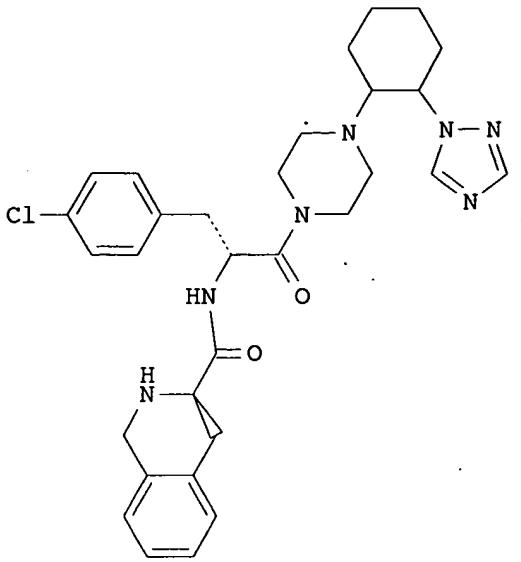
RL: SPN (Synthetic preparation); PREP (Preparation)
(asym. synthesis of LY 517717-[carbonyl-14C], a factor Xa inhibitor)
RN 313489-71-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:617800 CAPLUS
DN 141:314297
TI New Substituted Piperazines as Ligands for Melanocortin Receptors. Correlation to the X-ray Structure of "THIQ"
AU Mutulis, Felikss; Yahorava, Sviatlana; Mutule, Ilze; Yahorau, Aleh; Liepinsh, Edvards; Kopantshuk, Sergei; Veiksina, Santa; Tars, Kaspars; Belyakov, Sergey; Mishnev, Anatoly; Rinken, Ago; Wikberg, Jarl E. S.
CS Department of Pharmaceutical Biosciences, Division of Pharmacology, Uppsala University, Uppsala, SE-751 24, Swed.
SO Journal of Medicinal Chemistry (2004), 47(18), 4613-4626
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 141:314297
GI



I

AB A series of piperazine analogs of the melanocortin 4 receptor (MC4R) specific small-mol. agonist THIQ was synthesized and characterized structurally and pharmacol. First, several THIQ imitations lacking the triazole moiety were prepared Syntheses included acylation of 4-phenylpiperazine or 4-cyclohexylpiperazine. In two cases the tertiary amine function was replaced by the N-oxide. To obtain more complex structures, a 4-substituted piperazine ring was formed by alkylation of the primary amino group of cyclohexane-derived amino alcs. with N,N-bis(2-chloroethyl)benzylamine. The hydroxylic group of the intermediate was first activated with methanesulfonyl chloride, and the sulfonic ester formed in situ was introduced into the reaction with the sodium salt of 1,2,4-triazole. In one case (i.e., preparation of I) introduction of the 1,2,4-triazole moiety was performed at a carbon of the cyclohexane ring. In addition, this intermediate contained a piperazine moiety connected via its nitrogen atom to a cyclohexane ring carbon neighboring the reaction center. As established in NMR and X-ray investigations, this substitution proceeded with retention of the initial trans configuration of 1,2-disubstituted cyclohexane. To obtain pure enantiomers of I, its precursor was subjected to chiral chromatog. on a Chirobiotic V column. The separated derivs. were introduced into further synthesis steps, giving (R,R)-I and (S,S)-I, resp. Melanocortin MC1,3-5 receptor binding studies showed that all tested piperazine derivs. were active. Several compds. showed clear selectivity for MC4R, with submicromolar affinities being obtained. (R,R)-I, displayed a biphasic curve in displacement of [125I]NDP-MSH on MC4R [K(i)high = 1 nM and K(i)low = 260 nM]. This biphasic competition curve was similarly biphasic to the competition curve obtained using THIQ. An X-ray study performed on crystals of THIQ sulfate revealed two closely related conformations, which resemble the shape of the letter Y, where piperidine and 4-chlorophenyl groups are situated close to each other, but the 1,2,3,4-tetrahydroisoquinoline residue is remote, the triazole function being highly exposed to the environment. The crystals of the dinitrate salt of (R,R)-I showed a different conformation, where parts of the mol. are spread out almost sym. around the central section. Mol. modeling, based

on the THIQ crystal structure and the functional similarity of THIQ and (R,R)-I, led to a possible bioactive conformation of (R,R)-I that is similar to the crystal conformation of THIQ.

IT 766550-50-9P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of new substituted piperazines related to THIQ as ligands for melanocortin receptors)

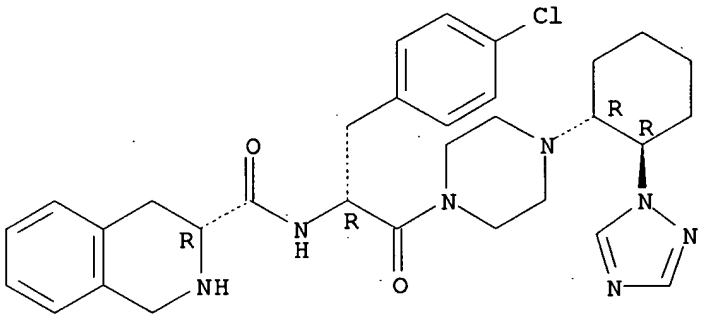
RN 766550-50-9 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[(1R,2R)-2-(1H-1,2,4-triazol-1-yl)cyclohexyl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

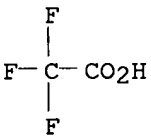
CRN 766550-49-6
CMF C31 H38 Cl N7 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 22 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:587914 CAPLUS
DN 141:140319
TI Preparation of amino acid dipiperidides as CGRP antagonists
IN Bauer, Eckhart; Gerlach, Kai; Hurnaus, Rudolf; Mueller, Stephan; Rudolf, Klaus; Schindler, Marcus; Stenkamp, Dirk
PA Boehringer Ingelheim Pharma GmbH & Co. KG, Germany
SO Ger. Offen., 98 pp.

CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10300973	A1	20040722	DE 2003-10300973	20030114
	AU 2004203916	A1	20040729	AU 2004-203916	20040109
	CA 2513132	AA	20040729	CA 2004-2513132	20040109
	WO 2004063171	A1	20040729	WO 2004-EP87	20040109
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA				
	EP 1587795	A1	20051026	EP 2004-700987	20040109
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2004006762	A	20051220	BR 2004-6762	20040109
	CN 1738805	A	20060222	CN 2004-80002209	20040109
	JP 2006515875	T2	20060608	JP 2006-500537	20040109
	US 2004192729	A1	20040930	US 2004-755593	20040112
	NO 2005003794	A	20050810	NO 2005-3794	20050810
PRAI	DE 2003-10300973	A	20030114		
	US 2003-443492P	P	20030129		
	WO 2004-EP87	W	20040109		

OS MARPAT 141:140319
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

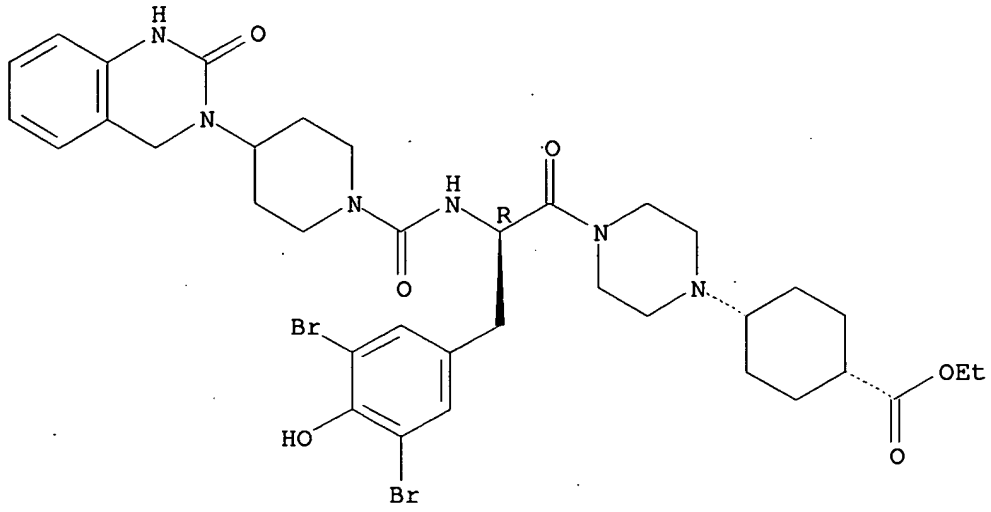
AB Title compds. I [R = (un)substituted diaza-, triaza-, S,S-dioxidothiadiaza-heterocycle; Ar = (un)substituted aryl, heteroaryl; Y = CH2, NH; Y1 = (un)substituted CH, N; R1 = (un)substituted N heterocycle; R2, R3 = H, carboxylic ester] were prepared for use as CGRP antagonists in the production and purification of antibodies and as marked compds. in RIA and ELISA assays and as diagnostic or analytic additives in neurotransmitter research (no data). Thus, the piperidide II was prepared from the amino acid and piperidine fragments in a multi-step synthesis.

IT 726183-11-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amino acid dipiperidides as CGRP antagonists)

RN 726183-11-5 CAPLUS

CN Cyclohexanecarboxylic acid, 4-[4-[(2R)-3-(3,5-dibromo-4-hydroxyphenyl)-2-[[[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]carbonyl]amino]-1-oxopropyl]-1-piperazinyl]-, ethyl ester, cis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 23 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:565229 CAPLUS
DN 141:123656
TI A preparation of piperazine derivatives, useful as ligands of melanocortin receptors
IN Chen, Chen; Tucci, Fabio C.; Tran, Joe Anh; Chen, Wei-chuan; White, Nicole
PA Neurocrine Biosciences, Inc., USA
SO PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004058735	A2	20040715	WO 2003-US40931	20031219
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003297467	A1	20040722	AU 2003-297467	20031219
	US 2004192676	A1	20040930	US 2003-742592	20031219
PRAI	US 2002-435922P	P	20021220		
	WO 2003-US40931	W	20031219		

OS MARPAT 141:123656
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

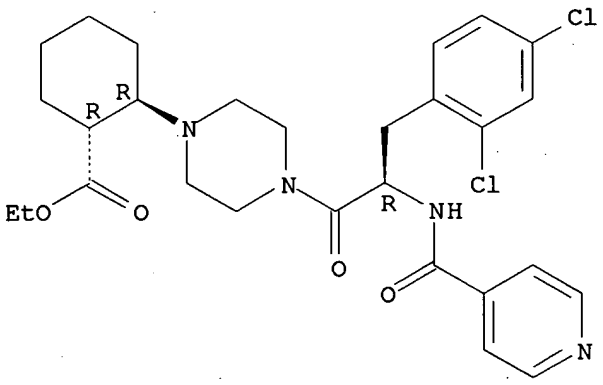
AB The invention relates to a preparation of piperazine derivs. of formula I [wherein: A and B independently are (CH2)0-2; C is (CH2)1-2; X is a direct bond or O, S, S(O), or SO2; Y is (un)substituted -alkyl-(hetero)aryl; R1, R2, and R3 are independently selected from H or alkyl, or R1 and R2 taken together are oxo; R4 is (R6)0-2; R5 is (un)substituted alkyl; R6 is, at each occurrence, independently (un)substituted alkyl, OH, or halogen], useful as melanocortin receptor ligands and having utility in the treatment of melanocortin receptor-based disorders (no biol. data). For instance, compound II was prepared via reduction of the obtained intermediate III (R = CO2Et), amidation of phenylalanine derivative IV by the obtained amine III (R = CH2OH), and esterification of iPrC(O)Cl by the obtained alc. V (example 2).

IT 723311-62-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazine derivs., useful as ligands (antagonists or agonists) of melanocortin receptors)

RN 723311-62-4 CAPLUS

CN Cyclohexanecarboxylic acid, 2-[4-[(2R)-3-(2,4-dichlorophenyl)-1-oxo-2-[(4-pyridinylcarbonyl)amino]propyl]-1-piperazinyl]-, ethyl ester, (1R,2R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L7 ANSWER 24 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:370923 CAPLUS

DN 140:391302

TI Preparation of benzo-1,3-diazepin-2-ones and related compounds as CGRP receptor antagonists for the treatment of migraine headaches

IN Rudolf, Klaus; Mueller, Stephan Georg; Stenkamp, Dirk; Lustenberger, Philipp; Dreyer, Alexander; Bauer, Eckhart; Schindler, Marcus; Arndt, Kirsten; Doods, Henri

PA Boehringer Ingelheim, Germany

SO PCT Int. Appl., 254 pp.
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2004037811	A1	20040506	WO 2003-EP11763	20031023
	WO 2004037811	C1	20050519		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10250082	A1	20040513	DE 2002-10250082	20021025
	US 2004132716	A1	20040708	US 2003-685921	20031015
	CA 2503462	AA	20040506	CA 2003-2503462	20031023
	AU 2003276157	A1	20040513	AU 2003-276157	20031023
	EP 1558601	A1	20050803	EP 2003-809318	20031023
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003015642	A	20050830	BR 2003-15642	20031023
	CN 1708492	A	20051214	CN 2003-80101980	20031023
	JP 2006505573	T2	20060216	JP 2004-545964	20031023
	ZA 2005002247	A	20050919	ZA 2005-2247	20050317
	NO 2005002493	A	20050524	NO 2005-2493	20050524
PRAI	DE 2002-10250082	A	20021025		
	US 2002-426167P	P	20021114		
	WO 2003-EP11763	W	20031023		
OS	MARPAT 140:391302				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

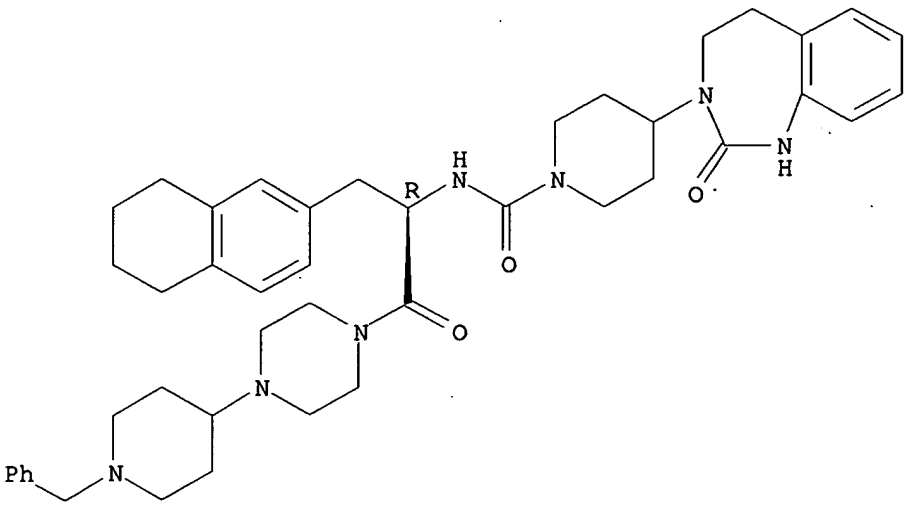
AB Title compds. I [A = O, S, phenylsulfonylimino, etc.; X = O, S, substituted imino, etc.; Y, Z = alkyl, difluoromethyl, trifluoromethyl, etc.; R1 = 5-7 membered aza, diaza, triaza, etc. heterocycle; R2 = H, phenylmethyl, alkyl, etc.; R3 = H, Ph, pyridinyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, benzo-1,3-diazepin-2-one II was prepared from 1-(3,4-diethylphenyl)ethanone in 8-steps. In human CGRP receptor binding affinity assays, compds. I exhibited IC50 values < 10000 nM. Compds. I are claimed useful for the treatment of migraine headaches.

IT 686297-05-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzo-1,3-diazepin-2-ones and related compds. as CGRP receptor antagonists for the treatment of migraine headaches)

RN 686297-05-2 CAPLUS

CN 1-Piperidinecarboxamide, N-[(1R)-2-oxo-2-[4-[1-(phenylmethyl)-4-piperidinyl]-1-piperazinyl]-1-[(5,6,7,8-tetrahydro-2-naphthalenyl)methyl]ethyl]-4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 25 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:370922 CAPLUS
DN 140:391301
TI Preparation of benzo-1,3-diazepin-2-ones and related compounds as CGRP receptor antagonists for the treatment of migraine headaches
IN Rudolf, Klaus; Mueller, Stephan Georg; Stenkamp, Dirk; Lustenberger, Philipp; Dreyer, Alexander; Bauer, Eckhart; Schindler, Marcus; Kirsten, Arndt; Doods, Henri
PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SO PCT Int. Appl., 315 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004037810	A1	20040506	WO 2003-EP11762	20031023
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10250080	A1	20040513	DE 2002-10250080	20021025
	US 2006079504	A1	20060413	US 2003-687262	20031016
	CA 2503455	AA	20040506	CA 2003-2503455	20031023
	AU 2003276156	A1	20040513	AU 2003-276156	20031023
	EP 1558600	A1	20050803	EP 2003-809317	20031023
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

	BR 2003015665	A	20050830	BR 2003-15665	20031023
	CN 1708493	A	20051214	CN 2003-80102004	20031023
	JP 2006516244	T2	20060629	JP 2004-545963	20031023
	NO 2005002496	A	20050624	NO 2005-2496	20050524
PRAI	DE 2002-10250080	A	20021025		
	US 2002-426168P	P	20021114		
	WO 2003-EP11762	W	20031023		

OS MARPAT 140:391301
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

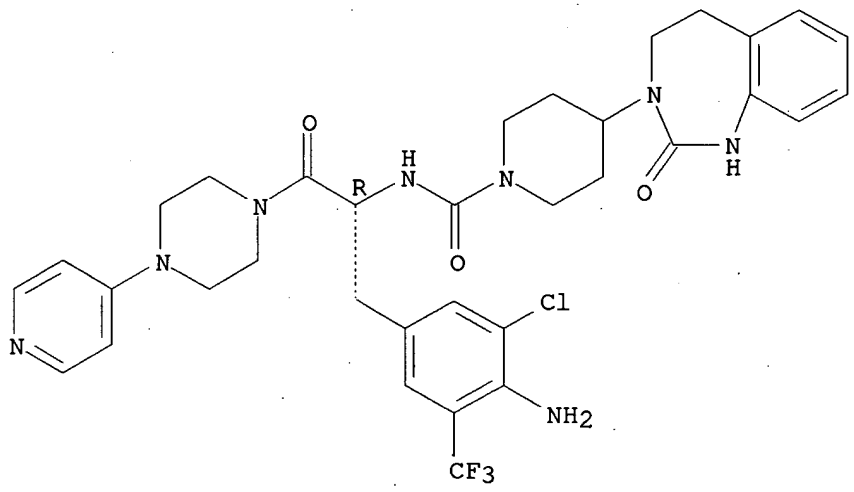
AB Title compds. I [A = O, S, phenylsulfonylimino, etc.; X = O, S, substituted imino, etc.; U = alkyl, alkenyl, alkynyl, etc.; V = Cl, Br, amino, etc.; W = H, halo, difluoromethyl, etc.; R1 = 5-7 membered aza, diaza, triaza, etc. heterocycle; R2 = H, phenylmethyl, alkyl, etc.; R3 = H, Ph, pyridinyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, benzo-1,3-diazepin-2-one II was prepared from 4-amino-3-chloro-5-trifluoromethylbenzoic acid in 9-steps. In human CGRP receptor binding affinity assays, compds. I exhibited IC50 values < 10000 nM. Compds. I are claimed useful for the treatment of migraine headaches.

IT 688018-22-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzo-1,3-diazepin-2-ones and related compds. as CGRP receptor antagonists for the treatment of migraine headaches)

RN 688018-22-6 CAPLUS

CN 1-Piperidinecarboxamide, N-[(1R)-1-[[4-amino-3-chloro-5-(trifluoromethyl)phenyl]methyl]-2-oxo-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]-4-(1,2,4,5-tetrahydro-2-oxo-3H-1,3-benzodiazepin-3-yl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



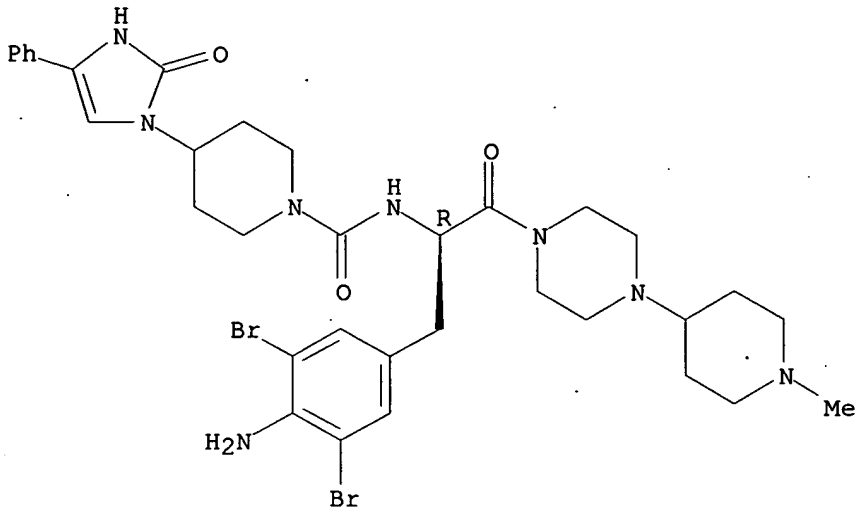
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 26 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:2675 CAPLUS
DN 140:65199
TI Preparations for the intranasal application of selected CGRP antagonists derived from amino acids and a method for their production
IN Kruss, Bernd; Gaiser, Marc A.; Busch, Ulrich; Jost, Klaus
PA Boehringer Ingelheim Pharma Gmbh & Co. Kg, Germany
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004000289	A2	20031231	WO 2003-EP6156	20030612
	WO 2004000289	A3	20040325		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10227294	A1	20040108	DE 2002-10227294	20020619
	CA 2487716	AA	20031231	CA 2003-2487716	20030612
	AU 2003246414	A1	20040106	AU 2003-246414	20030612
	EP 1517674	A2	20050330	EP 2003-760605	20030612
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005530830	T2	20051013	JP 2004-514694	20030612
	US 2004076587	A1	20040422	US 2003-463063	20030617
	US 2006193786	A1	20060831	US 2006-419218	20060519
PRAI	DE 2002-10227294	A	20020619		
	US 2002-395184P	P	20020711		
	WO 2003-EP6156	W	20030612		
	US 2003-463063	A1	20030617		

AB The invention relates to pharmaceutical compns. for nasal application, comprising selected CGRP antagonists, which are described in WO 98/11128, in addition to a method for their production Thus an aqueous solution with 10 % drug
and 1.75 mol-equivalent HCl contained: BIBN 4096 10 mg; 1N HCl 20.45 mg; mannitol 6 mg; water to 0.1 mL.
IT 204696-61-7
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prepns. for intranasal application of selected CGRP antagonists derived from amino acids and production method)
RN 204696-61-7 CAPLUS
CN 1-Piperidinecarboxamide, N-[(1R)-1-[(4-amino-3,5-dibromophenyl)methyl]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-4-(2,3-dihydro-2-oxo-4-phenyl-1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



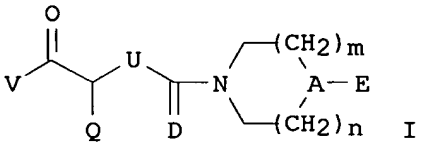
L7 ANSWER 27 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:991516 CAPLUS
DN 140:42208
TI Preparation of diazaheterocycles as calcitonin gene related peptide receptor antagonists
IN Chaturvedula, Prasad V.; Chen, Ling; Civiello, Rita; Conway, Charles Mark; Degnan, Andrew P.; Dubowchik, Gene M.; Han, Xiaojun; Karageorge, George N.; Luo, Guanglin; Macor, John E.; Poindexter, Graham; Vig, Shikha
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 309 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003104236	A1	20031218	WO 2003-US16576	20030527
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2487976	AA	20031218	CA 2003-2487976	20030527
	AU 2003237255	A1	20031222	AU 2003-237255	20030527
	BR 2003011812	A	20050329	BR 2003-11812	20030527
	EP 1539766	A1	20050615	EP 2003-736721	20030527
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1671711	A	20050921	CN 2003-818475	20030527
	JP 2005538959	T2	20051222	JP 2004-511306	20030527
	NZ 537315	A	20060428	NZ 2003-537315	20030527

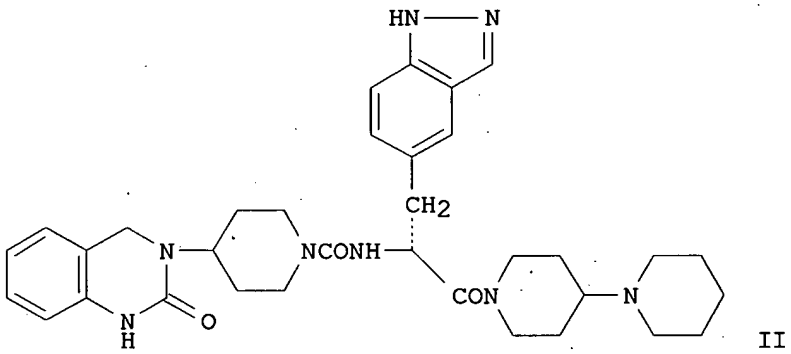
	NO 2004005219	A	20050228	NO 2004-5219	20041129
PRAI	US 2002-386138P	P	20020605		
	US 2002-388617P	P	20020613		
	US 2002-389870P	P	20020619		
	US 2002-393200P	P	20020701		
	US 2002-413534P	P	20020925		
	WO 2003-US16576	W	20030527		

OS MARPAT 140:42208

GI



I



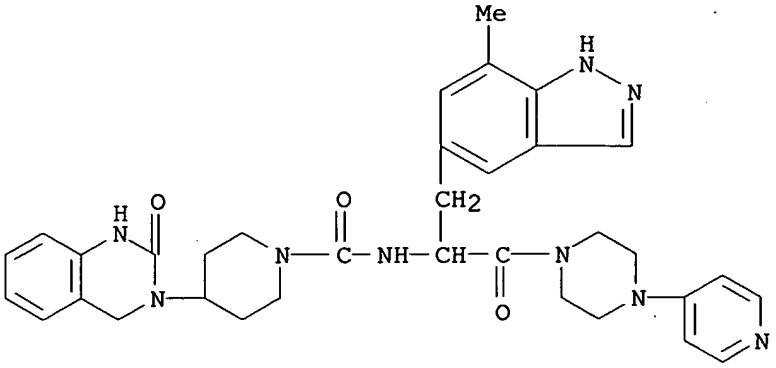
II

AB Diazaheterocycles I [m, n = 0-2; m ≠ n = 2; V = (un)substituted NH2, OH; Q = (un)substituted alkyl, NH2, NHCO2H, NHCONH2; U = CH2, NH; D = O, NCN, alkylsulfonylimino; A = C, N, CH; E = (un)substituted heterocyclic] were prepared for use as antagonists of calcitonin gene-related peptide receptors for treatment of neurogenic vasodilation, neurogenic inflammation, migraine and other headaches, thermal injury, circulatory shock, flushing associated with menopause, airway inflammatory diseases, such as asthma and chronic obstructive pulmonary disease (COPD). Thus, the indazole II was prepared from 1H-indazole-5-carboxaldehyde and had IC50 for calcitonin gene related peptide receptor binding of ≤ 10 nM.

IT 635710-46-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diazaheterocycles as calcitonin gene related peptide receptor antagonists)

RN 635710-46-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-N-[1-[(7-methyl-1H-indazol-5-yl)methyl]-2-oxo-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

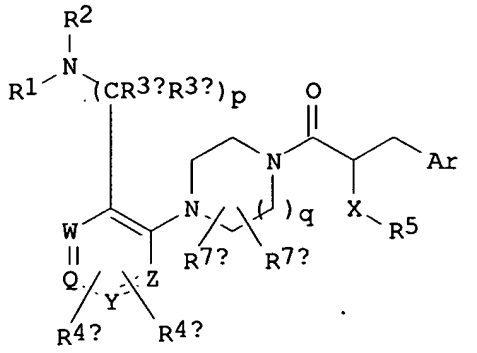


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 28 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:913002 CAPLUS
DN 139:395952
TI Substituted piperazine derivatives as melanocortin receptor ligands, and their preparation, pharmaceutical compositions, and use
IN Pontillo, Joseph; Marinkovic, Dragan; Lanier, Marion C.; Tran Joe Ahn; Arellano, Melissa; Parker, Jessica; Nelson, Jodie; Chen, Chen; Chen, Caroline; Jiang, Wanglong; White, Nicole; Tucci, Fabio C.
PA Neurocrine Biosciences, Inc., USA
SO PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003094918	A1	20031120	WO 2003-US14628	20030509
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003230367	A1	20031111	AU 2003-230367	20030509
	CA 2484968	AA	20031120	CA 2003-2484968	20030509
	US 2004053933	A1	20040318	US 2003-434803	20030509
	EP 1503761	A1	20050209	EP 2003-724540	20030509
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005534632	T2	20051117	JP 2004-503003	20030509
PRAI	US 2002-379517P	P	20020510		
	US 2002-422272P	P	20021029		
	WO 2003-US14628	W	20030509		

OS MARPAT 139:395952
GI



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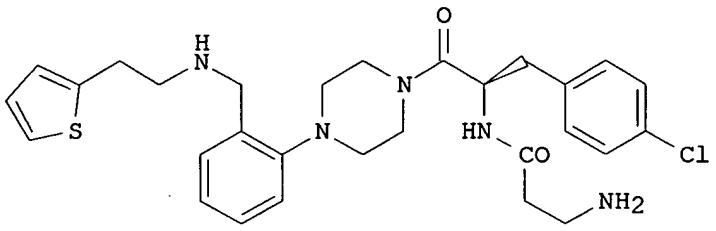


II

AB Compds. are disclosed, which function as melanocortin receptor ligands (no data), and which have utility in the treatment of melanocortin receptor-based disorders. The compds. have structure I [q = 1 or 2; p = 1-3; W, Q, Y, Z = CH or N, provided that ≤ 2 are N, and that when 2 are N, then the N atoms are not adjacent; Ar = (un)substituted Ph or naphthyl; X = bond, O, S, N(R6a), N(R6a)C(O), N(R6a)S(O)2, N(R6a)C(O)N(R6b), C(O)O, OC(O), N(R6a)C(O)N(R6b)O, N(R6a)C(O)N(R6b)N(R6c), or N(R6a)C(O)O; R1, R2, R3a, R3b = H, (un)substituted alkyl, aryl, arylalkyl, heterocyclyl, or heterocyclylalkyl; R4a and R4b = optional ring substituents selected from OH, (un)substituted alkyl, cyano, halo, alkoxy, or alkylamino; R5 = H, (un)substituted alkyl, aryl, or heterocyclyl; R6a, R6b, R6c = H, (un)substituted alkyl; R7a, R7b = optional ring substituents selected from H and (un)substituted alkyl; provided that when p = 1 then R1, R2, R3a, and R3b cannot all be H; including stereoisomers, prodrugs, and pharmaceutically acceptable salts]. Pharmaceutical compns. containing I, as well as methods relating to their use, are also disclosed. Approx. 450 examples of compds. I and salts were prepared, as well as various intermediates. For instance, 1-Cbz-piperazine was N-arylated with 2-fluorobenzaldehyde (53%), followed by reductive amination of the aldehyde with 2-thiopheneethanamine, N-protection of the chain amino as the BOC derivative (82%, 2 steps), hydrogenolysis of CBZ (35%), peptide coupling with D-N-Fmoc-4-chlorophenylalanine using EDC, removal of Fmoc (87%, 2 steps), another peptide coupling with N-BOC-β-alanine, and removal of BOC, to give invention compound II, isolated as the trifluoroacetate salt.

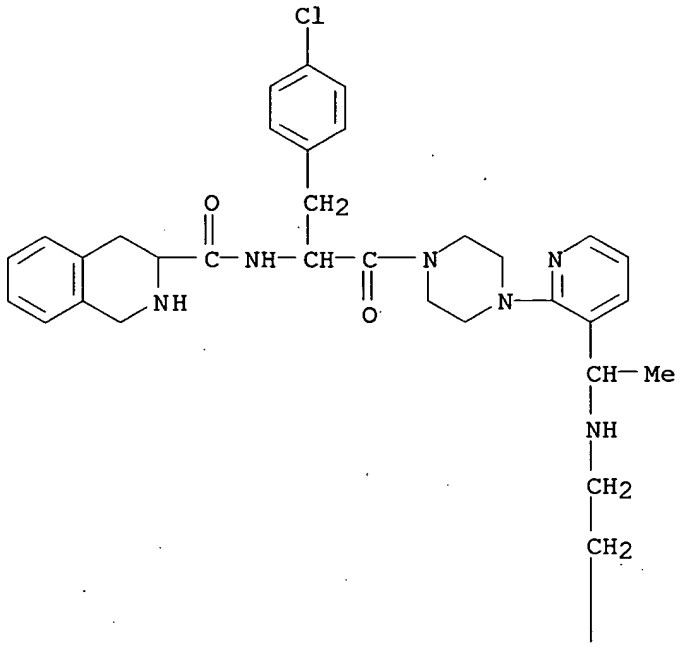
IT 626220-85-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted piperazine derivs. as melanocortin receptor ligands)

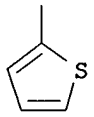
RN 626220-85-7 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[3-[1-[[2-(2-thienyl)ethyl]amino]ethyl]-2-pyridinyl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 29 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:591007 CAPLUS

DN 139:149922

TI Preparation of piperazinyl amino acid derivatives as melanocortin receptor agonists

IN Backer, Ryan Thomas; Collado Cano, Ivan; De Frutos-Garcia, Oscar; Doecke, Christopher William; Fisher, Matthew Joseph; Kuklish, Steven Lee; Mancuso, Vincent; Martinelli, Michael John; Mullaney, Jeffrey Thomas; Ornstein, Paul Leslie; Xie, Chaoyu

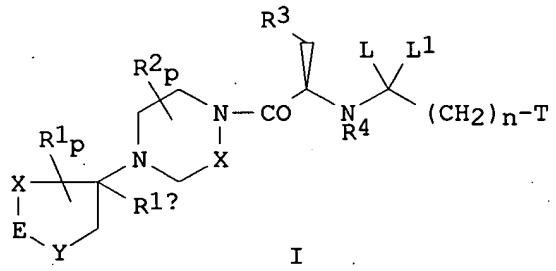
PA Eli Lilly and Company, USA

SO PCT Int. Appl., 222 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003061660	A1	20030731	WO 2003-US33	20030121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2473036	AA	20030731	CA 2003-2473036	20030121
EP 1469851	A1	20041027	EP 2003-701964	20030121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005527492	T2	20050915	JP 2003-561604	20030121
US 2005075344	A1	20050407	US 2004-500476	20040629
PRAI US 2002-351200P	P	20020123		
WO 2003-US33	W	20030121		

OS CASREACT 139:149922; MARPAT 139:149922
GI



I

AB The invention relates to melanocortin receptor (MC-R) agonists I [LL1 = H2 or oxo; E = O, S, NR1b, SO, SO2, CR9, CR92, where R1b = H, alkyl, alkylsulfonyl, etc. and R9 = H, alk(en)(yn)yl, alkanoyl, Ph, (hetero)aryl; or R9 may combine with adjacent R1 to form a carbocycle; X = CH2 or CH2CH2; Y = (CH2)0-2; the ring containing E may have a double bond; T = substituted (tetrahydro)isoquinolinyl, dihydroisoindolinyl, or piperazinyl; n = 0-8; R1 = H, alkyl, (D)cycloalkyl, aryl, carbalkoxy, etc.; R1a = H, (cyclo)alkyl, (D)(hetero)aryl, aminoalkyl, etc.; R2 = H, alkyl, alkylcarbamoyl, (D)phenyl, (D)cycloalkyl, or oxo adjacent to N attached to the ring containing E; p = 0-4; R3 = (un)substituted Ph, aryl, or thienyl; R4 = H, alkyl, alkoxyalkyl, alkanoyl, or carbalkoxy] or their pharmaceutically-acceptable salts or stereoisomers, which are useful in the treatment of obesity, diabetes, and male and/or female sexual dysfunction. Compds. I comprise three domains, i.e., a piperazinyl fragment, an amino acid, and a radical CLL1(CH2)n-T. Thus, N-[1-(4-chlorobenzyl)-2-[4-(4-isobutyl-1-isopropylpiperidin-4-yl)piperazin-1-yl]-2-oxoethyl]-2-(2,3-dihydro-1H-isoindol-1-yl)acetamide TFA salt was

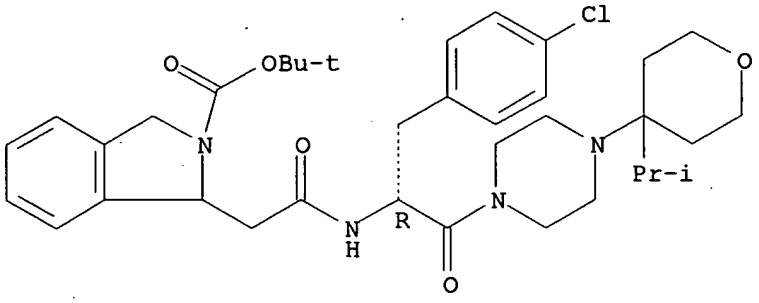
prepared via acylation of the piperazine moiety and assayed for treatment of sexual dysfunction in rat models (MC4 Ki = 9 nM, MC4 EC50 = 4.2 nM).

IT 569653-69-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinyl amino acid derivs. as melanocortin receptor agonists)

RN 569653-69-6 CAPLUS

CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[tetrahydro-4-(1-methylethyl)-2H-pyran-4-yl]-1-piperazinyl]ethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



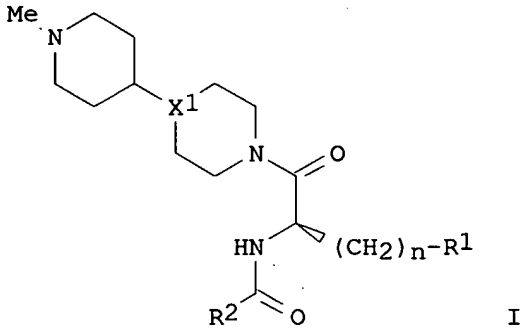
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 30 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:472507 CAPLUS
DN 139:36797
TI Preparation of alanylpiperidine heterocyclic derivatives for use in the treatment of cardiovascular diseases
IN Jones, Stuart Donald; Sall, Daniel Jon; Wiley, Michael Robert
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003050109	A1	20030619	WO 2002-US37595	20021209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002359458	A1	20030623	AU 2002-359458	20021209
EP 1456198	A1	20040915	EP 2002-793998	20021209

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

US 2004254374 A1 20041216 US 2004-496019 20040601
US 7115609 B2 20061003
PRAI US 2001-339325P P 20011212
WO 2002-US37595 W 20021209
OS MARPAT 139:36797
GI



I

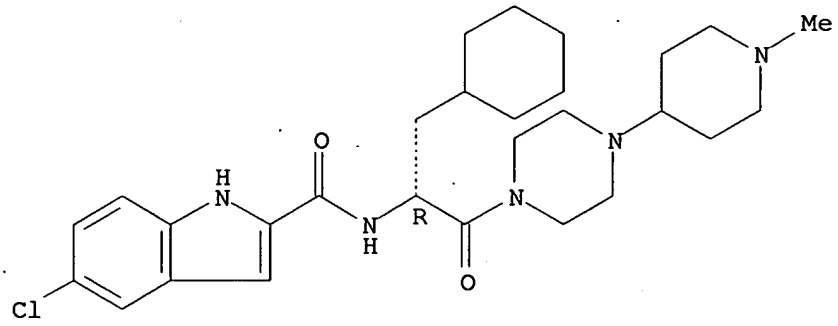
AB Compds. I [X1 = CH or N; n = 1 or 2; R1 = H or Me; R1 = CF3, CO2H, CONH2, SO2NH2, Ph, pyridyl, C-linked (N-alkyl)imidazolyl, cycloalkyl, oxa-, thia- or (N-alkyl)azacycloalkyl; R2 = 4-Cl-, 4-MeO-, or 4-MeC6H4 which may be 3-substituted, 2- or 6-indolyl which may be 5- or 3-substituted, resp., or 2-benzothienyl which may be 6-substituted] or their pharmaceutically-acceptable salts were prepared as factor Xa inhibitors useful in the treatment of thrombotic disorders. Thus, 1-[N-(indole-6-carbonyl)-β-phenyl-D-alanyl]-4-(1-methylpiperidin-4-yl)piperidine hydrochloride was prepared by coupling of N-(tert-butoxycarbonyl)-β-phenyl-D-alanine with 1-(1-methylpiperidin-4-yl)piperazine, followed by deprotection and acylation with indole-6-carboxylic acid.

IT 544478-85-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of alanylpiperidine heterocyclic derivs. as factor Xa inhibitors for use in treatment of thrombotic disorders)

RN 544478-85-5 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-1-(cyclohexylmethyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



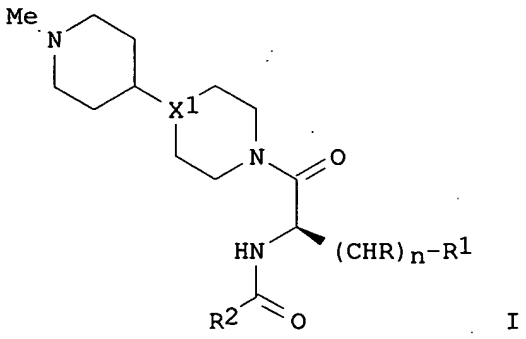
● HCl

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 31 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:472385 CAPLUS
DN 139:36796
TI Preparation of glycine derivatives as factor Xa inhibitors for use in the treatment of thrombotic disorders
IN Wiley, Michael Robert; Sall, Daniel Jon; Murray, Christopher William; Young, Stephen Clinton; Bastian, Jolie Anne
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003049735	A1	20030619	WO 2002-US36150	20021209
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002366563	A1	20030623	AU 2002-366563	20021209
	EP 1455787	A1	20040915	EP 2002-791222	20021209
	EP 1455787	B1	20050622		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	AT 298236	E	20050715	AT 2002-791222	20021209
	ES 2242086	T3	20051101	ES 2002-2791222	20021209
	US 2004249155	A1	20041209	US 2004-496020	20040601
	US 7078415	B2	20060718		
PRAI	US 2001-339326P	P	20011212		
	WO 2002-US36150	W	20021209		

OS MARPAT 139:36796
GI



I

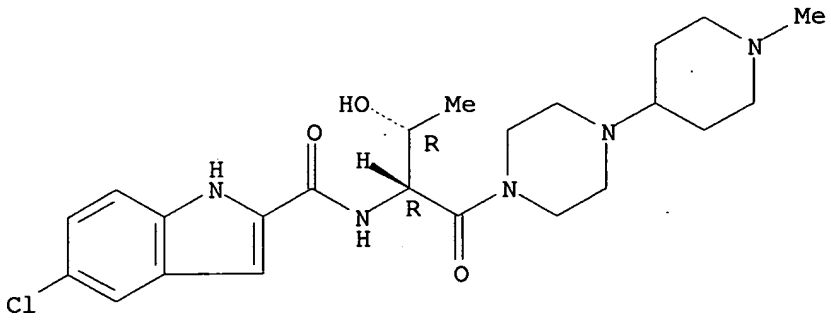
AB Compds. I [X1 = CH or N; n = 1 or 2; R = H or methyl; R1 = imidazol-1-yl or Xa-Ra, in which Xa is O, S or NRb; Ra is H, alkyl, Ph or pyridyl; Rb is H or alkyl or together with Ra and the nitrogen atom to which they are attached represents a saturated 4- to 6-membered ring which may contain O, S, NH, or alkylimino; R2 = 4-Cl-, 4-MeO-, or 4-MeC6H4 which may be 3-substituted, 2- or 6-indolyl which may be 5- or 3-substituted, resp., or 2-benzothienyl which may be 6-substituted] or their pharmaceutically-acceptable salts were prepared as factor Xa inhibitors useful in the treatment of thrombotic disorders. Thus, 1-[N-(indole-6-carbonyl)-D-serinyl]-4-(1-methylpiperidin-4-yl)piperidine hydrochloride was prepared by acylation of 1-(D-serinyl)-4-(1-methylpiperidin-4-yl)piperidine (synthesis given) with indole-6-carboxylic acid.

IT 544479-95-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of glycine derivs. as factor Xa inhibitors for treatment of thrombotic disorders)

RN 544479-95-0 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2-hydroxy-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]propyl]-, hydrochloride (10:11) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



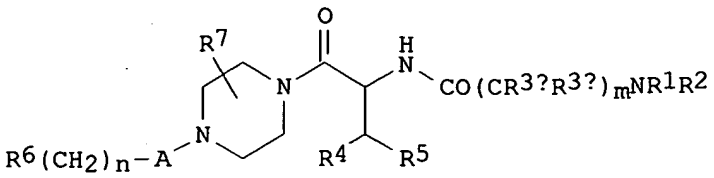
●11/10 HCl

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:301053 CAPLUS
DN 138:321578
TI Preparation of peptides as ligands of melanocortin receptors
IN Dyck, Brian P.; Goodfellow, Val; Phillips, Teresa; Parker, Jessica; Zhang, Xiaohu; Chen, Chen; Tran, Joe Anh; Pontillo, Joseph; Tucci, Fabio C.
PA Neurocrine Biosciences, Inc., USA
SO PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003031410	A1	20030417	WO 2002-US32282	20021009
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003158209	A1	20030821	US 2002-268923	20021009
	EP 1465867	A1	20041013	EP 2002-800985	20021009
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005506338	T2	20050303	JP 2003-534394	20021009
PRAI	US 2001-328295P	P	20011009		
	US 2002-366745P	P	20020322		
	WO 2002-US32282	W	20021009		

OS MARPAT 138:321578
GI



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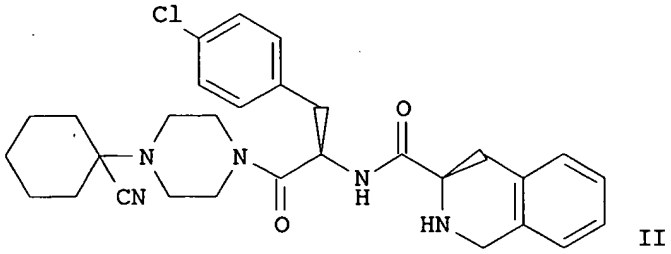


II

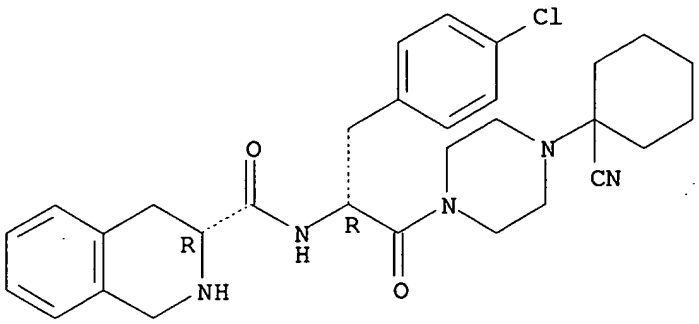
AB The invention relates to peptides I [m = 1-4; n = 0-4; A is (un)substituted alkanediyl; R1, R2, R3a, R3b = H, (un)substituted alkyl, aryl, arylalkyl, heterocyclyl, or heterocyclylalkyl or may combine to form rings; R1 or R2 may also be acyl; R4 = (un)substituted (hetero)aryl; R5 = H, OH, (un)substituted alkyl, aryl, or heterocyclyl; R6 = cyano, nitro, (un)substituted heterocyclyl, amino, carbamoyl, etc.; R7 = H or 1-4 substituents], or stereoisomers, prodrugs or pharmaceutically-acceptable salts, which function as melanocortin receptor ligands and may be used to treat disorders or illnesses including cachexia, obesity, diabetes, inflammation, and sexual dysfunction. Thus, treatment of cyclohexanone with sodium metabisulfite in H2O, followed by addition of Boc-protected piperazine and then NaCN, afforded 1-Boc-4-(1-cyanocyclohexyl)piperazine. The latter was converted into peptide II via coupling reaction.

IT 511538-63-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as ligands of melanocortin receptors)

RN 511538-63-9 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-(1-cyanocyclohexyl)-1-piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

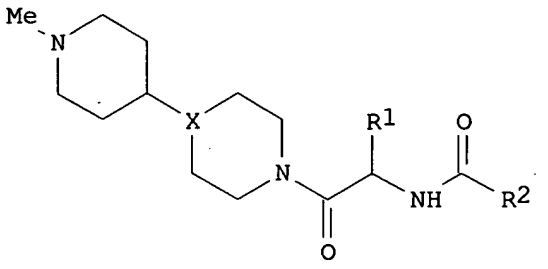


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 33 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:97413 CAPLUS
DN 138:153555
TI Preparation of piperidinyl piperazine and piperidine derivatives as thrombolytic agents
IN Wiley, Michael Robert; Liebeschuetz, John Walter; Sall, Daniel Jon
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003010160	A2	20030206	WO 2002-US21292	20020724
	WO 2003010160	A3	20031002		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002322396	A1	20030217	AU 2002-322396	20020724
	EP 1409479	A2	20040421	EP 2002-756385	20020724
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	US 2005026928	A1	20050203	US 2004-483264	20040115
PRAI	US 2001-307634P	P	20010726		
	US 2001-311462P	P	20010813		
	US 2001-339317P	P	20011212		
	WO 2002-US21292	W	20020724		

OS MARPAT 138:153555
GI



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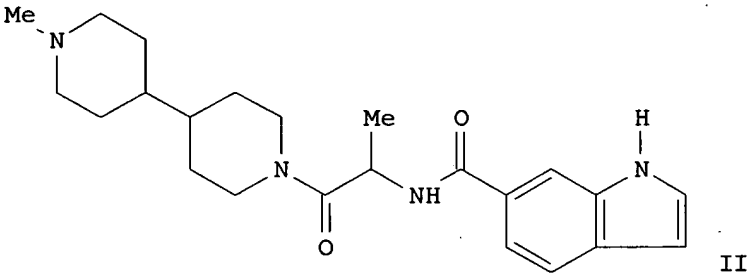


II

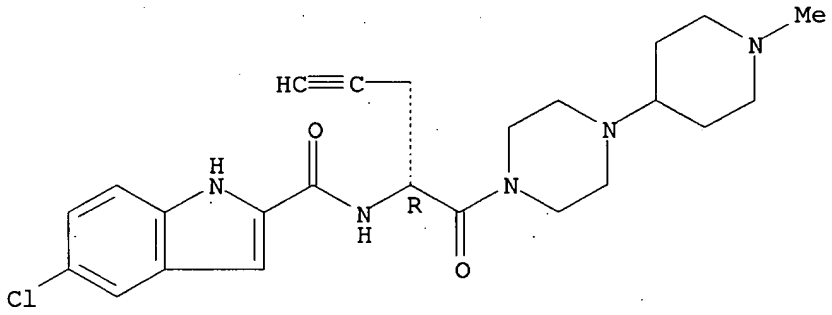
AB Piperidinyl piperazines and piperidines [I; wherein X = CH, N; R1 = (C1-C4)alkyl, (C2-C4)alkenyl, (C2-C4)alkynyl; R2 = (substituted) aryl, arenoheterocycle] were prepared For example, compound (II) was prepared by the claimed methodol. The prepared compds. are effective human Factor Xa inhibitors (Kass > 1 * 106 L/mol) and, thus, are effective as anticoagulants.

IT 495377-13-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidinyl piperazine derivs. as Factor Xa inhibitors)

RN 495377-13-4 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-3-butynyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L7 ANSWER 34 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:97304 CAPLUS
DN 138:137330
TI Preparation of substituted piperazines as agonists of melanocortin receptors useful against obesity and diabetes
IN Fotsch, Christopher H.; Arasasingham, Premilla; Bo, Yunxin; Chen, Ning; Goldberg, Martin H.; Han, Nianhe; Hsieh, Feng-Yin; Kelly, Michael G.; Liu, Qingyian; Norman, Mark H.; Smith, Duncan M.; Stec, Markian; Tamayo, Nuria; Xi, Ning; Xu, Shimin
PA Amgen Inc., USA
SO PCT Int. Appl., 331 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003009850	A1	20030206	WO 2002-US23926	20020725
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003220324	A1	20031127	US 2002-202823	20020724
	US 7115607	B2	20061003		
	CA 2454903	AA	20030206	CA 2002-2454903	20020725
	EP 1417190	A1	20040512	EP 2002-761189	20020725
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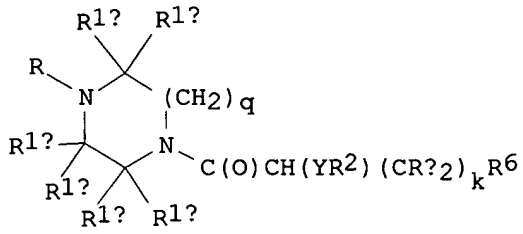
	JP 2005503369	T2	20050203	JP 2003-515242	20020725
PRAI	US 2001-307831P	P	20010725		
	US 2002-202823	A	20020724		
	WO 2002-US23926	W	20020725		

OS MARPAT 138:137330
GI



I

AB Selected substituted piperazine compds. (shown as I; variables defined below; e.g. (3S)-N-[(1S)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[(methylsulfonyl)amino]phenyl]piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydroisoquinoline-3-carboxamide) are effective for prophylaxis and

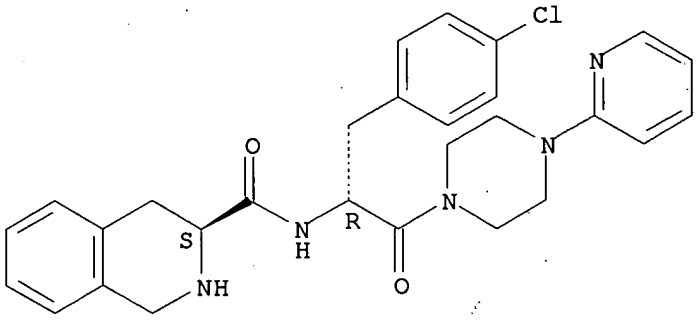
treatment of diseases, such as obesity and the like. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving activation of the melanocortin receptor. The subject invention also relates to processes for making such compds. as well as to intermediates useful in such processes. For I: Y is -NH-, -CH2-, or -O-; R = alkyl, -(CH2)n-cycloalkyl, -(CH2)n-aryl, and -(CH2)n-heterocyclyl; R1a, R1b, R1c, R1d, R1e, and R1f = R4; or R1a and R1b or R1d and R1c form oxo; or wherein R1e and R1c form an alkylenyl or alkenylenyl bridge; or R1a, R1b, R1c, R1d together with the piperazine ring forms an optionally substituted 1,2,3,4-tetrahydroquinoxalinyl ring. R2 = alkyl, -(CH2)n-cycloalkyl, -(CH2)n-aryl, -(CH2)n-heterocyclyl, -SO2R8, -C(O)R8; R4 = H, alkyl, -(CH2)n-cycloalkyl, -(CH2)n-aryl, -(CH2)n-heterocyclyl, halo, -(CH2)n-OR9, -NR9SO2R7, -[C(R7)2]pNR9SO2R7, -[C(R7)2]pNR9C(O)R7, -N(R9)2, -C(O)NR9R9, -NR9C(O)R7, -NR9CO2R7, cyano, -COOR9, -(CH2)n-C:OR7, -(CH2)n-C(S)R7, -(CH2)n-C(:NR9)R7, -NR9C(:NR7)N(R9)2, - [C(R7)2]pN(R9)2, nitro, -SO2N(R9)2, -S(O)mR7, -C(R7)2SO2CF3, hydroxyalkyl, haloalkyl and haloalkoxy. R6 = aryl and heteroaryl; Ra = H, and alkyl or the two Ra's together form cycloalkyl; k is 0 or 1; m is 0, 1 or 2; n is 0, 1, 2, 3 or 4; p is 1 or 2; and q is 1 or 2; provisos and addnl. definitions are provided. In measurements of fast-induced food intake in mice, 6 examples of I caused a reduction in feeding at concns. ≤30 mg/kg. Although the methods of preparation are not claimed, 24 example prepns. of intermediates and >400 of I are included.

IT 494783-23-2P, N-[(1R)-1-[(4-Chlorophenyl)methyl]-2-oxo-2-[4-(2-pyridyl)piperazin-1-yl]ethyl]-(3S)-1,2,3,4-tetrahydroisoquinoline-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted piperazines as agonists of melanocortin receptors useful against obesity and diabetes)

RN 494783-23-2 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 35 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:964343 CAPLUS

DN 138:29109
TI Preparation of crystal forms of antithrombotic piperazine derivative
IN Engel, Gary Lowell; Diseroad, Benjamin Alan
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100847	A2	20021219	WO 2002-US16569	20020606
	WO 2002100847	A3	20030821		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	WO 2001096323	A1	20011220	WO 2001-GB2553	20010612
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1397348	A2	20040317	EP 2002-778933	20020606
	EP 1397348	B1	20050928		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004534062	T2	20041111	JP 2003-503615	20020606
	AT 305452	E	20051015	AT 2002-778933	20020606
	US 2004162295	A1	20040819	US 2003-477192	20031117
PRAI	WO 2001-GB2553	W	20010612		
	US 2001-339295P	P	20011212		
	WO 2000-GB2302	W	20000613		
	GB 2000-30304	A	20001213		
	WO 2002-US16569	W	20020606		

AB 1-(Indole-6-carbonyl-D-phenylglycinyl)-4-(1-methylpiperidin-4-yl)piperazine difumarate forms a stable crystalline salt and is an inhibitor of the serine protease and Factor Xa, useful in the treatment of cardiovascular disorders, especially a thrombotic disorder.

IT 478279-46-8P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of crystalline forms of antithrombotic (indolecarbonyl-phenylglycinyl)(methylpiperidinyl)piperazine difumarate)

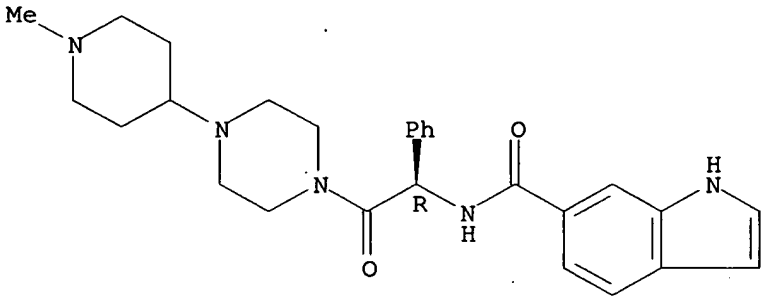
RN 478279-46-8 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA

INDEX NAME)

CM 1

CRN 313489-71-3
CMF C27 H33 N5 O2

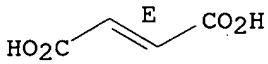
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

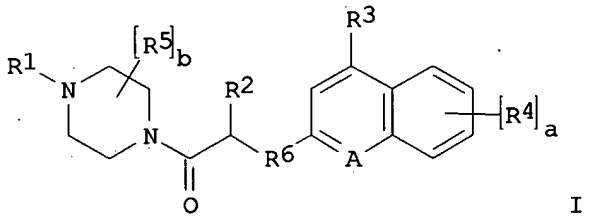


L7 ANSWER 36 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:946267 CAPLUS
DN 138:24727
TI Preparation of 2-[(piperazinocarbonylmethyl)aminocarbonyl]quinolines as platelet adenosine diphosphate receptor antagonists
IN Bryant, Judi A.; Buckman, Brad O.; Islam, Imadul; Mohan, Raju; Morrissey, Michael M.; Wei, Guo Pin; Xu, Wei; Yuang, Shendong
PA Schering Aktiengesellschaft, Germany
SO PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002098856	A2	20021212	WO 2002-US17821	20020606
	WO 2002098856	A3	20040304		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003060474	A1	20030327	US 2002-163742	20020605
	US 6861424	B2	20050301		
	AU 2002316191	A1	20021216	AU 2002-316191	20020606
	EP 1412349	A2	20040428	EP 2002-746471	20020606
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004532886	T2	20041028	JP 2003-501845	20020606
	US 2005038037	A1	20050217	US 2004-947579	20040922
	US 7026323	B2	20060411		
	US 2005065163	A1	20050324	US 2004-947635	20040922
	US 6995156	B2	20060207		
	US 2006135532	A1	20060622	US 2006-347768	20060202
PRAI	US 2001-296498P	P	20010606		
	US 2002-163742	A	20020605		
	WO 2002-US17821	W	20020606		
	US 2004-947579	A3	20040922		
OS	MARPAT 138:24727				
GI					



I

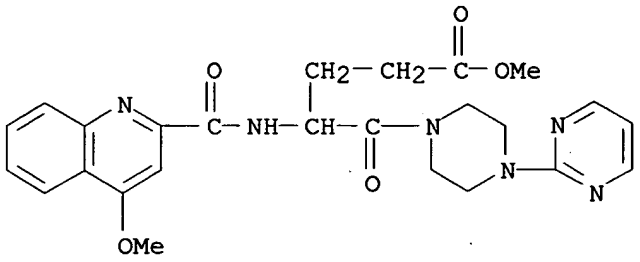
AB The title compds. [I; a, b = 1-4; A = CH, N; R1 = H, alkyl, aryl, etc.; R2 = H, alkyl, aryl, etc.; R3 = H, alkyl, OH, etc.; R4 = H, alkyl, alkoxy, etc.; R5 = H, alkyl, hydroxyalkyl, etc.; R6 = NR7CO, CONR7; R7 = H, alkyl, carboxyalkyl, alkoxycarbonylalkyl], useful as inhibitors of platelet aggregation and thrombus formation, were prepared and formulated. Thus, amidation of 7-methyl-4-hydroxy-2-carboxyquinoline with 4-ethoxycarbonyl-1-[1-amino-3-(1,1-dimethylethoxycarbonyl)propyl]carbonylpiperazine (preparation of both reactants given) afforded 68% I [R1 = CO2Et; R2 = tert-BuOCOCH2CH2; R3 = OH; R4 = 7-Me; R5 = H; R6 = NHCO; A = N]. The compds. I demonstrated their ability to inhibit the binding of [33P]-2-methylthio-ADP binding to the human platelet ADP receptor and the rat platelet ADP receptor.

IT 478003-20-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-[(piperazinocarbonylmethyl)aminocarbonyl]quinolines as platelet ADP receptor antagonists)

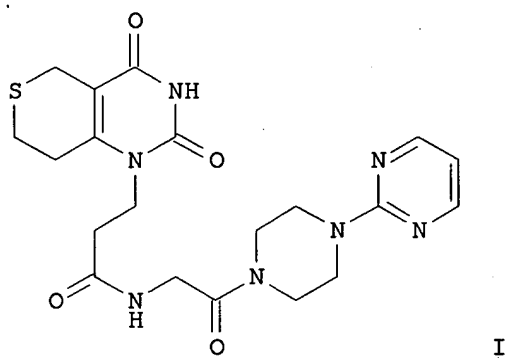
RN 478003-20-2 CAPLUS

CN 1-Piperazinepentanoic acid, γ-[[(4-methoxy-2-quinolinyl)carbonyl]amino]-δ-oxo-4-(2-pyrimidinyl)-, methyl ester

(9CI) (CA INDEX NAME)



L7 ANSWER 37 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:767295 CAPLUS
DN 138:137076
TI Substituted uracil derivatives as potent inhibitors of poly(ADP-ribose)polymerase-1 (PARP-1)
AU Steinhagen, Henning; Gerisch, Michael; Mittendorf, Joachim; Schlemmer, Karl-Heinz; Albrecht, Barbara
CS Institute of Medicinal Chemistry, Pharma Research Centre, Bayer AG, Wuppertal, D-42096, Germany
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(21), 3187-3190
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 138:137076
GI



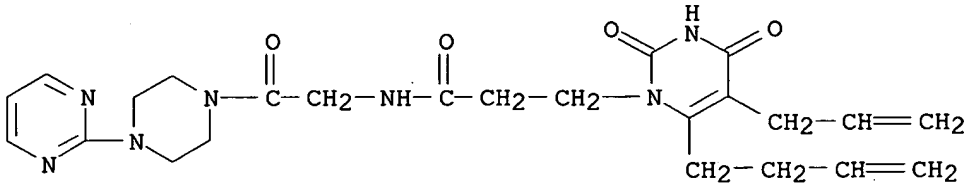
I

AB A new class of PARP-1 inhibitors, namely substituted fused uracil derivs. such as I, were synthesized. Starting from a derivative with an IC50=2 μM the chemical optimization program led to compds. with more than a 100-fold increase in potency (IC50<20 nM). Addnl., physicochem. and pharmacokinetic properties were evaluated. It could be shown that compds. bearing a piperazine or Ph substituted βAla-Gly side chain exhibited the best overall profile.
IT 491837-72-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant

or reagent)
(preparation of uracil derivs. as inhibitors of poly(ADP-ribose)polymerase-1)

RN 491837-72-0 CAPLUS

CN 1(2H)-Pyrimidinepropanamide, 6-(3-butenyl)-3,4-dihydro-2,4-dioxo-N-[2-oxo-2-[4-(2-pyrimidinyl)-1-piperazinyl]ethyl]-5-(2-propenyl)- (9CI) (CA INDEX NAME)



RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 38 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:695975 CAPLUS

DN 137:232913

TI Preparation of peptides for pharmaceutical use as modulators of melanocortin receptors

IN Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton, George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.; Thibault, Carl

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2

DT Patent

LA English

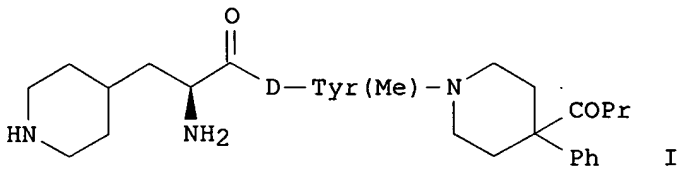
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002070511	A1	20020912	WO 2002-US6479	20020302
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2437594	AA	20020912	CA 2002-2437594	20020302
	EP 1363898	A1	20031126	EP 2002-723310	20020302
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2005511475	T2	20050428	JP 2002-569831	20020302
	US 2003092732	A1	20030515	US 2002-90582	20020304
	US 6979691	B2	20051227		
	US 2003096827	A1	20030522	US 2002-90288	20020304
	US 6713487	B2	20040330		
	US 2004229882	A1	20041118	US 2003-696761	20031029
	US 7067525	B2	20060627		

	US 2006025403	A1	20060202	US 2005-199464	20050808
PRAI	US 2001-273206P	P	20010302		
	US 2001-273291P	P	20010302		
	WO 2002-US6479	W	20020302		
	US 2002-90288	A3	20020304		
	US 2002-90582	A3	20020304		

OS MARPAT 137:232913

GI



I

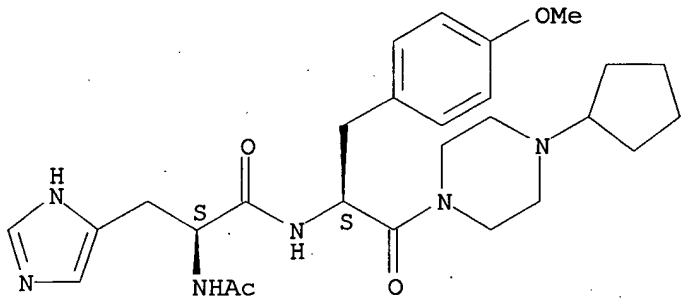
AB Compds. W-(CR6R7)yCH(G)(CR4R5)xCO-X(R1)CHR2(CHR3)r(CH2)sCO-E [X = N or CH; R1, R3 = H or alkyl; R2 = H, aryl, cycloalkyl, heteroaryl, heterocyclyl, (un)substituted alkyl or alkenyl; R1 together with R2 or R3 or R2 together with R3 form mono- or bicyclic aryl, cycloalkyl, heteroaryl, or heterocyclyl; E = (un)substituted pyrrolidino, piperidino, hexahydro-1-azepinyl, 1-piperazinyl, cyclopentyl, cyclohexyl, cycloheptyl, amino, (cyclo)alkylamino; R4-R6 = H, (un)substituted alkyl, amino, alkylamino, hydroxy, alkoxy, aryl, cycloalkyl, heteroaryl, or heterocyclyl; or CR4R5 or C6R7 is a spirocycloalkyl ring; r, s = 0 or 1; x = 0-4; y = 0-2; G = alkenyl, arylalkenyl, hydroxy, heteroaryl, cyano, functionalized alkyl or alkenyl, etc.; W = amino, alkylamino, hydroxy, alkoxy, carbamoyl, amidino, cycloalkyl, heteroaryl, heterocyclyl, etc.] were prepared as modulators of melanocortin receptors, particularly MC-1R and MC-4R. Thus, peptide I was prepared by a solution-phase peptide coupling/deprotection scheme.

IT 457904-66-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides for pharmaceutical use as modulators of melanocortin receptors)

RN 457904-66-4 CAPLUS

CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1S)-2-(4-cyclopentyl-1-piperazinyl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

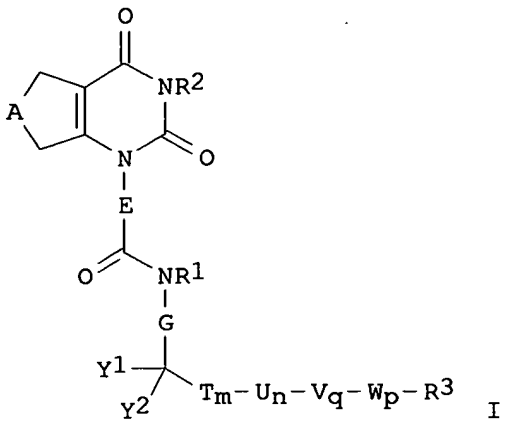


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 39 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:366971 CAPLUS
DN 136:386124
TI Preparation of amidoalkyluracils as inhibitors of poly(ADP-ribose)synthetase (PARS)
IN Albrecht, Barbara; Gerisch, Michael; Handke, Gabriele; Jensen, Axel; Krahn, Thomas; Nickl, Werner; Oehme, Felix; Schlemmer, Karl-Heinz; Steinhagen, Henning
PA Bayer Ag, Germany
SO Ger. Offen., 70 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10056312	A1	20020516	DE 2000-10056312	20001114
	CA 2428335	AA	20020523	CA 2001-2428335	20011102
	WO 2002040455	A1	20020523	WO 2001-EP12694	20011102
	WO 2002040455	C1	20020718		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002024825	A5	20020527	AU 2002-24825	20011102
	EP 1339699	A1	20030903	EP 2001-994632	20011102
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2005075347	A1	20050407	US 2003-416622	20031229
PRAI	DE 2000-10056312	A	20001114		
	WO 2001-EP12694	W	20011102		

OS MARPAT 136:386124
GI



I

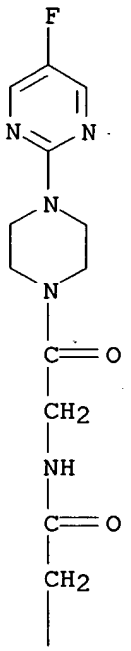
AB Title compds. [I; A = D, CH2D, DCH2, CH:CHCH2, CH2CH:CH, CH2CH2D, DCH2CH2, CH2DCH2; D = CH2, O, S; E, G = (substituted) alkylene, cycloalkylene; T = CH2; U, V = (substituted) aryl, heterocyclyl; W = O, S, CO2, OCO, NR4; R4 = H, alkyl; m, n, q, p = 0, 1; X = O, S, NR5; R5 = H, alkyl, PhCH2; Y1 = H; Y2 = OH; Y1Y2 = O, S, NR6; R6 = H, alkyl, PhCH2; R1 = H, alkyl, (halo)cycloalkyl; R2 = H, alkoxycarbonyl; R3 = (substituted) aryl, heterocyclyl] were prepared Thus, a mixture of 3-(2,4-dioxo-3,4,5,6,7,8-hexahydro-1(2H)-quinazolinyl)propanoic acid (preparation given) and 2-(2-naphthyl)-2-oxo-1-ethanamine hydrochloride in CH2Cl2 was treated with diisopropylamine and 4-dimethylaminopyridine, followed by addition of 1,3-dicyclohexylcarbodiimide at 0° and stirring for 18 h at room temperature, to give 48% 3-(2,4-dioxo-3,4,5,6,7,8-hexahydro-1(2H)-quinazolinyl)-N-[2-(2-naphthyl)-2-oxo-1-ethyl]propanamide. Several I inhibited PARS with IC50 = 8.5-80 nM.

IT 425635-35-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidoalkyluracils as inhibitors of poly(ADP-ribose)synthetase (PARS))

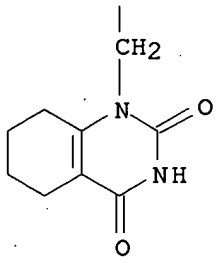
RN 425635-35-4 CAPLUS

CN 1(2H)-Quinazolinepropanamide, N-[2-[4-(5-fluoro-2-pyrimidinyl)-1-piperazinyl]-2-oxoethyl]-3,4,5,6,7,8-hexahydro-2,4-dioxo- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L7 ANSWER 40 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:923784 CAPLUS
DN 136:54020
TI Preparation of amino acid derivatives as serine protease inhibitors
IN Liebeschuetz, John Walter; Murray, Christopher William; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott Martin; Engel, David Birenbaum; Watson, Brian Morgan; Guzzo, Peter Robert; Mayer, Michael John
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 191 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001096323	A1	20011220	WO 2001-GB2553	20010612
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
	WO 2000076971	A3	20010802		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2411805	AA	20011220	CA 2001-2411805	20010612
	EP 1289972	A1	20030312	EP 2001-936686	20010612
	EP 1289972	B1	20040908		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001011451	A	20030624	BR 2001-11451	20010612
	JP 2004503547	T2	20040205	JP 2002-510466	20010612
	NZ 521896	A	20040730	NZ 2001-521896	20010612
	AT 275554	E	20040915	AT 2001-936686	20010612
	US 2003055246	A1	20030320	US 2002-30187	20020204
	US 6946467	B2	20050920		
	WO 2002100847	A2	20021219	WO 2002-US16569	20020606
	WO 2002100847	A3	20030821		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1397348	A2	20040317	EP 2002-778933	20020606
	EP 1397348	B1	20050928		
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	JP 2004534062	T2	20041111	JP 2003-503615	20020606
	AT 305452	E	20051015	AT 2002-778933	20020606
	ES 2248618	T3	20060316	ES 2002-2778933	20020606
	NO 2002005665	A	20021125	NO 2002-5665	20021125
	HR 20020997	B1	20050228	HR 2002-997	20021212
	HK 1054379	A1	20050324	HK 2003-106546	20030911
	US 2004162295	A1	20040819	US 2003-477192	20031117
	US 2004142963	A1	20040722	US 2004-754923	20040112

	US 6936611	B2	20050830		
	US 2004176363	A1	20040909	US 2004-803157	20040318
PRAI	WO 2000-GB2302	W	20000613		
	GB 2000-30304	A	20001213		
	GB 1999-13823	A	19990614		
	US 1999-142064P	P	19990702		
	GB 1999-18741	A	19990809		
	GB 1999-29553	A	19991214		
	WO 2001-GB2553	W	20010612		
	US 2001-339295P	P	20011212		
	US 2002-30187	A1	20020204		
	WO 2002-US16569	W	20020606		

OS MARPAT 136:54020

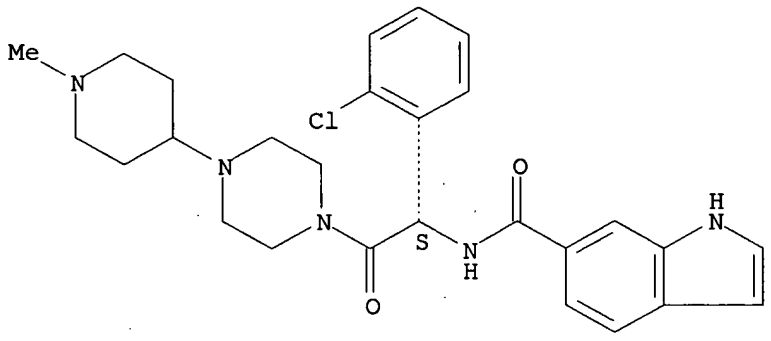
AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 is a 5- or 6-membered aromatic carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5- or 6-membered carbocyclic or heterocyclic ring, or substituted at the position alpha to X-X, with the proviso that R2 can not be aminoisoquinolyl; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group [at least one X is C, CO, CR1a or C(R1a)2], where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)saturated, mono- or polycyclic, homo- or heterocyclic group; -L-Lp(D)n is 4-substituted 1-piperazinecarbonyl] or their physiol.-tolerable salts were prepared for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(4-methoxybenzoyl-D-phenylglycinyl)-4-phenethylpiperazine was prepared in the first of 82 examples.

IT 381722-57-2P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of amino acid derivs. as serine protease inhibitors)

RN 381722-57-2 CAPLUS

CN 1H-Indole-6-carboxamide, N-[(1S)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



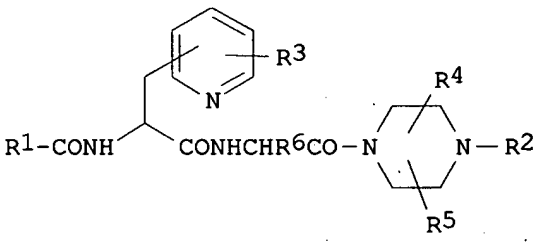
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 41 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:338558 CAPLUS
DN 134:340709
TI Preparation of substituted dipeptides having NOS inhibiting activity
IN Shima, Ichiro; Ohkawa, Takehiko; Ohne, Kazuhiko; Sato, Kentaro; Ishibashi, Naoki; Imamura, Kenichiro
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001032690	A1	20010510	WO 2000-JP7579	20001027
	W: BR, CA, CN, JP, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 1226159	A1	20020731	EP 2000-970164	20001027
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	JP 2003513104	T2	20030408	JP 2001-535389	20001027
	US 6825200	B1	20041130	US 2002-111412	20020506
PRAI	AU 1999-3868	A	19991104		
	WO 2000-JP7579	W	20001027		

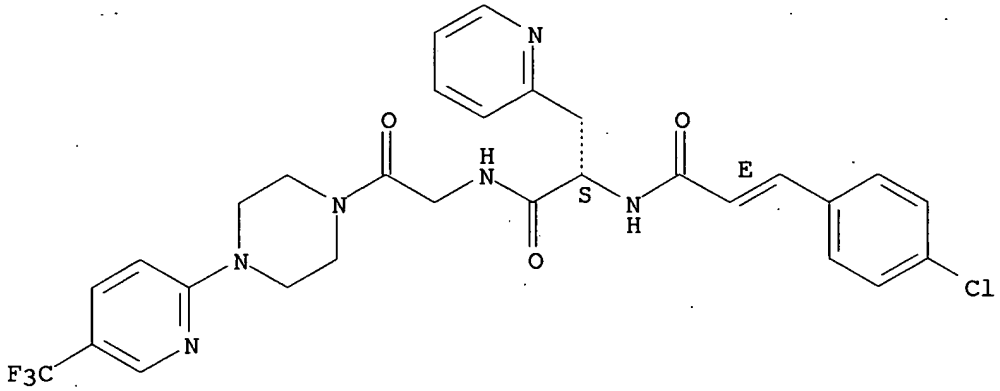
OS MARPAT 134:340709
GI



I

AB Dipeptides I [R1 is benzofuranyl or styryl substituted by halogen; R2 is (un)substituted Ph, pyridyl, thienyl, or thiazolyl; R3, R6 = H or lower alkoxy; R4, R5 = H, lower alkyl or optionally protected hydroxy(lower)alkyl] or their pharmaceutically acceptable salts were prepared for use in the prevention and/or treatment of nitric oxide-mediated diseases. Thus, 5-chloro-N-[(1S)-2-[[2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxoethyl]amino]-2-oxo-1-(2-pyridylmethyl)ethyl]-1-benzofuran-2-carboxamide (II) was prepared via amidation reaction and showed 100% inhibition of nitric acid. The combination of compound II and FK507 dramatically prolonged graft survival in rat cardiac allograft.
IT 337530-45-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted dipeptides having NOS inhibiting activity)
RN 337530-45-7 CAPLUS
CN 2-Pyridinepropanamide, α-[[(2E)-3-(4-chlorophenyl)-1-oxo-2-propenyl]amino]-N-[2-oxo-2-[4-[5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]ethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 42 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:114968 CAPLUS
DN 134:183478
TI Use of CGRP antagonists and CGRP release inhibitors for controlling menopausal hot flashes
IN Doods, Henri; Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard
PA Boehringer Ingelheim Pharma K.-G., Germany
SO PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001010425	A2	20010215	WO 2000-EP7613	20000805
	WO 2001010425	A3	20020207		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 19937304	A1	20010315	DE 1999-19937304	19990810
	DE 19937304	C2	20030821		
	US 6521609	B1	20030218	US 2000-614343	20000712
	CA 2378428	AA	20010215	CA 2000-2378428	20000805
	BR 2000013009	A	20020430	BR 2000-13009	20000805
	TR 200200359	T2	20020521	TR 2002-359	20000805
	EP 1207884	A2	20020529	EP 2000-958385	20000805
	EP 1207884	B1	20041103		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

	ZA 2002000997	A	20020821	ZA 2002-997	20000805
	JP 2003506403	T2	20030218	JP 2001-514945	20000805
	EE 200200061	A	20030415	EE 2002-61	20000805
	NZ 517367	A	20040924	NZ 2000-517367	20000805
	AU 777709	B2	20041028	AU 2000-69928	20000805
	AT 281168	E	20041115	AT 2000-958385	20000805
	PT 1207884	T	20041231	PT 2000-958385	20000805
	ES 2231243	T3	20050516	ES 2000-958385	20000805
	BG 106391	A	20020930	BG 2002-106391	20020206
	NO 2002000605	A	20020207	NO 2002-605	20020207
	HK 1046854	A1	20050225	HK 2002-108347	20021119
PRAI	DE 1999-19937304	A	19990810		
	US 2000-184800P	P	20000224		
	WO 2000-EP7613	W	20000805		

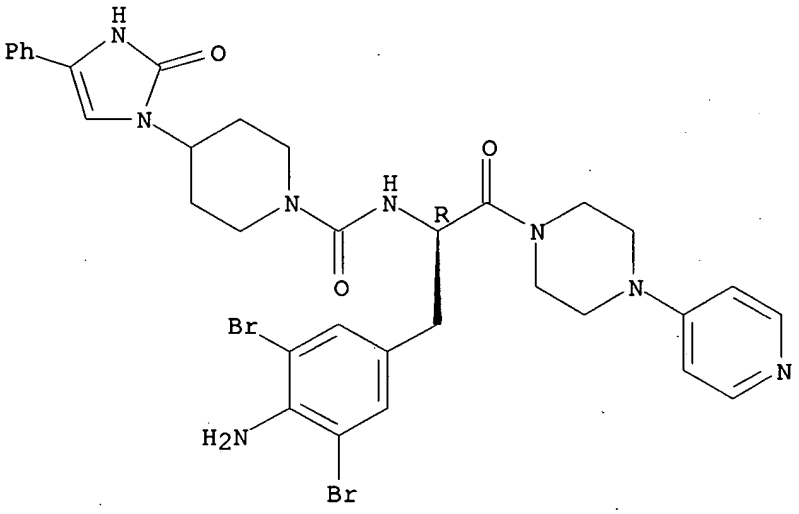
AB The invention relates to the use of CGRP antagonists and CGRP release inhibitors for controlling menopausal hot flashes. Thus, tablets contained a piperazine derivative containing D-tyrosine and D-lysine residues 20, lactose 120, corn starch 40, Mg stearate 2, and Povidone K-25 18 mg.

IT 204696-63-9
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CGRP antagonists and CGRP release inhibitors for controlling menopausal hot flashes)

RN 204696-63-9 CAPLUS

CN 1-Piperidinecarboxamide, N-[(1R)-1-[(4-amino-3,5-dibromophenyl)methyl]-2-oxo-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]-4-(2,3-dihydro-2-oxo-4-phenyl-1H-imidazol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 43 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:900614 CAPLUS

DN 134:56958

TI Preparation of amino acid derivatives as serine protease inhibitors

IN Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James;

Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert
PA Eli Lilly and Company, USA; Protherics Molecular Design Limited
SO PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
	WO 2000076971	A3	20010802		
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	CA 2375920	AA	20001221	CA 2000-2375920	20000613
	AU 2000054140	A5	20010102	AU 2000-54140	20000613
	EP 1192132	A2	20020403	EP 2000-938916	20000613
	EP 1192132	B1	20050907		
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	JP 2003502314	T2	20030121	JP 2001-503831	20000613
	AT 303988	E	20050915	AT 2000-938916	20000613
	ES 2248084	T3	20060316	ES 2000-938916	20000613
	CA 2411798	AA	20011220	CA 2001-2411798	20010612
	CA 2411805	AA	20011220	CA 2001-2411805	20010612
	WO 2001096296	A1	20011220	WO 2001-GB2541	20010612
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	WO 2001096323	A1	20011220	WO 2001-GB2553	20010612
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO	2001096304	A1	20011220	WO 2001-GB2572	20010612
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EP	1289972	A1	20030312	EP 2001-936686	20010612
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EP	1289950	B1	20040908		
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JP	2004503532	T2	20040205	JP 2002-510440	20010612
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EP	1510515	A1	20050302	EP 2004-77367	20010612
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ES	2228869	T3	20050416	ES 2001-1936686	20010612
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AT	303989	E	20050915	AT 2001-938403	20010612
AT	304532	E	20050915	AT 2001-940716	20010612
ES	2247120	T3	20060301	ES 2001-1938403	20010612
ES	2248341	T3	20060316	ES 2001-1940716	20010612
US	6855715	B1	20050215	US 2001-926712	20011206
US	2002151724	A1	20021017	US 2002-30186	20020204
US	6784182	B2	20040831		
US	2003078438	A1	20030424	US 2002-30189	20020204
US	6878725	B2	20050412		
US	2003109706	A1	20030612	US 2002-30188	20020204
US	7053078	B2	20060530		
ZA	2002009153	A	20040213	ZA 2002-9153	20021111
NO	2002005665	A	20021125	NO 2002-5665	20021125
HR	20020997	B1	20050228	HR 2002-997	20021212
HK	1054379	A1	20050324	HK 2003-106546	20030911
US	2004142963	A1	20040722	US 2004-754923	20040112
US	6936611	B2	20050830		

	US 2004176363	A1	20040909	US 2004-803157	20040318
	US 2004242656	A1	20041202	US 2004-876672	20040628
	US 2004259868	A1	20041223	US 2004-883715	20040706
	US 6900196	B2	20050531		
	US 2005032790	A1	20050210	US 2004-923010	20040823
PRAI	GB 1999-13823	A	19990614		
	US 1999-142064P	P	19990702		
	GB 1999-18741	A	19990809		
	GB 1999-29553	A	19991214		
	WO 2000-GB2302	W	20000613		
	GB 2000-30303	A	20001213		
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	GB 2000-30305	A	20001213		
	GB 2000-30306	A	20001213		
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	WO 2001-GB2551	W	20010612		
	WO 2001-GB2553	W	20010612		
	WO 2001-GB2572	W	20010612		
	US 2001-926712	A3	20011206		
	US 2002-30187	A1	20020204		
	US 2002-30188	A3	20020204		
	US 2002-30189	A3	20020204		

OS MARPAT 134:56958

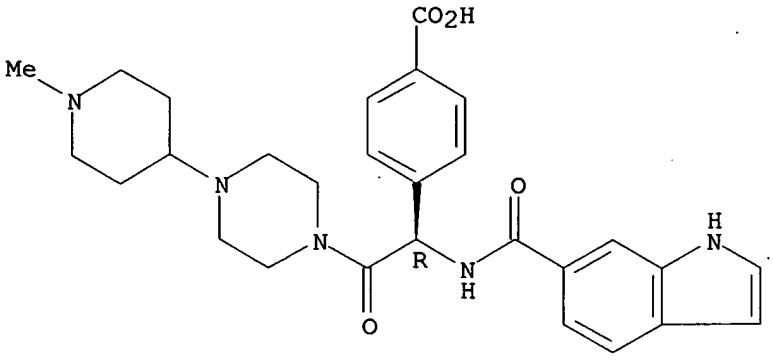
AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered aromatic carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring or substituted at the position alpha to X-X; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an organic linker group containing 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)saturated, mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic organic group; D is a hydrogen bond donor group; n = 0-2] were prepared for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepared and shown to double the prothrombin time at a concentration of 26 μM.

IT 313488-33-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as serine protease inhibitors)

RN 313488-33-4 CAPLUS

CN Benzoic acid, 4-[(1R)-1-[(1H-indol-6-ylcarbonyl)amino]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 44 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:900613 CAPLUS
DN 134:56957
TI Preparation of amino acid derivatives as serine protease inhibitors
IN Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Masters, John Joseph; Wiley, Michael John
PA Eli Lilly and Company, USA; Protherics Molecular Design Limited
SO PCT Int. Appl., 350 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076970	A2	20001221	WO 2000-GB2296	20000613
	WO 2000076970	A3	20010719		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	EP 1192135	A2	20020403	EP 2000-938912	20000613
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	GB 1999-13823	A	19990614		
	US 1999-142064P	P	19990702		
	GB 1999-18741	A	19990809		
	GB 1999-29552	A	19991214		
	GB 1999-29553	A	19991214		
	WO 2000-GB2296	W	20000613		

OS MARPAT 134:56957
AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered aromatic carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at

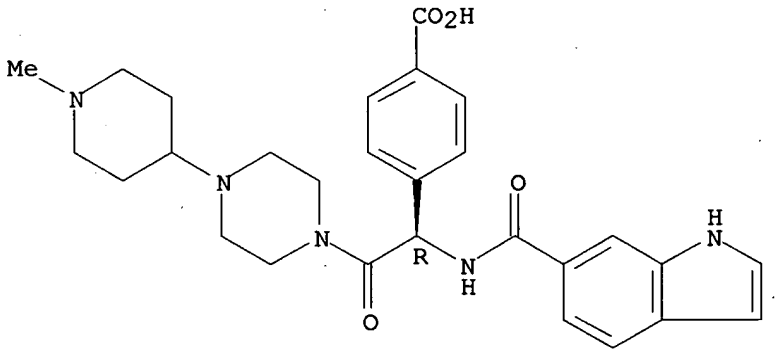
these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an organic linker group containing 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)saturated, mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic organic group; D is a hydrogen bond donor group; n = 0-2] were prepared for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepared and shown to double the prothrombin time at a concentration of 26 μM.

IT 313488-33-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as serine protease inhibitors)

RN 313488-33-4 CAPLUS

CN Benzoic acid, 4-[(1R)-1-[(1H-indol-6-ylcarbonyl)amino]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 45 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:643016 CAPLUS

DN 133:223053

TI Preparation of amino acid amide derivatives for use as calcitonin gene-related peptide antagonists in pharmaceutical compositions

IN Eberlein, Wolfgang; Rudolf, Klaus; Engel, Wolfhard; Doods, Henri; Hallermayer, Gerhard

PA Boehringer Ingelheim Pharma K.-G., Germany

SO Ger. Offen., 36 pp.
CODEN: GWXXBX

DT Patent

LA German

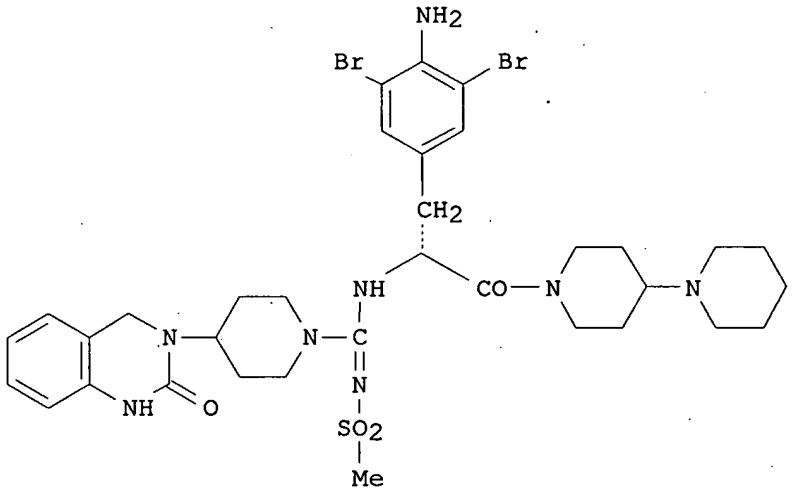
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19911039	A1	20000914	DE 1999-19911039	19990312
	CA 2361939	AA	20000921	CA 2000-2361939	20000308

	WO 2000055154	A1	20000921	WO 2000-EP2004	20000308
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1163239	A1	20011219	EP 2000-922505	20000308
	EP 1163239	B1	20030528		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002539208	T2	20021119	JP 2000-605583	20000308
	JP 3719937	B2	20051124		
	AT 241616	E	20030615	AT 2000-922505	20000308
	PT 1163239	T	20031031	PT 2000-922505	20000308
	ES 2199819	T3	20040301	ES 2000-922505	20000308
	US 6313097	B1	20011106	US 2000-523472	20000310
PRAI	DE 1999-19911039	A	19990312		
	US 1999-129937P	P	19990419		
	WO 2000-EP2004	W	20000308		

OS MARPAT 133:223053

GI



I

AB Title compds., e.g.(I; see patent for general claims), were prepared and tested as CGRP antagonists for use in pharmaceutical prepns. for treatment of headache, non-insulin dependent diabetes mellitus, cardiovascular diseases, skin diseases, inflammatory diseases, allergic rhinitis, asthma, morphine tolerance, and menopausal hot flashes (formulations given), and for use as diagnostic or anal. aides in RIA or ELISA assays and as diagnostic or analytic auxiliary agents in neurotransmitter research. Thus, di-Ph methanesulfonylimidocarbonate was reacted with 1-(4-amino-3,5-dibromo-D-phenylalanyl)-4-(1-piperidinyl)piperidine (as the bis-trifluoroacetate salt), and the product further reacted with

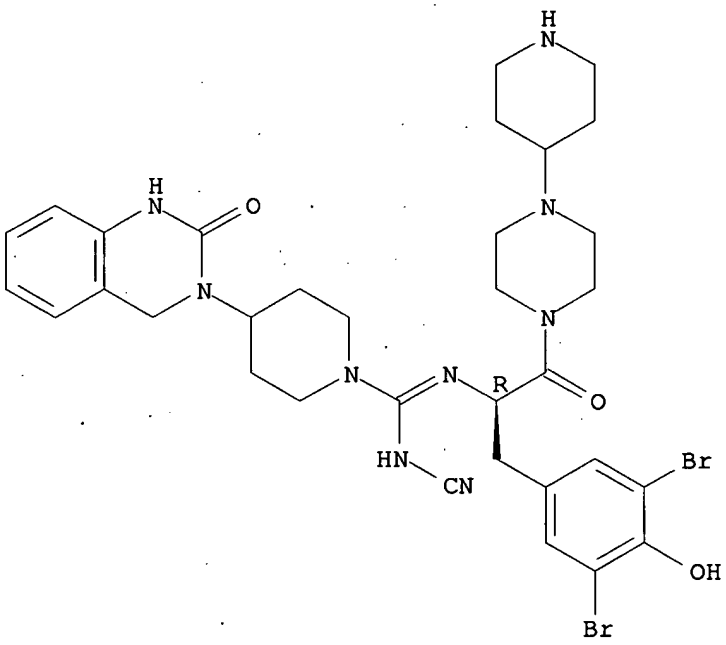
3,4-dihydro-3-(4-piperidinyl)-2(1H)-quinazolinone to give I (27%). In in vitro tests of human calcitonin gene related peptide (CGRP) receptor binding using Sk-N-MC-cells, title compds. had IC50 ≤ 104 nM, and in the same system, had CGRP-antagonist activity at doses from 10-11-10-5M.

IT 291509-50-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid amide derivs. for use as calcitonin gene-related peptide antagonists)

RN 291509-50-7 CAPLUS

CN Piperazine, 1-[(2R)-2-[[(cyanoamino)[4-(1,4-dihydro-2-oxo-3(2H)-quinazolinyl)-1-piperidinyl]methylene]amino]-3-(3,5-dibromo-4-hydroxyphenyl)-1-oxopropyl]-4-(4-piperidinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 46 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:184268 CAPLUS

DN 130:223587

TI 1-amino-7-isoquinoline derivatives as serine protease inhibitors

IN Liebeschuetz, John Walter; Wylie, William Alexander; Waszkowycz, Bohdan; Murray, Christopher William; Rimmer, Andrew David; Welsh, Pauline Mary; Jones, Stuart Donald; Roscoe, Jonathan Michael Ernest; Young, Stephen Clinton; Morgan, Phillip John; Camp, Nicholas Paul; Crew, Andrew Philip Austin

PA Proteus Molecular Design Ltd., UK

SO PCT Int. Appl., 89 pp.
CODEN: PIXXD2

DT Patent

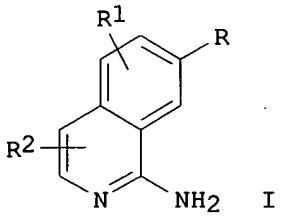
LA English

FAN.CNT 13

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 9911657	A1	19990311	WO 1998-GB2600	19980828
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9888753	A1	19990322	AU 1998-88753	19980828
	EP 1012166	A1	20000628	EP 1998-940425	19980828
	EP 1012166	B1	20031029		
	R: CH, DE, ES, FR, GB, IT, LI, NL				
	US 6262069	B1	20010717	US 2000-485677	20000225
	US 2002040144	A1	20020404	US 2001-865418	20010529
	US 6420438	B1	20020716	US 2000-865418	20010529
PRAI	GB 1997-18392	A	19970829		
	GB 1998-3173	A	19980213		
	WO 1998-GB2600	W	19980828		
	US 2000-485677	A1	20000225		
OS	MARPAT 130:223587				

GI



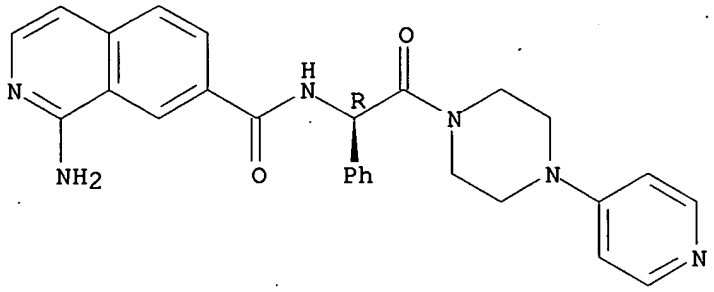
I

AB Aminoisoquinoline amino acid derivs. I [R1 = H, halo, cyano, nitro, hydroxy, amino, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, thiol, alkylthio, aminosulfonyl, alkoxyalkyl, alkoxycarbonyl, acyloxymethoxycarbonyl or alkylamino (optionally substituted); R2 = H, halo, Me, amino, hydroxy, or oxo; and R is X-X-Y(R7)-L-Lp(D)n, where each X independently is a C, N, O or S atom or a CO, CR1, CR12 or NR1 group; Y is a nitrogen atom or a CR1 group or Y and L taken together form a cyclic group; R7 is a lipophilic group selected from alkyl, alkenyl, mono- or bi-cycloalkyl, aryl, heteroaryl, mono- or bicycloalkylalkyl, mono- or bicycloalkylalkenyl, aralkyl, heteroaryl-alkyl, arylalkenyl, heteroarylalkenyl, all optionally substituted by a group R1; L is an organic linker group containing 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Lp is a lipophilic organic group selected from alkyl, heterocyclic, alkenyl, alkaryl, cycloalkyl, polycycloalkyl, cycloalkenyl, aryl, aralkyl or haloalkyl group or a combination of two or more such groups optionally substituted by one or more of oxa, thia, aza or R1 groups; D is a hydrogen bond donor group; and n is 0, 1, or 2] or their 3,4-dihydro derivs. were prepared as serine protease inhibitors. Thus, 1-aminoisoquinolin-7-oyl-D-phenylglycine-4-methoxybenzylamide was prepared by amidation of Boc-D-phenylglycine with 4-methylbenzylamine, followed by deprotection and coupling with 1-aminoisoquinoline-7-carboxylic acid trifluoroacetate.

IT 221049-85-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoisoquinoline peptidyl derivs. as serine protease inhibitors)
RN 221049-85-0 CAPLUS
CN 7-Isoquinolinecarboxamide, 1-amino-N-[(1R)-2-oxo-1-phenyl-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

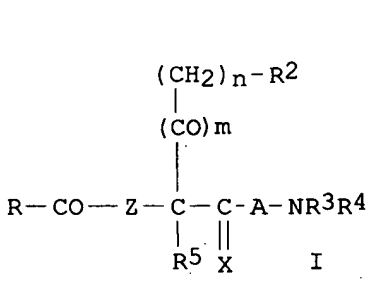
L7 ANSWER 47 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:197358 CAPLUS
DN 128:257695
TI Preparation of modified amino acids and their use as calcitonin gene-related peptide antagonists in pharmaceutical compositions
IN Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Pieper, Helmut; Doods, Henri; Hallermayer, Gerhard; Entzeroth, Michael; Wienen, Wolfgang
PA Karl Thomae G.m.b.H., Germany
SO PCT Int. Appl., 461 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9811128	A1	19980319	WO 1997-EP4862	19970908
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19636623	A1	19980312	DE 1996-19636623	19960910
DE 19720011	A1	19981119	DE 1997-19720011	19970514
CA 2262818	AA	19980319	CA 1997-2262818	19970908
AU 9741196	A1	19980402	AU 1997-41196	19970908
AU 721035	B2	20000622		
EP 927192	A1	19990707	EP 1997-938928	19970908
EP 927192	B1	20040512		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

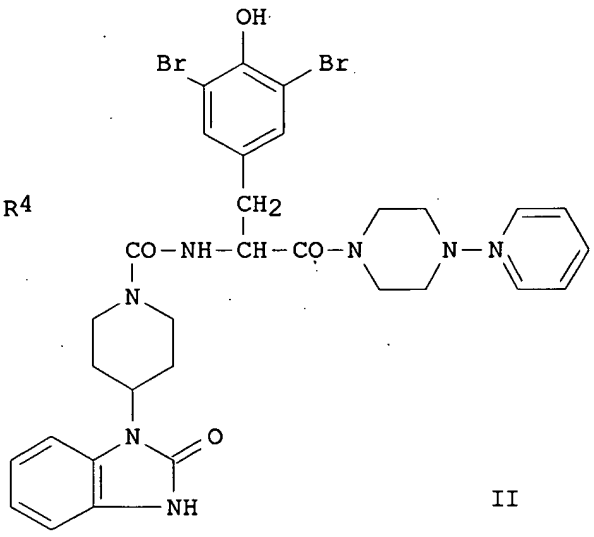
	BR 9712023	A	19990831	BR 1997-12023	19970908
	JP 2000505100	T2	20000425	JP 1998-513227	19970908
	JP 3483893	B2	20040106		
	AT 266673	E	20040515	AT 1997-938928	19970908
	EE 4375	B1	20041015	EE 1999-115	19970908
	PL 190180	B1	20051130	PL 1997-331989	19970908
	NO 9901130	A	19990505	NO 1999-1130	19990309
	KR 2000044040	A	20000715	KR 1999-702008	19990310
	BG 64214	B1	20040531	BG 1999-103250	19990315
	US 6344449	B1	20020205	US 1999-254281	19991012
	HK 1021192	A1	20040430	HK 1999-105722	19991208
	US 2001036946	A1	20011101	US 2001-789391	20010221
	US 2003069231	A1	20030410	US 2002-119875	20020410
	US 2004214819	A1	20041028	US 2004-835495	20040429
PRAI	DE 1996-19636623	A	19960910		
	DE 1997-19720011	A	19970514		
	WO 1997-EP4862	W	19970908		
	US 1999-254281	A1	19991012		
	US 2001-789391	A1	20010221		
	US 2002-119875	B1	20020410		

OS MARPAT 128:257695

GI



I



II

AB The invention concerns modified amino acids of general formula I [A = bond, CX; Z = CH2, NR1; R1 = H, alkyl, phenyl-alkyl; X = O, H,H; n = 1-2; m = 0-1; R = (substituted)alkyl; R2 = Ph, (substituted)(hetero)(bi)cycle; R3 = H, (substituted)alkyl, Ph, pyridinyl; R4 = H, (substituted)alkyl; R3R4= (hetero)cycle; R5 = H, alkyl, alkoxycarbonyl, PhCH2], pharmaceuticals containing these compds., their use and the method for their production, as well as their use for the production and purification of antibodies and as marked compds. in RIA and ELISA assays and as diagnostic or analytic auxiliary agents in neurotransmitter research. Thus, 3,5-dibromo-N2-[4-

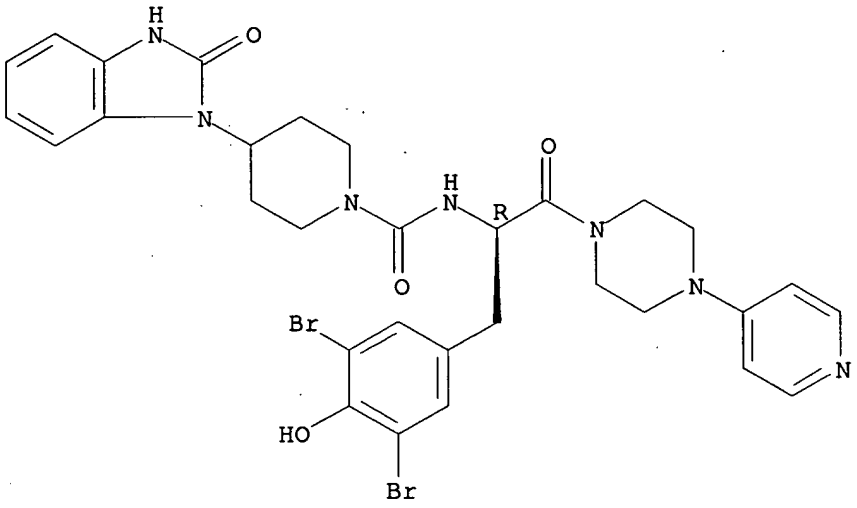
(1,3-dihydro-2(2H)-oxo-benzimidazol-1-yl)-1-piperidinyl]carbonyl-D-tyrosine was reacted with 1-(4-pyridinyl)-piperazine, to give II(22%). Title compds. show human calcitonin gene related peptide (CGRP) antagonist activity; in in-vitro binding studies with Sk-N-MC-cells, I had IC50 ≤10000 nM, and in the same system, had CGRP-antagonist activity at doses from 10-11 to 10-6 M.

IT 204695-32-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acids and their use as calcitonin gene-related peptide antagonists in pharmaceutical compns.)

RN 204695-32-9 CAPLUS

CN 1-Piperidinecarboxamide, N-[1-[(3,5-dibromo-4-hydroxyphenyl)methyl]-2-oxo-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]-4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 48 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:186625 CAPLUS
DN 128:230701
TI Preparation of varied amino acids as calcitonin gene-related peptide antagonists in pharmaceutical compositions
IN Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Pieper, Helmut; Doods, Henri; Hallermayer, Gerhard; Entzeroth, Michael; Wienen, Wolfgang
PA Karl Thomae G.m.b.H., Germany
SO Ger. Offen., 142 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19636623	A1	19980312	DE 1996-19636623	19960910

CA	2262818	AA	19980319	CA 1997-2262818	19970908
WO	9811128	A1	19980319	WO 1997-EP4862	19970908
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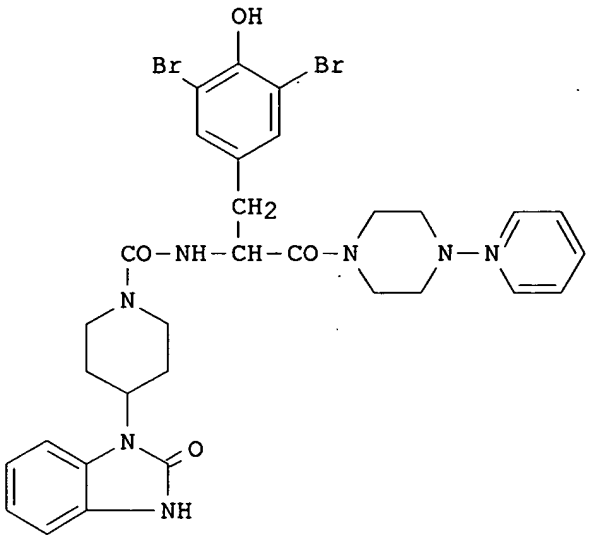
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU	9741196	A1	19980402	AU 1997-41196	19970908
AU	721035	B2	20000622		
EP	927192	A1	19990707	EP 1997-938928	19970908
EP	927192	B1	20040512		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR	9712023	A	19990831	BR 1997-12023	19970908
CN	1230196	A	19990929	CN 1997-197772	19970908
CN	1129605	B	20031203		
JP	2000505100	T2	20000425	JP 1998-513227	19970908
JP	3483893	B2	20040106		
JP	2003300959	A2	20031021	JP 2003-21750	19970908
AT	266673	E	20040515	AT 1997-938928	19970908
EP	1440976	A1	20040728	EP 2004-3959	19970908
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PT	927192	T	20040930	PT 1997-938928	19970908
EE	4375	B1	20041015	EE 1999-115	19970908
ES	2221691	T3	20050101	ES 1997-938928	19970908
PL	190180	B1	20051130	PL 1997-331989	19970908
ZA	9708083	A	19991217	ZA 1997-8083	19970909
HR	970481	B1	20031031	HR 1997-481	19970909
TW	477792	B	20020301	TW 1997-86113120	19970910
TW	498076	B	20020811	TW 2000-89125839	19970910
NO	9901130	A	19990505	NO 1999-1130	19990309
BG	64214	B1	20040531	BG 1999-103250	19990315
US	6344449	B1	20020205	US 1999-254281	19991012
HK	1021192	A1	20040430	HK 1999-105722	19991208
PRAI DE	1996-19636623	A	19960910		
DE	1997-19720011	A	19970514		
EP	1997-938928	A3	19970908		
JP	1998-513227	A3	19970908		
WO	1997-EP4862	W	19970908		
OS	MARPAT 128:230701				
GI					



II

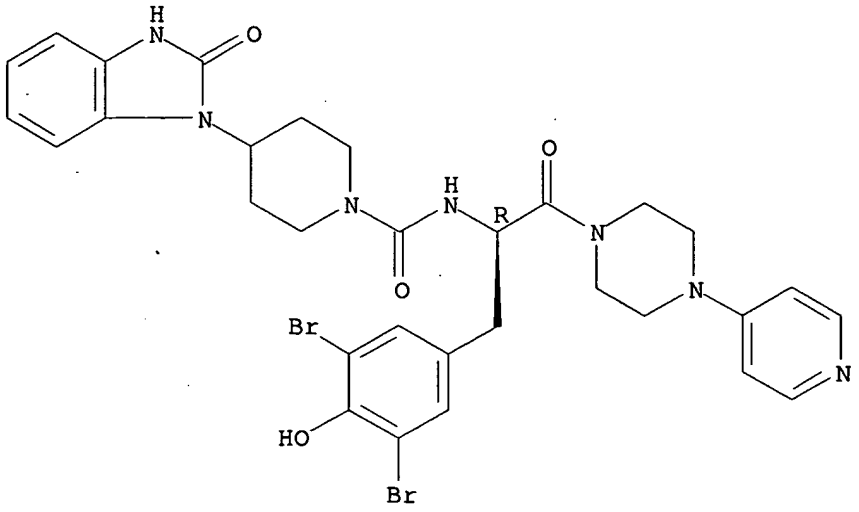
AB Title compds. RCOZCR1R2C(:X)ANR3R4 [(I); R = (substituted) alkyl; R1 = H, alkyl, PhCH2; R2 = (CO)m(CH2)nR5; m = 0, 1; n = 1, 2; R5 = Ph, heterocycle; X = O, (H,H); Z = CH2, NR6; R6 = H, alkyl, phenyl-alkyl; A = bond, proline; R3 = H, substituted alkyl, Ph, pyridinyl; R4 = H, substituted alkyl; NR3R4 = (substituted) heterocycle], useful as calcitonin gene-related peptide (CGRP) antagonists, were prepared Thus, 3,5-dibromo-N2-[4-(1,3-dihydro-2(2H)-oxo-benzimidazol-1-yl)-1-piperidinyl]carbonyl-D-tyrosine was reacted with 1-(4-pyridinyl)-piperazine, to give II (22%). In in-vitro binding studies with human CGRP-receptors, I had IC50 ≤10000 nM; in CGRP-antagonist in vitro tests, I was effective at doses from 10-11 to 10-5 M.

IT 204695-32-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acids and their use as calcitonin gene-related peptide antagonists in pharmaceutical compns.)

RN 204695-32-9 CAPLUS

CN 1-Piperidinecarboxamide, N-[1-[(3,5-dibromo-4-hydroxyphenyl)methyl]-2-oxo-2-[4-(4-pyridinyl)-1-piperazinyl]ethyl]-4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-, (R)- (9CI) (CA INDEX NAME)

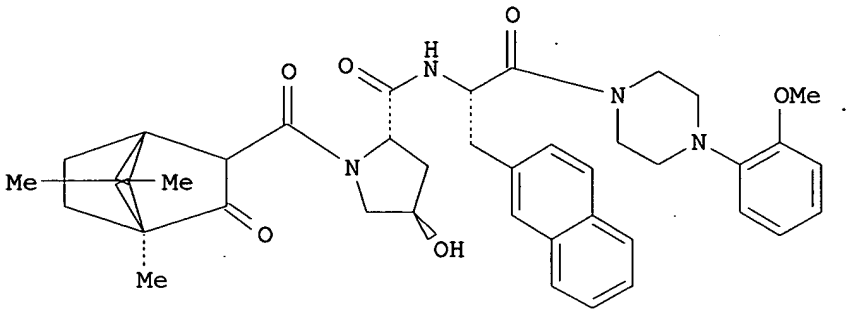
Absolute stereochemistry.



L7 ANSWER 49 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:170747 CAPLUS
DN 124:233150
TI Preparation of peptideamide derivatives as neurokinin antagonists.
IN Schnorrenberg, Gerd; Esser, Franz Dipl; Dollinger, Horst; Jung, Birgit; Speck, Georg; Buerger, Erich
PA Boehringer Ingelheim KG, Germany
SO Ger. Offen., 56 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4445939	A1	19951109	DE 1994-4445939	19941222
	CA 2189764	AA	19951116	CA 1995-2189764	19950504
	WO 9530687	A1	19951116	WO 1995-EP1691	19950504
	W: AU, BG, BY, CA, CN, CZ, EE, FI, HU, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, UA, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9525249	A1	19951129	AU 1995-25249	19950504
	AU 690275	B2	19980423		
	CN 1147260	A	19970409	CN 1995-192859	19950504
	HU 75708	A2	19970528	HU 1996-3082	19950504
	EP 804463	A1	19971105	EP 1995-919392	19950504
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
	JP 09512806	T2	19971222	JP 1995-528677	19950504
	US 5712273	A	19980127	US 1995-434613	19950504
	RO 115355	B1	20000128	RO 1996-2085	19950504
	ZA 9503628	A	19951107	ZA 1995-3628	19950505
	US 5700827	A	19971223	US 1995-475278	19950607
	NO 9604700	A	19961106	NO 1996-4700	19961106
	FI 9604473	A	19961107	FI 1996-4473	19961107
PRAI	DE 1994-4416255	A1	19940507		
	DE 1994-4445939	A	19941222		

US 1995-434613 A1 19950504
WO 1995-EP1691 W 19950504
OS MARPAT 124:233150
GI



I

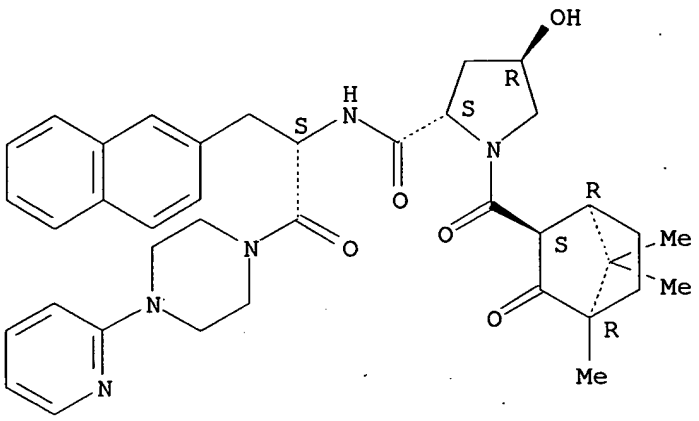
AB R1-R11-A1-B [R1 = (alkyl-substituted) saturated or partially saturated 6-membered (heterocyclic) ring optionally containing addnl. bridges and bonds; R11 = CO, CH2CO, SO2, CH2SO2; A1 = D- or L-Ala, -Val, -Leu, -Ile, -Thr, -Trp, -Met, -Cys, -Phe, -didehydroprolyl, -Gln, -His, etc.; B = A2NR2R3, R5; A2 = lipophilic amino acid residue; R2, R3 = OH, alkyl, (substituted) aralkyl, heteroaryl; NR2R3 = atoms to form specified rings; R5 = specified (substituted) benzoheterocycles], were prepared Thus, title compound (I), prepared by solution phase couplings, showed IC50 = 3.1 nM and 21 nM for NK1 and NK2 receptor affinities, resp.

IT 174348-23-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptideamide derivs. as neurokinin antagonists)

RN 174348-23-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, 4-hydroxy-N-[1-(2-naphthalenylmethyl)-2-oxo-2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]-1-[(4,7,7-trimethyl-3-oxobicyclo[2.2.1]hept-2-yl)carbonyl]-, [1R-[1α,2β[2S*(S*),4R*], 4α]]- (9CI) (CA INDEX NAME)

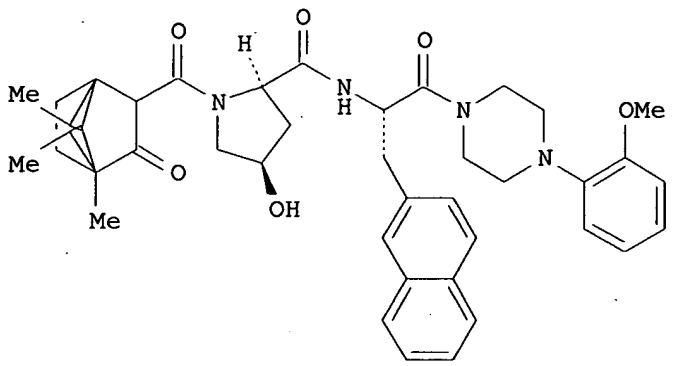
Absolute stereochemistry.



L7 ANSWER 50 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:155518 CAPLUS
DN 124:203106
TI Preparation of modified peptides as neurokinin (tachykinin) antagonists
IN Schnorrenberg, Gerd; Esser, Franz; Dollinger, Horst; Jung, Birgit; Speck, Georg; Buerger, Erich
PA Boehringer Ingelheim KG, Germany; Boehringer Ingelheim International GmbH
SO PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9530687	A1	19951116	WO 1995-EP1691	19950504
	W: AU, BG, BY, CA, CN, CZ, EE, FI, HU, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, UA, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	DE 4445939	A1	19951109	DE 1994-4445939	19941222
	AU 9525249	A1	19951129	AU 1995-25249	19950504
	AU 690275	B2	19980423		
	EP 804463	A1	19971105	EP 1995-919392	19950504
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
	JP 09512806	T2	19971222	JP 1995-528677	19950504
	RO 115355	B1	20000128	RO 1996-2085	19950504
	NO 9604700	A	19961106	NO 1996-4700	19961106
	FI 9604473	A	19961107	FI 1996-4473	19961107
PRAI	DE 1994-4416255	A	19940507		
	DE 1994-4445939	A	19941222		
	WO 1995-EP1691	W	19950504		

OS MARPAT 124:203106
GI



I

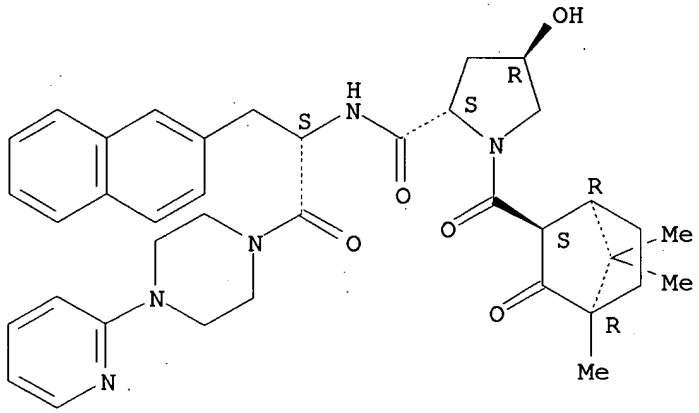
AB The production and use of new amino acid derivs. of general formula R1-R11-A1-B [R1 = saturated or partially saturated 6-membered ring optionally containing and O or N atom and/or a CH2, CMe2, CEt2, or CH2CH2 bridge, and containing and O, OH, or alkoxy group in the 2- or 3 position; R11 = CO, CH2CO, SO2, CH2SO2; A1 = optionally modified or protected amino acid residue; B = A2NR2R3, R5; A2 = lipophilic amino acid residue; R2, R3 = alkyl, aralkyl, heteroaryl, etc., NR2R3 = heterocyclic ring; R5 = amino-substituted lactam ring system] and pharmaceutically acceptable salts thereof, were prepared as valuable neurokinin (tachykinin) antagonists. Thus, camphor-substituted dipeptide amide I, prepared by stepwise couplings, showed neurokinin 1 (NK1) receptor affinity IC50 = 3.1 nM and NK2 affinity IC50 = 21 nM.

IT 174348-23-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of modified peptide neurokinin (tachykinin) antagonists)

RN 174348-23-3 CAPLUS

CN 2-Pyrrolidinecarboxamide, 4-hydroxy-N-[1-(2-naphthalenylmethyl)-2-oxo-2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]-1-[(4,7,7-trimethyl-3-oxobicyclo[2.2.1]hept-2-yl)carbonyl]-, [1R-[1α,2β[2S*(S*),4R*],4α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 51 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:304885 CAPLUS
DN 122:106532
TI Preparation of amino acid- and peptideamides as tachykinin antagonists
IN Esser, Franz; Schnorrenberg, Gerd; Dollinger, Horst; Jung, Birgit; Buerger, Erich
PA Boehringer Ingelheim KG, Germany; Boehringer Ingelheim International GmbH
SO PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9405693	A1	19940317	WO 1993-EP2329	19930828
	W: AU, BG, BY, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SK, UA				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	DE 4243496	A1	19940310	DE 1992-4243496	19921222
	DE 4315437	A1	19941110	DE 1993-4315437	19930508
	EP 610487	A1	19940817	EP 1993-919208	19930828
	EP 610487	B1	19991110		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 07501085	T2	19950202	JP 1993-506852	19930828
	AU 677792	B2	19970508	AU 1993-49547	19930828
	AU 9349547	A1	19940329		
	CN 1086222	A	19940504	CN 1993-117349	19930903
	FI 9401987	A	19940429	FI 1994-1987	19940429
	NO 9401611	A	19940502	NO 1994-1611	19940502
	GR 3032395	T3	20000531	GR 2000-400089	20000114
PRAI	DE 1992-4229447	A	19920903		
	DE 1992-4243496	A	19921222		
	DE 1993-4315437	A	19930508		
	WO 1993-EP2329	W	19930828		

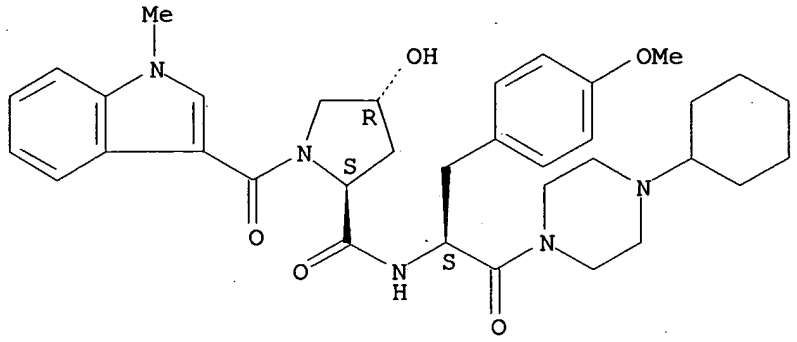
OS MARPAT 122:106532
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB R1COA1B [I; R1 = vinyl, (substituted) aryl, heteroaryl, aralkyl, heteroarylalkyl, cycloalkyl, adamantyl, adamantylalkyl, decalinyl, decalinalkyl, (methyl)bicycloheptyl, etc.; A1 = D- or L-Ala, D- or L-Val, D- or L-Leu, D- or L-Ile, D- or L-Thr, D- or L-Cys, D- or L-Phe, D- or L-Trp, D- or L-Pro, D- or L-dehydroPro, D- or L-pGlu, D- or L-Asp, D- or L-Asn, D- or L-Lys, D- or L-Orn, etc.; B = A2NR2R3, R5; A2 = lipophilic α-amino acid residue; R2, R3 = alkyl, OH, (substituted) aralkyl, heteroaryl; NR2R3 = Q1, Q2; m, n = 0-3; m+n = 2-5; s = 2,3; R5 = Q3, Q4; W = Q5, Q6, diarylmethyl, cyclopentyl, etc.; R6 = (substituted) aralkyl, diarylalkyl, heteroarylalkyl, phenylaminoalkyl, naphthylaminoalkyl, etc.; R7 = H, alkyl; X = H2, O; Y, Z = H, alkyl, alkoxy, (substituted) PhCH2O; t, u = 0, or t = 1, u = 0, or t, u = 1, or t = 2, u = 0], were prepared Thus, title compound II, prepared by solution phase couplings, bound to substance P receptors with IC50 = 60 nM.

IT 159137-06-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as neurokinin antagonist)
RN 159137-06-1 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[2-(4-cyclohexyl-1-piperazinyl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-4-hydroxy-1-[(1-methyl-1H-indol-3-yl)carbonyl]-, [2S-[2α(R*),4β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



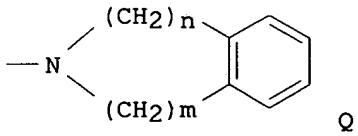
L7 ANSWER 52 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1994:701326 CAPLUS
DN 121:301326
TI Preparation of new dipeptide derivatives as neurokinin antagonists
IN Schnorrenberg, Gerd; Esser, Franz; Dollinger, Horst; Jung, Birgit; Buerger, Erich
PA Boehringer Ingelheim KG, Germany
SO Ger. Offen., 49 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4243496	A1	19940310	DE 1992-4243496	19921222
	WO 9405693	A1	19940317	WO 1993-EP2329	19930828
	W: AU, BG, BY, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RU, SK, UA				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 610487	A1	19940817	EP 1993-919208	19930828
	EP 610487	B1	19991110		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 07501085	T2	19950202	JP 1993-506852	19930828
	HU 70475	A2	19951030	HU 1994-1323	19930828
	AU 677792	B2	19970508	AU 1993-49547	19930828
	AU 9349547	A1	19940329		
	AT 186548	E	19991115	AT 1993-919208	19930828
	ES 2137998	T3	20000101	ES 1993-919208	19930828
	EP 979827	A1	20000216	EP 1999-100929	19930828
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	ZA 9306472	A	19940627	ZA 1993-6472	19930902
	US 5596000	A	19970121	US 1993-116090	19930902

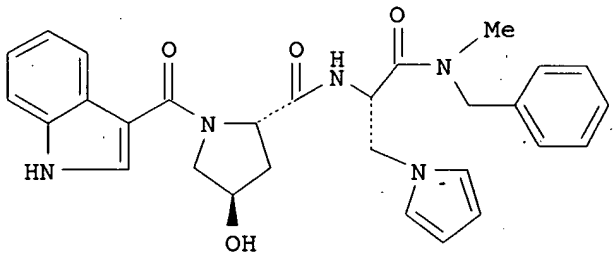
	FI 9401987	A	19940429	FI 1994-1987	19940429
	NO 9401611	A	19940502	NO 1994-1611	19940502
	US 5849918	A	19981215	US 1995-460964	19950605
	US 6147212	A	20001114	US 1998-111498	19980708
	GR 3032395	T3	20000531	GR 2000-400089	20000114
PRAI	DE 1992-4229447	A1	19920903		
	DE 1992-4243496	A	19921222		
	DE 1993-4315437	A	19930508		
	EP 1993-919208	A3	19930828		
	WO 1993-EP2329	W	19930828		
	US 1993-116090	A3	19930902		
	US 1995-460964	A3	19950605		

OS CASREACT 121:301326; MARPAT 121:301326

GI



Q



II

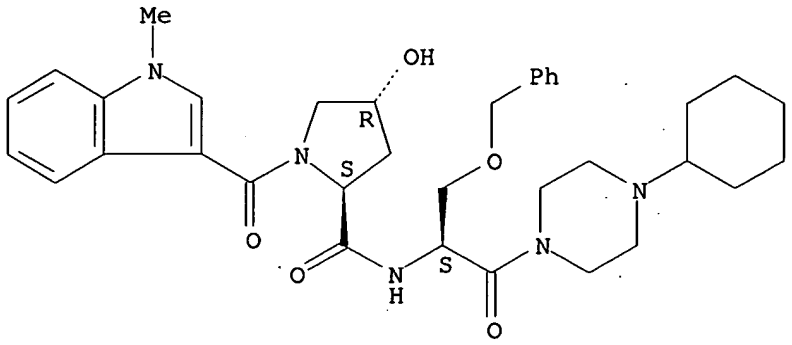
AB Title compds. R1-CO-A1-A2-NR2R3 [I; R1 = vinyl, aryl, heteroaryl, aralkyl, heteroaralkyl, arylvinyl, heteroarylvinyl, etc.; A1 = D- or L-Ala, -Val, -Leu, etc.; A2 = α-amino acid residue, etc; R2, R3 = alkyl; or NR2R3 = heterocycle residue such as Q; m, n = 0, 1, 2, 3], useful as neurokinin antagonists (no data), are prepared E.g., L-Z-3-(1-pyrrolyl)alanine Me ester was stirred with 2,5-dimethoxytetrahydrofurn in H2O-EtOAc at room temperature for 23 h to give, after treatment with aqueous NaHCO3, Z-Pal-OMe [Pal = 3-(1-pyrrolyl)alanine residue], which was hydrolyzed to give Z-Pal-OH, which was amidated with N-methylbenzylamine to give Z-Pal-NMeBzl, which was deprotected and the resulting H-Pal-NMeBzl was condensed with BOC-(2S,4R)-hydroxyproline to give H-Hyp-Pal-NMeBzl, which was acylated with indol-3-ylcarbonyl chloride to give the title compound II. Some pharmaceutical compns. containing I are described.

IT 159137-04-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as neurokinin antagonist)

RN 159137-04-9 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[2-(4-cyclohexyl-1-piperazinyl)-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-4-hydroxy-1-[(1-methyl-1H-indol-3-yl)carbonyl]-, [2S-[2α(R*),4β]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=>
=> d l7 29 30 31 32 33 34 35 40 44 bib hitstr

L7 ANSWER 29 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:591007 CAPLUS
DN 139:149922
TI Preparation of piperazinyl amino acid derivatives as melanocortin receptor agonists
IN Backer, Ryan Thomas; Collado Cano, Ivan; De Frutos-Garcia, Oscar; Doecke, Christopher William; Fisher, Matthew Joseph; Kuklish, Steven Lee; Mancuso, Vincent; Martinelli, Michael John; Mullaney, Jeffrey Thomas; Ornstein, Paul Leslie; Xie, Chaoyu
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

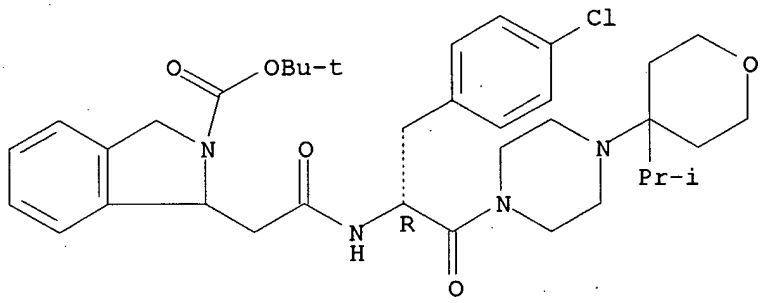
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003061660	A1	20030731	WO 2003-US33	20030121
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2473036	AA	20030731	CA 2003-2473036	20030121
	EP 1469851	A1	20041027	EP 2003-701964	20030121
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005527492	T2	20050915	JP 2003-561604	20030121
	US 2005075344	A1	20050407	US 2004-500476	20040629
PRAI	US 2002-351200P	P	20020123		
	WO 2003-US33	W	20030121		

OS CASREACT 139:149922; MARPAT 139:149922

IT 569653-69-6P 569653-71-0P 569653-72-1P
569653-73-2P 569653-74-3P 569653-75-4P
569653-76-5P 569653-77-6P 569653-78-7P
569653-79-8P 569653-80-1P 569653-81-2P
569653-82-3P 569653-83-4P 569653-84-5P
569653-85-6P 569653-86-7P 569653-87-8P
569653-88-9P 569653-89-0P 569653-95-8P
569653-96-9P 569653-97-0P 569653-98-1P
569653-99-2P 569654-00-8P 569654-02-0P
569654-06-4P 569654-08-6P 569654-09-7P
569654-10-0P 569654-11-1P 569654-12-2P
569654-14-4P 569654-16-6P 569654-17-7P
569654-18-8P 569654-20-2P 569654-21-3P
569654-22-4P 569654-23-5P 569654-24-6P
569654-25-7P 569654-26-8P 569654-27-9P
569654-28-0P 569654-29-1P 569654-30-4P
569654-31-5P 569654-33-7P 569654-34-8P
569654-35-9P 569654-37-1P 569654-39-3P
569654-40-6P 569654-41-7P 569654-47-3P
569654-48-4P 569654-49-5P 569654-50-8P
569654-51-9P 569654-52-0P 569654-55-3P
569654-57-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinyl amino acid derivs. as melanocortin receptor agonists)

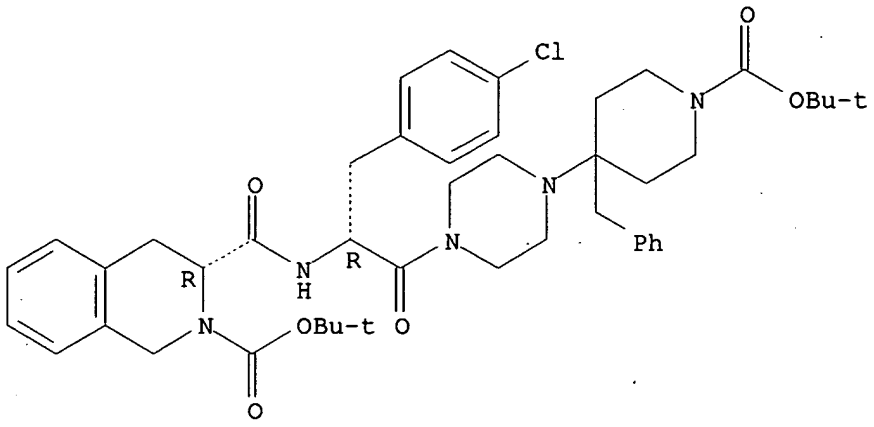
RN 569653-69-6 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[tetrahydro-4-(1-methylethyl)-2H-pyran-4-yl]-1-piperazinyl]ethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



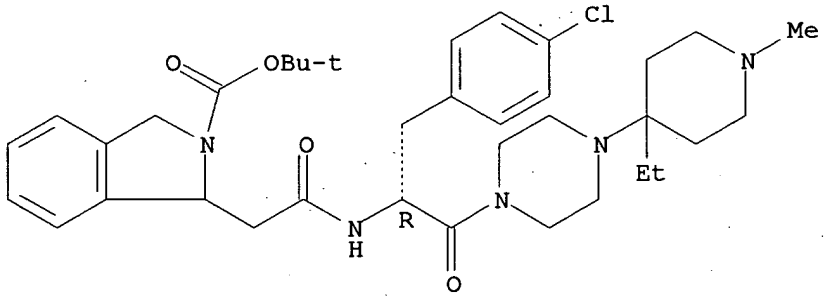
RN 569653-71-0 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3-[[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-[(1,1-dimethylethoxy)carbonyl]-4-(phenylmethyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]amino]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



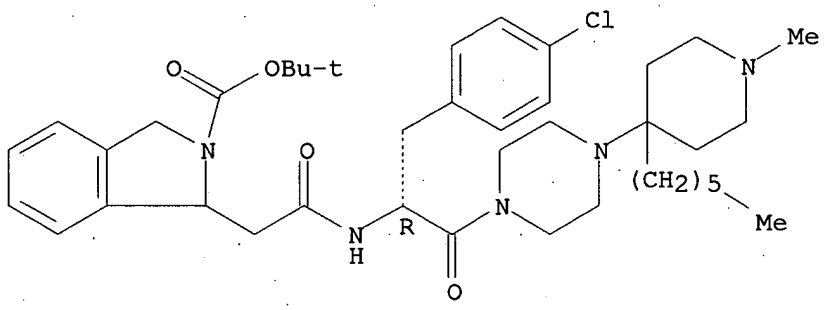
RN 569653-72-1 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-(4-ethyl-1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 569653-73-2 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-(4-hexyl-1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

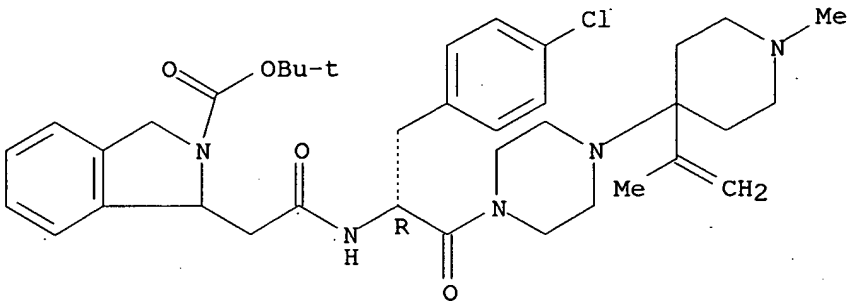
Absolute stereochemistry.



RN 569653-74-3 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-

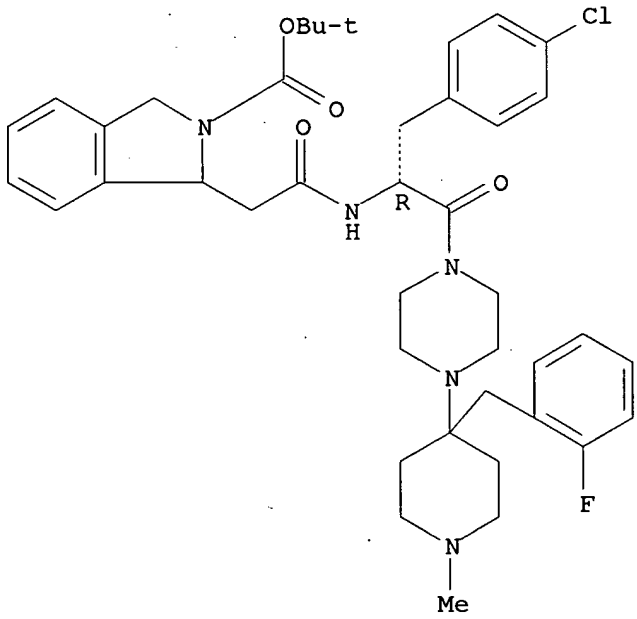
[4-[1-methyl-4-(1-methylethenyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



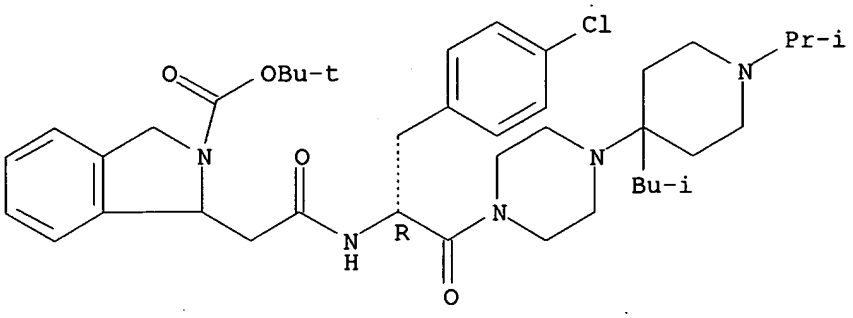
RN 569653-75-4 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[4-[(2-fluorophenyl)methyl]-1-methyl-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



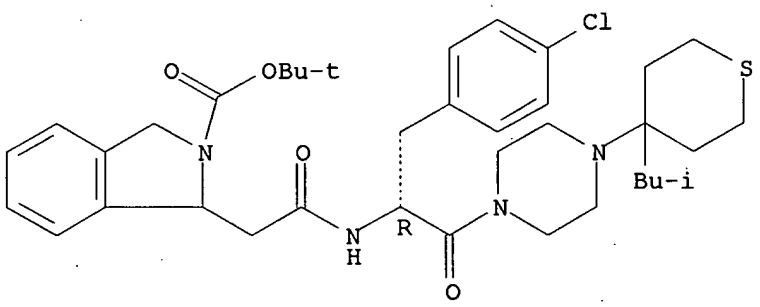
RN 569653-76-5 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-(1-methylethyl)-4-(2-methylpropyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



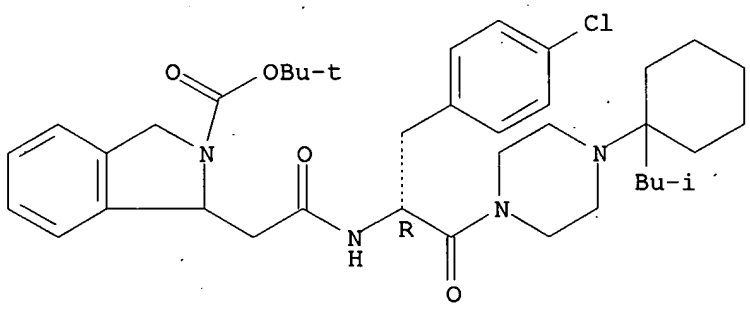
RN 569653-77-6 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[tetrahydro-4-(2-methylpropyl)-2H-thiopyran-4-yl]-1-piperazinyl]ethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



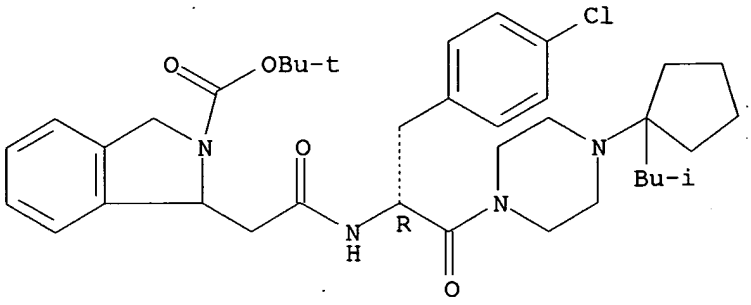
RN 569653-78-7 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-(2-methylpropyl)cyclohexyl]-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



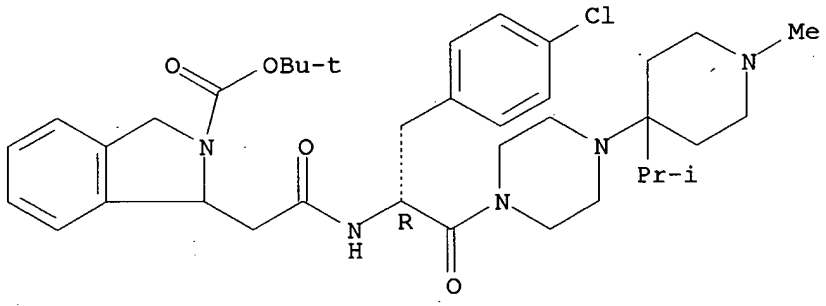
RN 569653-79-8 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-(2-methylpropyl)cyclopentyl]-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



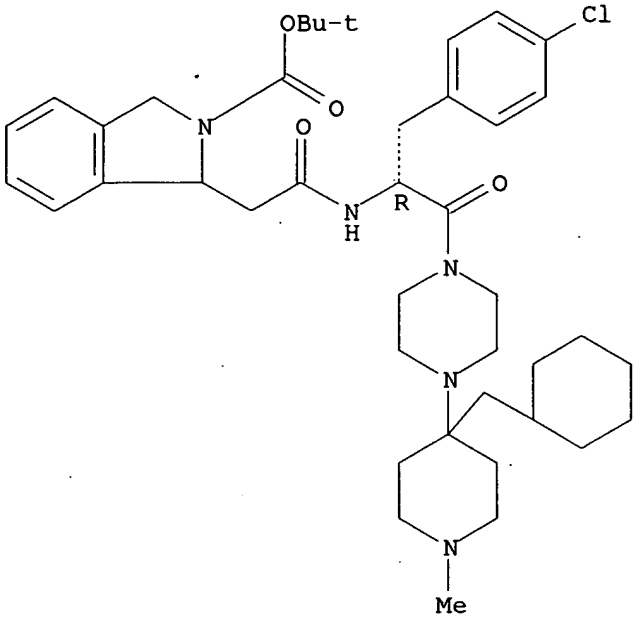
RN 569653-80-1 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-methyl-4-(1-methylethyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



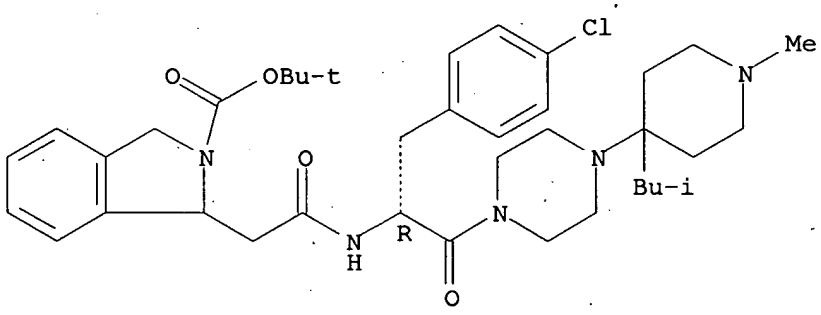
RN 569653-81-2 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[4-(cyclohexylmethyl)-1-methyl-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



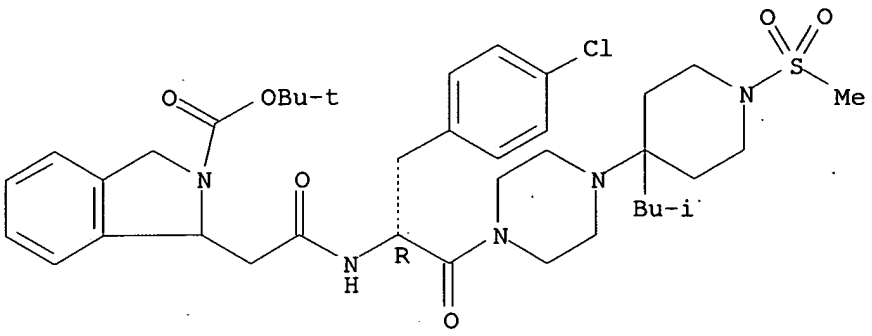
RN 569653-82-3 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-methyl-4-(2-methylpropyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



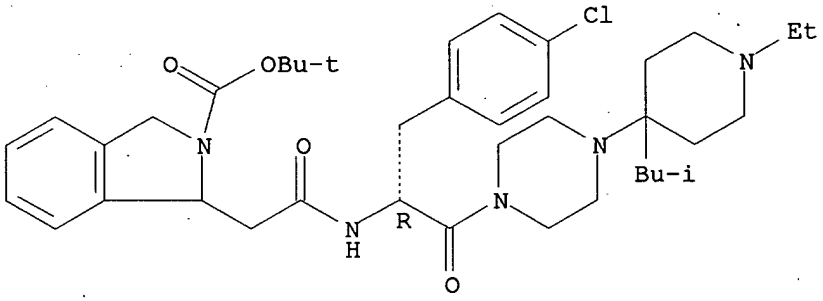
RN 569653-83-4 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[4-(2-methylpropyl)-1-(methylsulfonyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



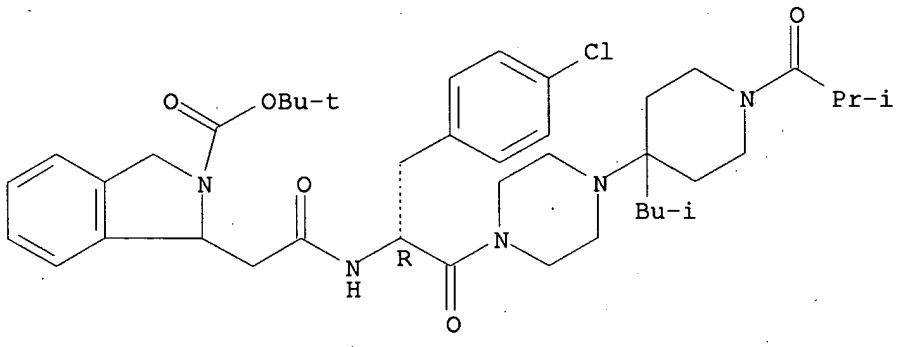
RN 569653-84-5 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-ethyl-4-(2-methylpropyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 569653-85-6 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-(2-methyl-1-oxopropyl)-4-(2-methylpropyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

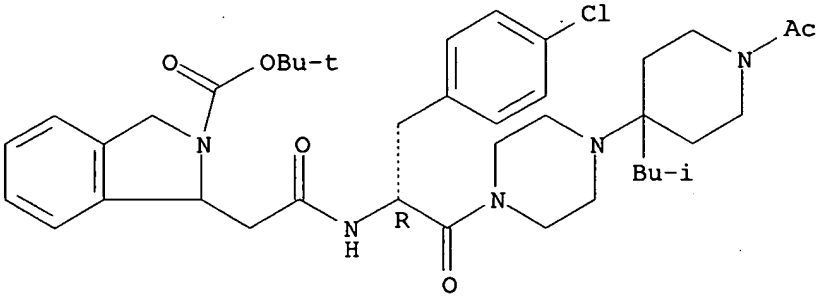
Absolute stereochemistry.



RN 569653-86-7 CAPLUS

CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-2-[4-[1-acetyl-4-(2-methylpropyl)-4-piperidinyl]-1-piperazinyl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

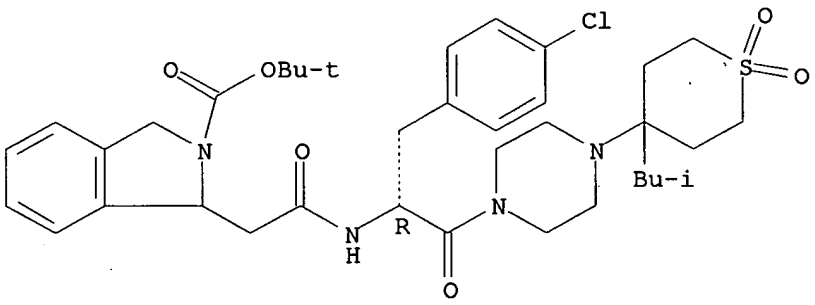
Absolute stereochemistry.



RN 569653-87-8 CAPLUS

CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[tetrahydro-4-(2-methylpropyl)-1,1-dioxido-2H-thiopyran-4-yl]-1-piperazinyl]ethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

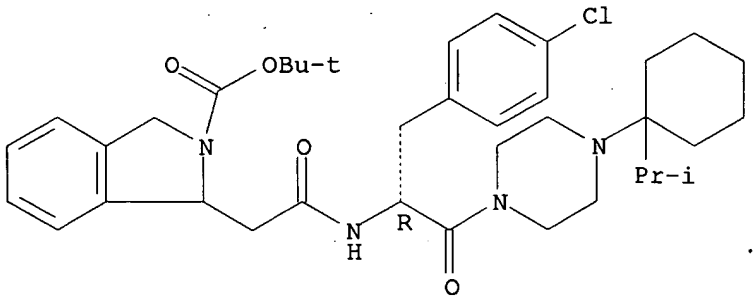
Absolute stereochemistry.



RN 569653-88-9 CAPLUS

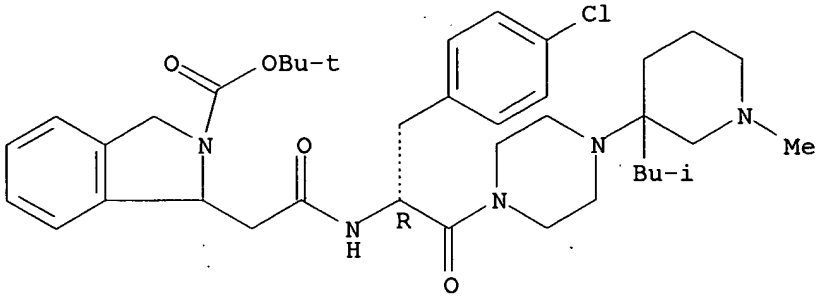
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-(1-methylethyl)cyclohexyl]-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



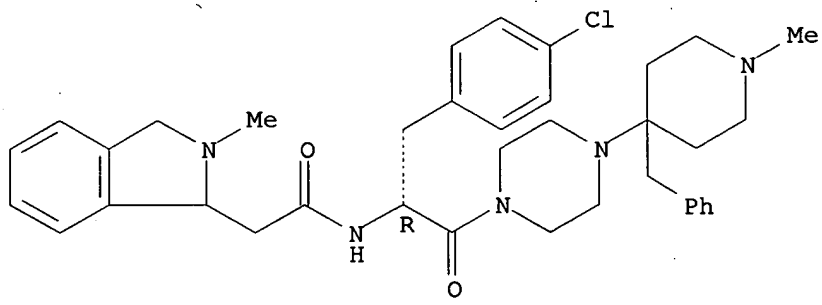
RN 569653-89-0 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-methyl-3-(2-methylpropyl)-3-piperidinyl]-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 569653-95-8 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-methyl-4-(phenylmethyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-2-methyl-, trihydrochloride (9CI) (CA INDEX NAME)

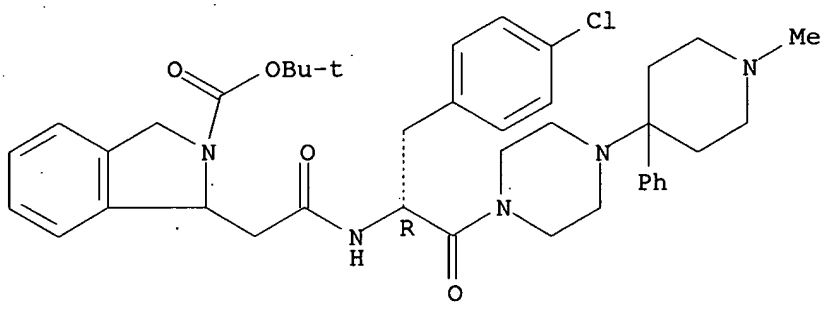
Absolute stereochemistry.



●3 HCl

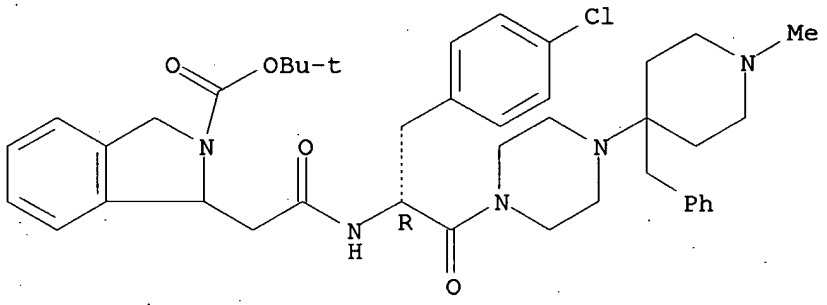
RN 569653-96-9 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-(1-methyl-4-phenyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



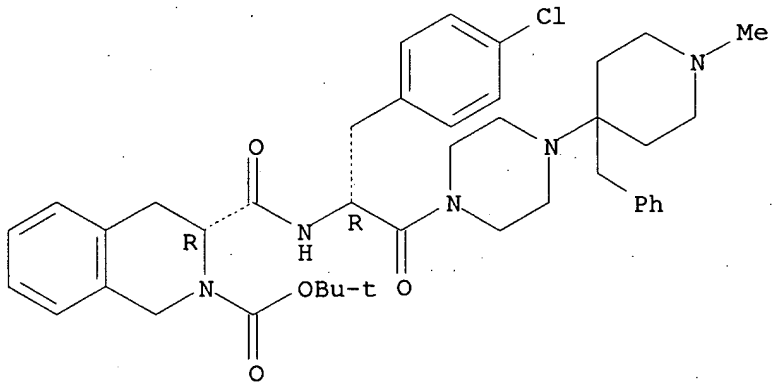
RN 569653-97-0 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-methyl-4-(phenylmethyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 569653-98-1 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3-[[[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-methyl-4-(phenylmethyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]amino]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester, (3R)- (9CI) (CA INDEX NAME)

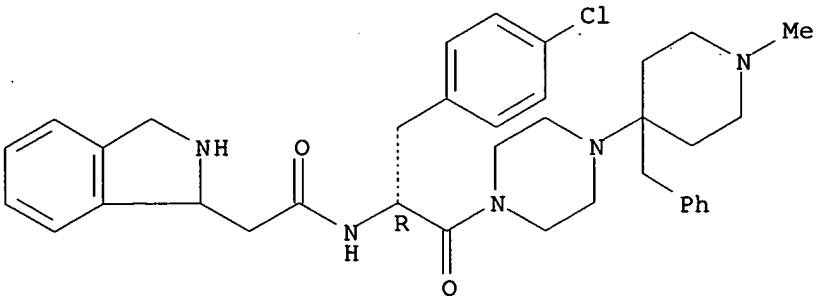
Absolute stereochemistry.



RN 569653-99-2 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-

methyl-4-(phenylmethyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, trihydrochloride (9CI) (CA INDEX NAME)

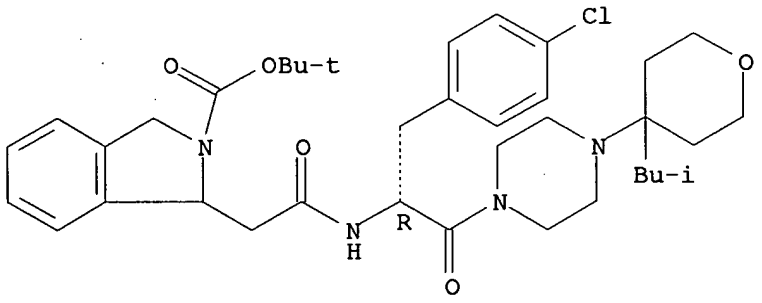
Absolute stereochemistry.



●3 HCl

RN 569654-00-8 CAPLUS
CN 2H-Isoindole-2-carboxylic acid, 1-[2-[[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[tetrahydro-4-(2-methylpropyl)-2H-pyran-4-yl]-1-piperazinyl]ethyl]amino]-2-oxoethyl]-1,3-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

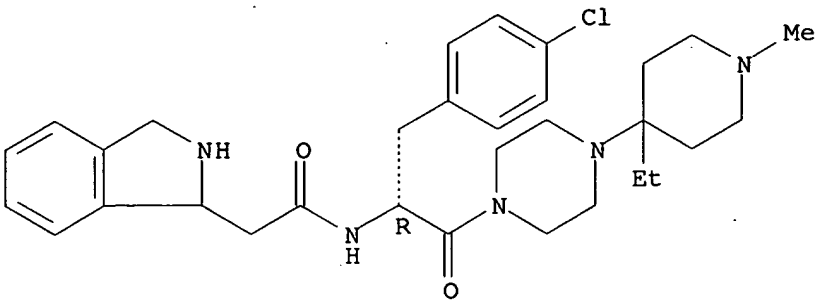


RN 569654-02-0 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-(4-ethyl-1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

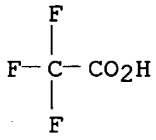
CRN 569654-01-9
CMF C31 H42 Cl N5 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

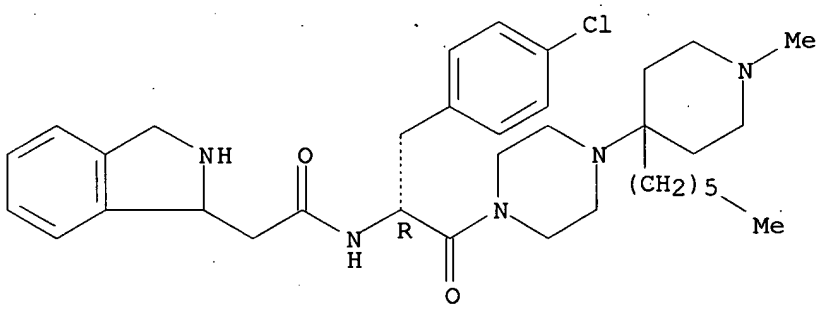


RN 569654-06-4 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-(4-hexyl-1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

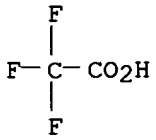
CRN 569654-05-3
CMF C35 H50 Cl N5 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

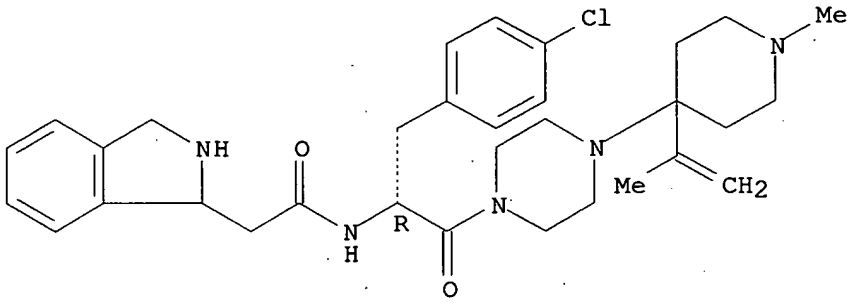


RN 569654-08-6 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-methyl-4-(1-methylethenyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

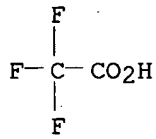
CRN 569654-07-5
CMF C32 H42 Cl N5 O2

Absolute stereochemistry.



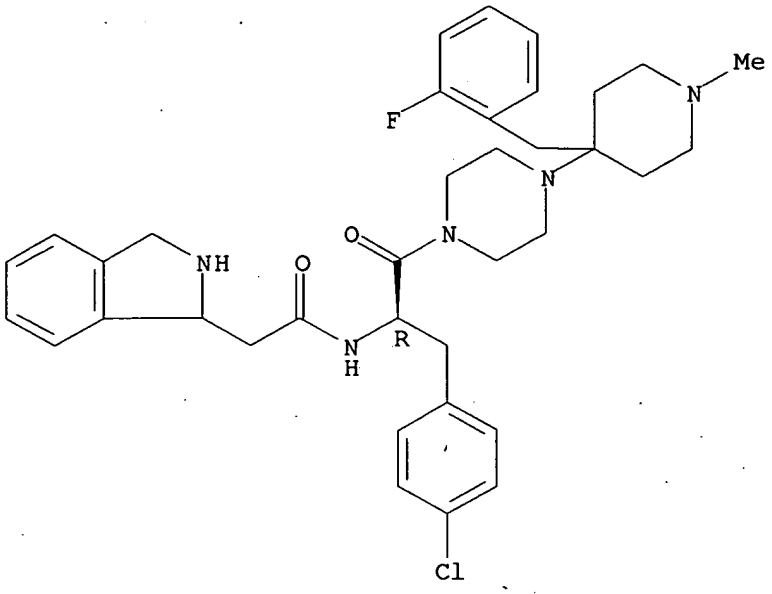
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 569654-09-7 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[4-[(2-fluorophenyl)methyl]-1-methyl-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

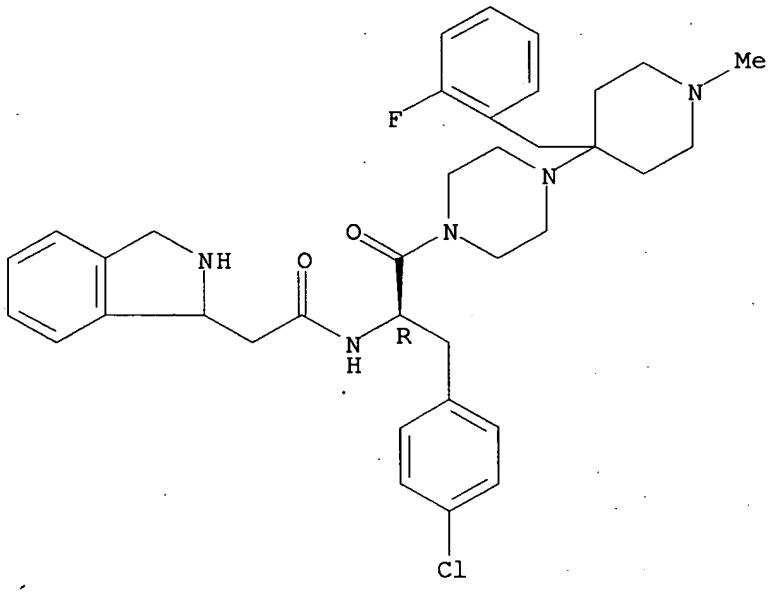


RN 569654-10-0 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[4-[(2-fluorophenyl)methyl]-1-methyl-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

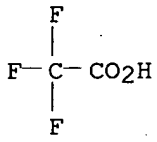
CRN 569654-09-7
CMF C36 H43 Cl F N5 O2

Absolute stereochemistry.



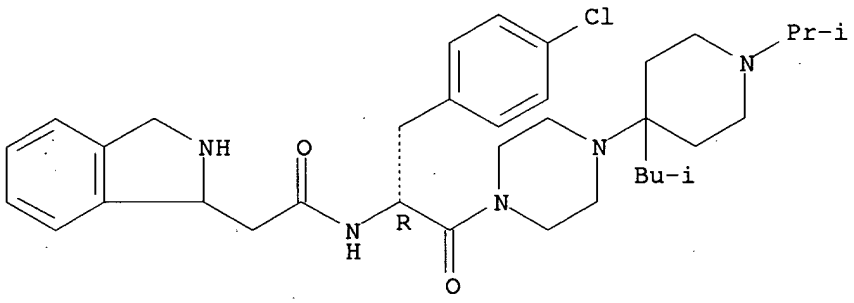
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 569654-11-1 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-(1-methylethyl)-4-(2-methylpropyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

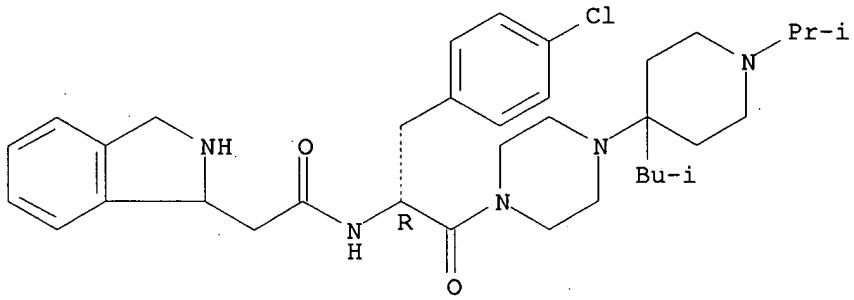


RN 569654-12-2 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-(1-methylethyl)-4-(2-methylpropyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

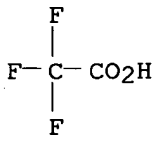
CRN 569654-11-1
CMF C35 H50 Cl N5 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

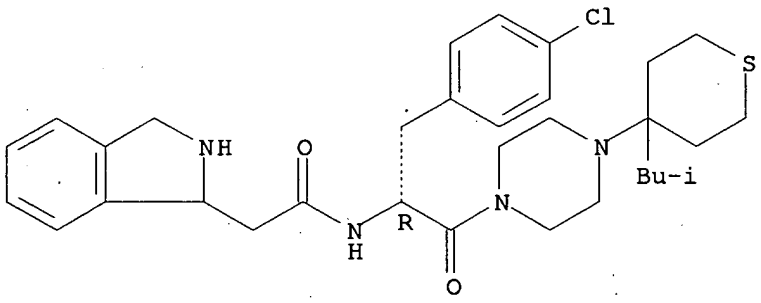


RN 569654-14-4 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[tetrahydro-4-(2-methylpropyl)-2H-thiopyran-4-yl]-1-piperazinyl]ethyl]-2,3-dihydro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

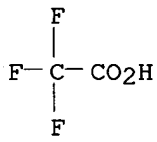
CRN 569654-13-3
CMF C32 H43 Cl N4 O2 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



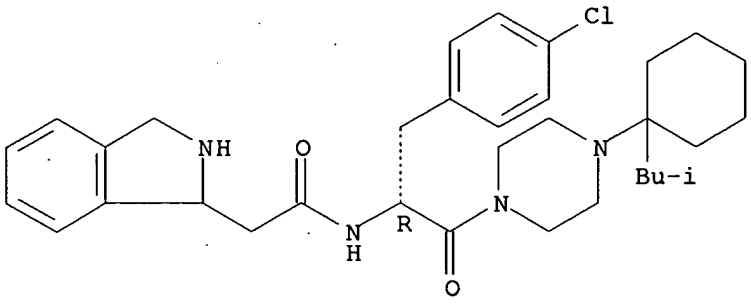
RN 569654-16-6 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-(2-methylpropyl)cyclohexyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 569654-15-5

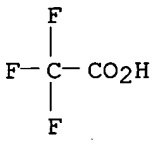
CMF C33 H45 Cl N4 O2

Absolute stereochemistry.



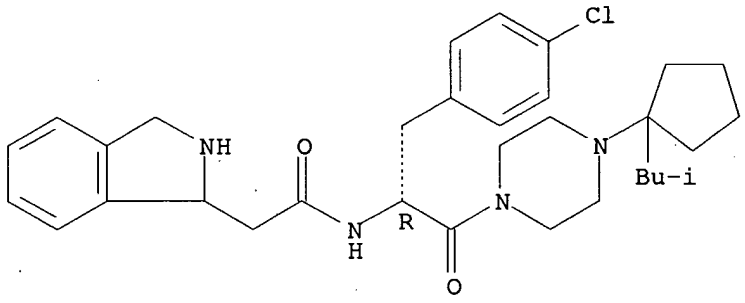
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 569654-17-7 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-(2-methylpropyl)cyclopentyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

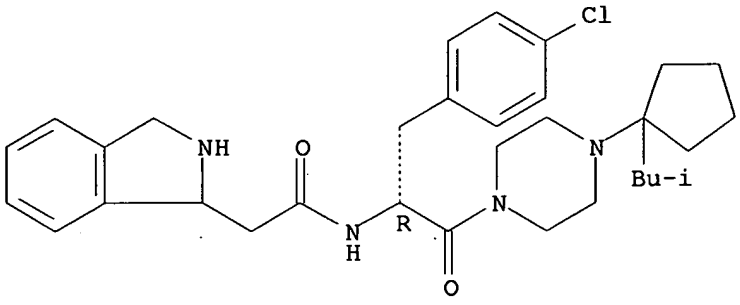


RN 569654-18-8 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-(2-methylpropyl)cyclopentyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

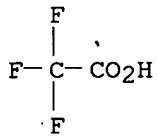
CRN 569654-17-7
CMF C32 H43 Cl N4 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

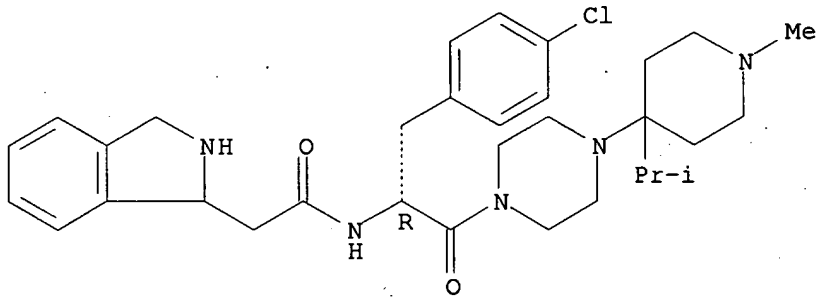


RN 569654-20-2 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-methyl-4-(1-methylethyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

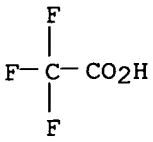
CRN 569654-19-9
CMF C32 H44 Cl N5 O2

Absolute stereochemistry.



CM 2

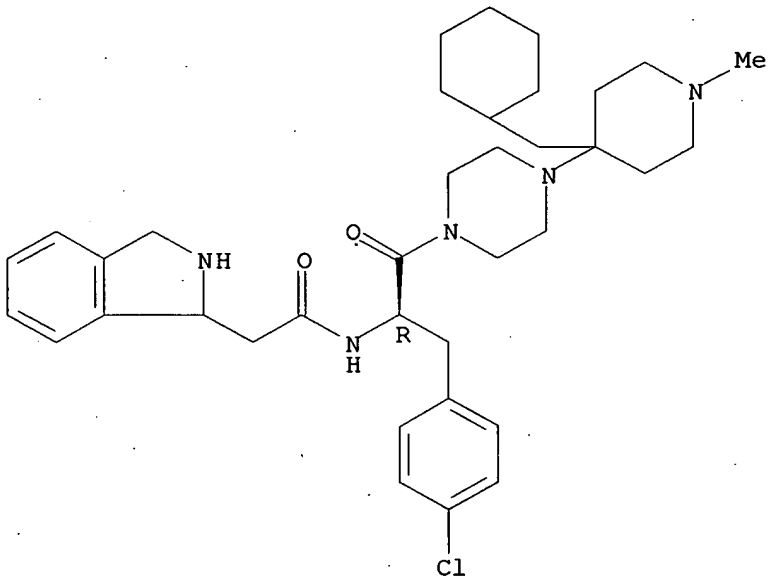
CRN 76-05-1
CMF C2 H F3 O2



RN 569654-21-3 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[4-(cyclohexylmethyl)-1-methyl-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

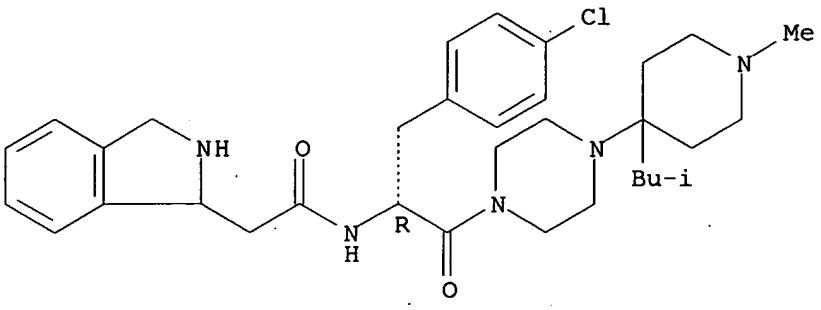


PAGE 2-A

● x HCl

RN 569654-22-4 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-methyl-4-(2-methylpropyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

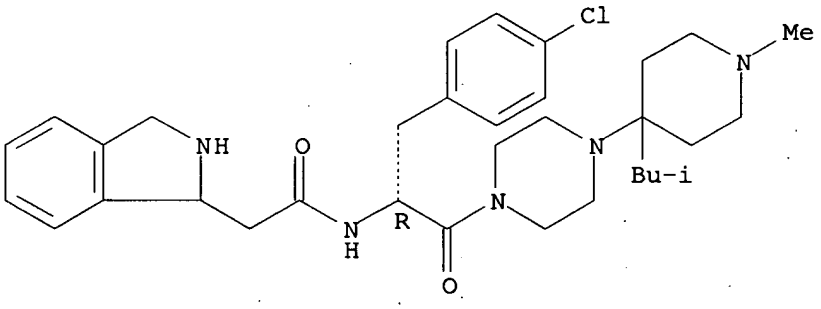


RN 569654-23-5 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-methyl-4-(2-methylpropyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

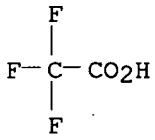
CRN 569654-22-4
CMF C33 H46 Cl N5 O2

Absolute stereochemistry.



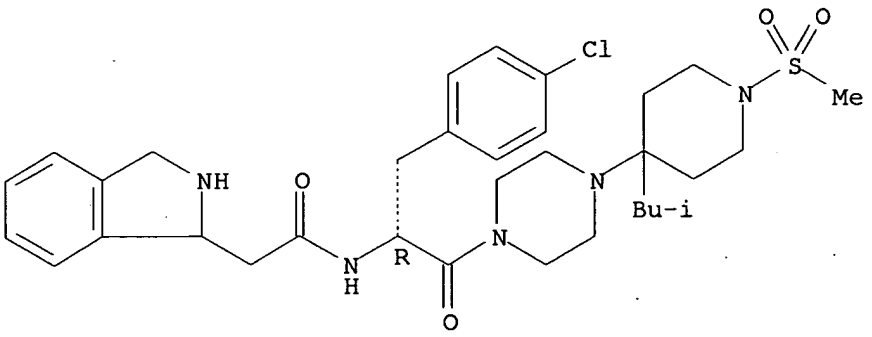
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 569654-24-6 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[4-(2-methylpropyl)-1-(methylsulfonyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

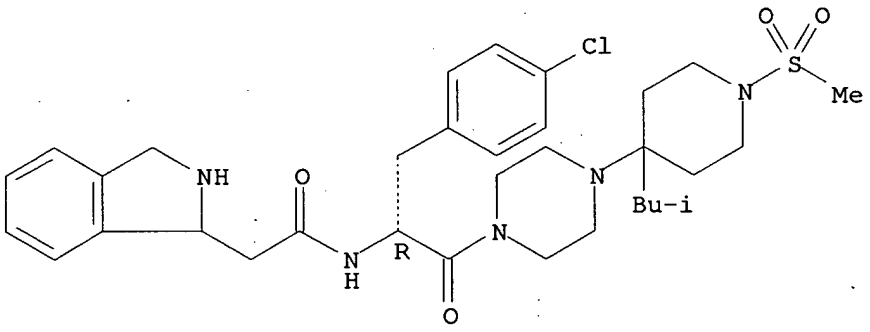


RN 569654-25-7 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[4-(2-methylpropyl)-1-(methylsulfonyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

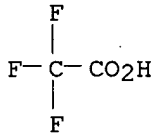
CRN 569654-24-6
CMF C33 H46 Cl N5 O4 S

Absolute stereochemistry.



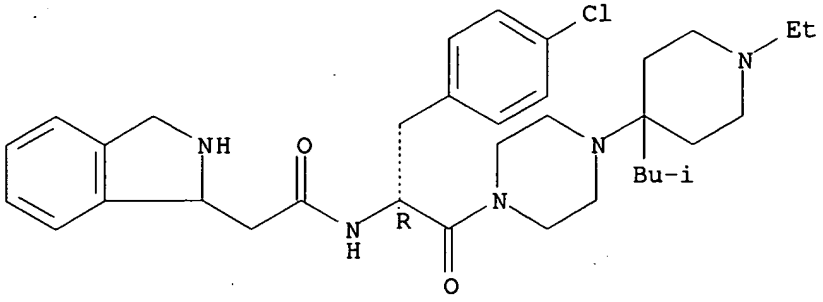
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 569654-26-8 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-ethyl-4-(2-methylpropyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

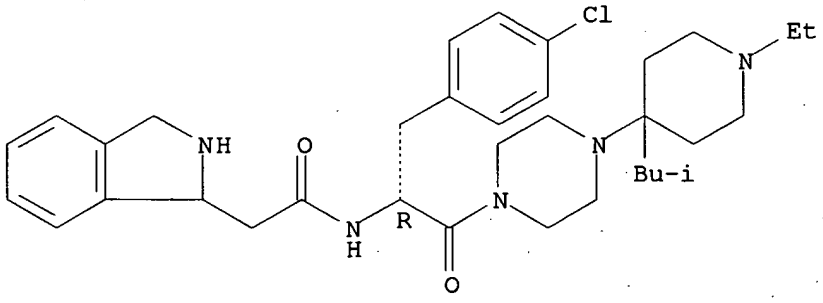


RN 569654-27-9 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-ethyl-4-(2-methylpropyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

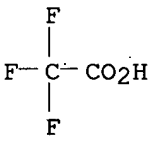
CRN 569654-26-8
CMF C34 H48 Cl N5 O2

Absolute stereochemistry.



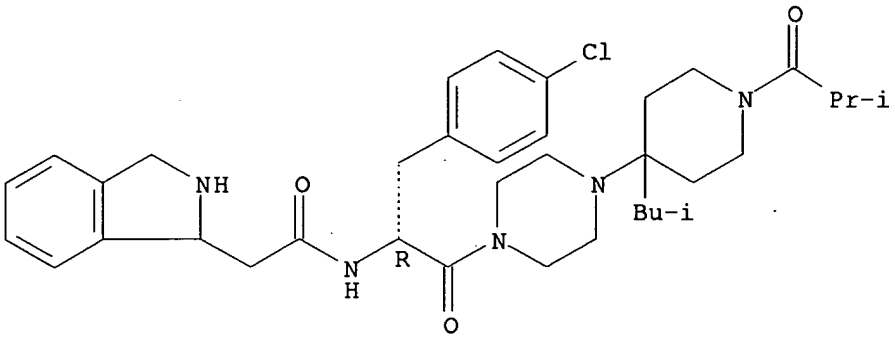
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 569654-28-0 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-(2-methyl-1-oxopropyl)-4-(2-methylpropyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)

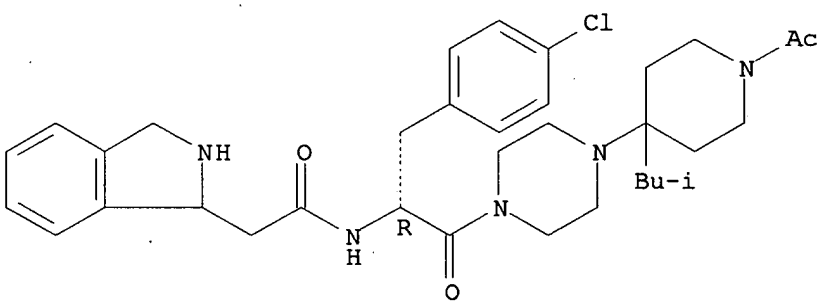
Absolute stereochemistry.



● x HCl

RN 569654-29-1 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-2-[4-[1-acetyl-4-(2-methylpropyl)-4-piperidinyl]-1-piperazinyl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)

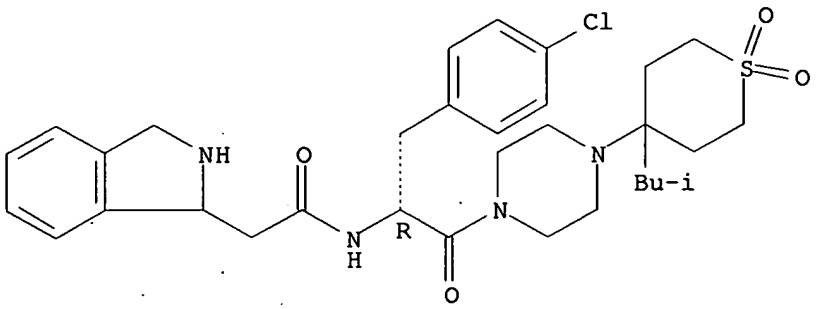
Absolute stereochemistry.



● x HCl

RN 569654-30-4 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[tetrahydro-4-(2-methylpropyl)-1,1-dioxido-2H-thiopyran-4-yl]-1-piperazinyl]ethyl]-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)

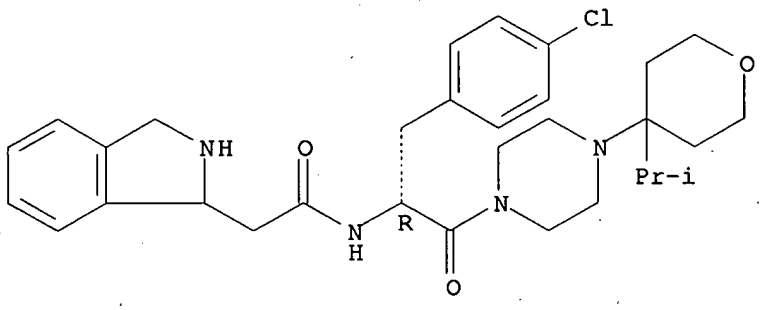
Absolute stereochemistry.



●x HCl

RN 569654-31-5 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[tetrahydro-4-(1-methylethyl)-2H-pyran-4-yl]-1-piperazinyl]ethyl]-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



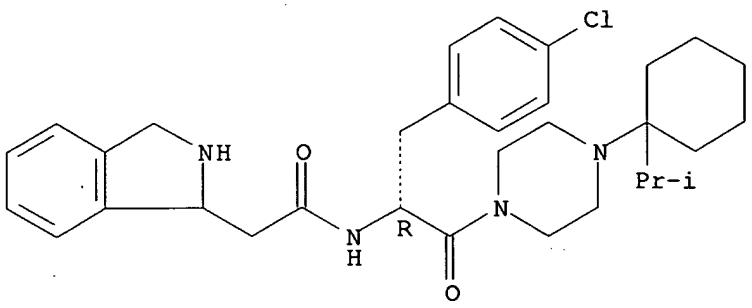
●x HCl

RN 569654-33-7 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-(1-methylethyl)cyclohexyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

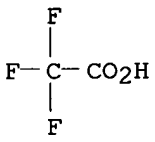
CRN 569654-32-6
CMF C32 H43 Cl N4 O2

Absolute stereochemistry.



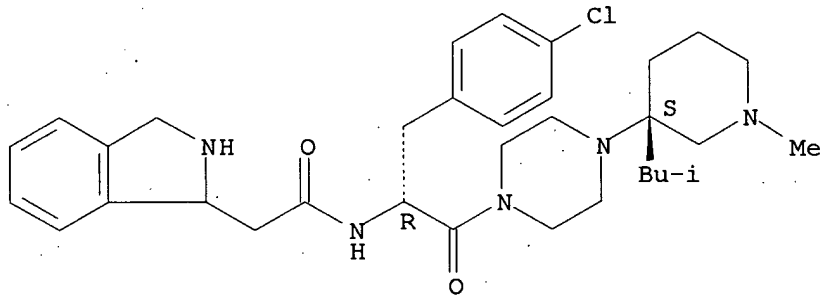
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 569654-34-8 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[(3S)-1-methyl-3-(2-methylpropyl)-3-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)

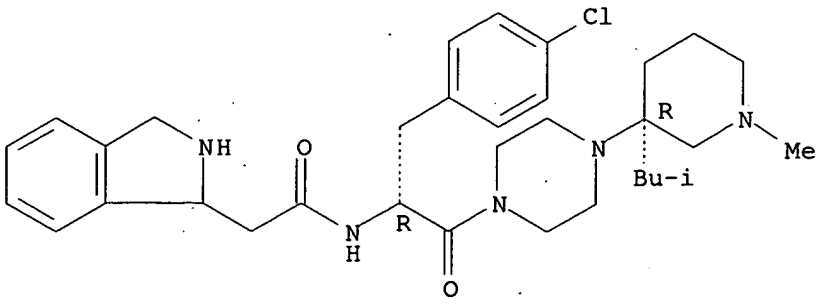
Absolute stereochemistry.



●x HCl

RN 569654-35-9 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[(3R)-1-methyl-3-(2-methylpropyl)-3-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



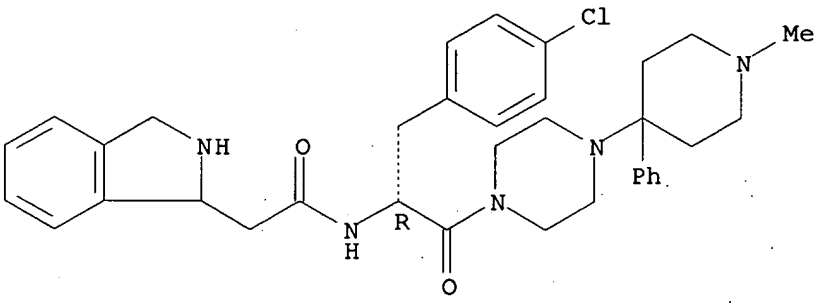
●x HCl

RN 569654-37-1 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-(1-methyl-4-phenyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-2,3-dihydro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

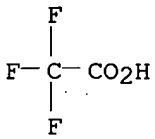
CRN 569654-36-0
CMF C35 H42 Cl N5 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



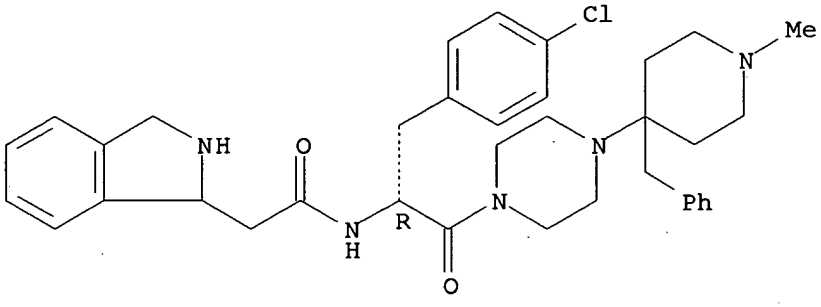
RN 569654-39-3 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-methyl-4-(phenylmethyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-

dihydro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

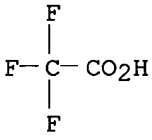
CRN 569654-38-2
CMF C36 H44 Cl N5 O2

Absolute stereochemistry.



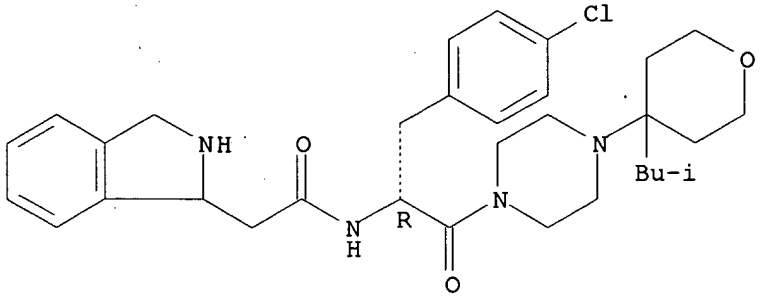
CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 569654-40-6 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[tetrahydro-4-(2-methylpropyl)-2H-pyran-4-yl]-1-piperazinyl]ethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

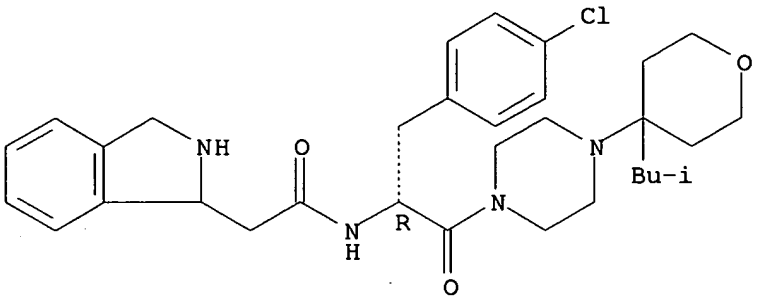


RN 569654-41-7 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[tetrahydro-4-(2-methylpropyl)-2H-pyran-4-yl]-1-piperazinyl]ethyl]-2,3-dihydro-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

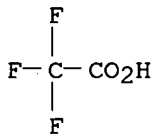
CRN 569654-40-6
CMF C32 H43 Cl N4 O3

Absolute stereochemistry.



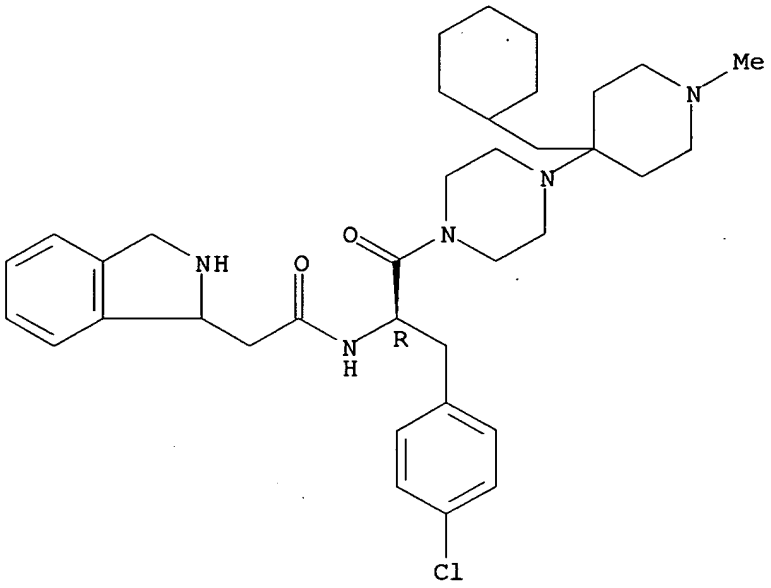
CM 2

CRN 76-05-1
CMF C2 H F3 O2



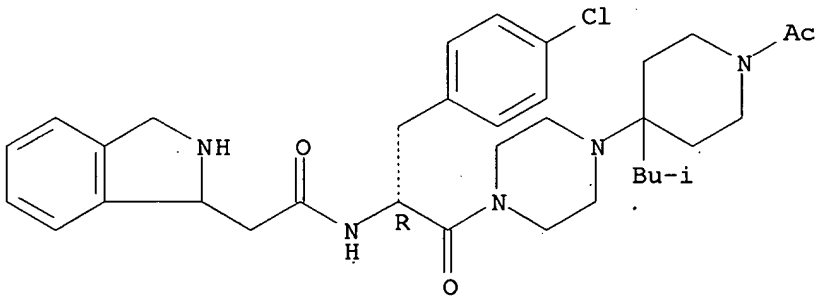
RN 569654-47-3 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[4-(cyclohexylmethyl)-1-methyl-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



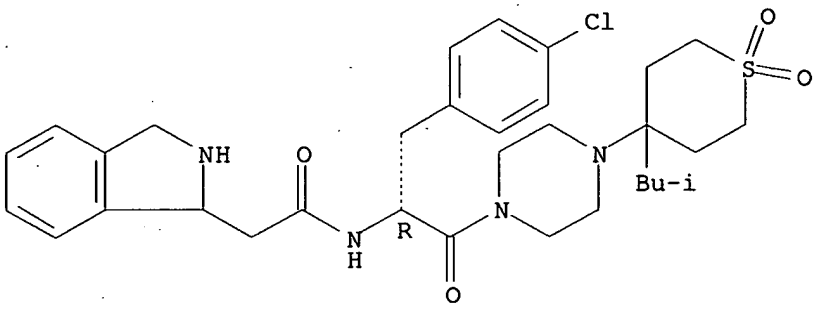
RN 569654-48-4 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-2-[4-[1-acetyl-4-(2-methylpropyl)-4-piperidinyl]-1-piperazinyl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



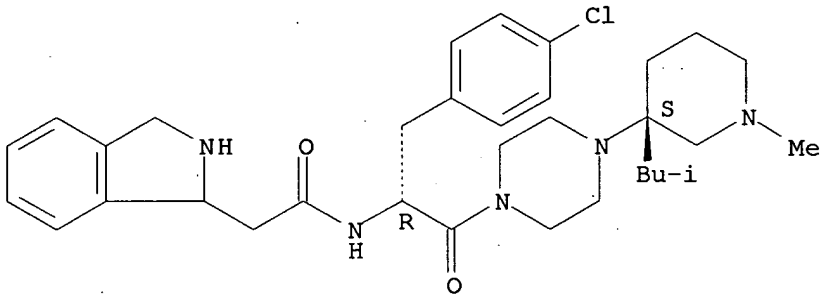
RN 569654-49-5 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[tetrahydro-4-(2-methylpropyl)-1,1-dioxido-2H-thiopyran-4-yl]-1-piperazinyl]ethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



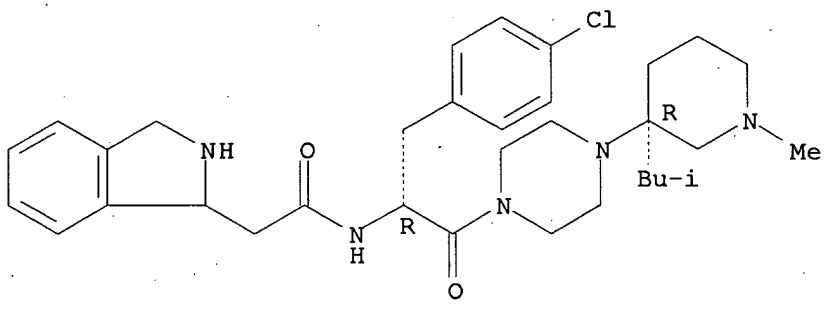
RN 569654-50-8 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[(3S)-1-methyl-3-(2-methylpropyl)-3-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



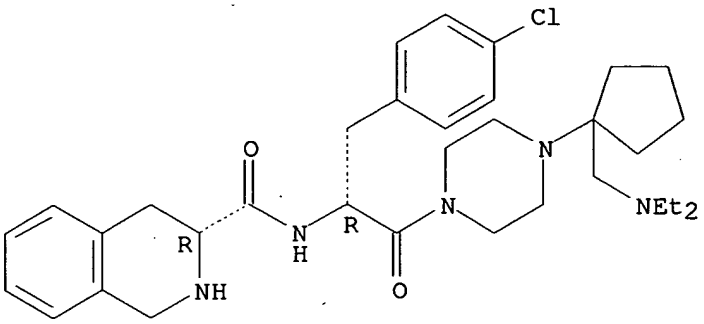
RN 569654-51-9 CAPLUS
CN 1H-Isoindole-1-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[(3R)-1-methyl-3-(2-methylpropyl)-3-piperidinyl]-1-piperazinyl]-2-oxoethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 569654-52-0 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-[(diethylamino)methyl]cyclopentyl]-1-piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

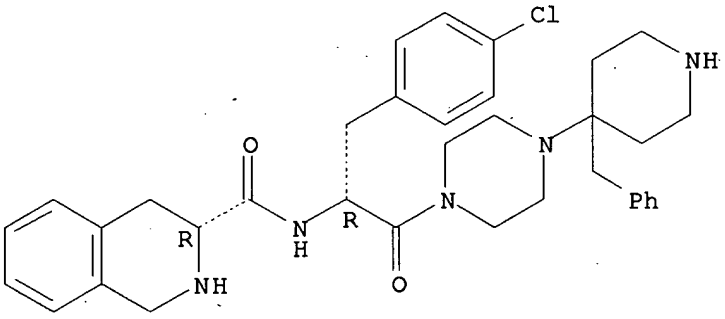


RN 569654-55-3 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[4-(phenylmethyl)-4-piperidinyl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3R)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

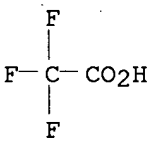
CRN 569654-54-2
CMF C35 H42 Cl N5 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

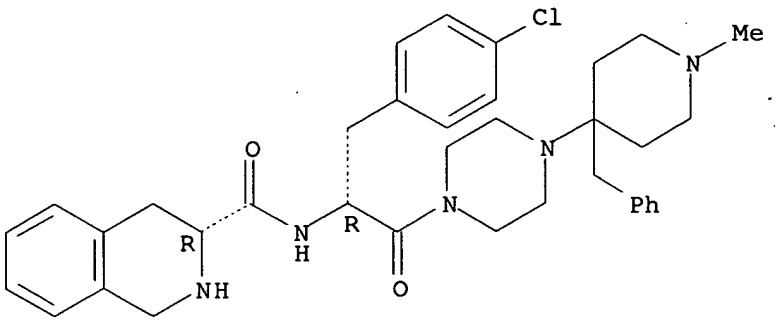


RN 569654-57-5 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-methyl-4-(phenylmethyl)-4-piperidinyl]-1-piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

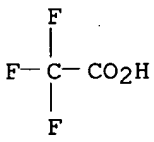
CRN 569654-56-4
CMF C36 H44 Cl N5 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 30 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:472507 CAPLUS
DN 139:36797
TI Preparation of alanylpiperidine heterocyclic derivatives for use in the treatment of cardiovascular diseases
IN Jones, Stuart Donald; Sall, Daniel Jon; Wiley, Michael Robert
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003050109	A1	20030619	WO 2002-US37595	20021209

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

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AU 2002359458 A1 20030623 AU 2002-359458 20021209

EP 1456198 A1 20040915 EP 2002-793998 20021209

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

US 2004254374 A1 20041216 US 2004-496019 20040601

US 7115609 B2 20061003

PRAI US 2001-339325P P 20011212

WO 2002-US37595 W 20021209

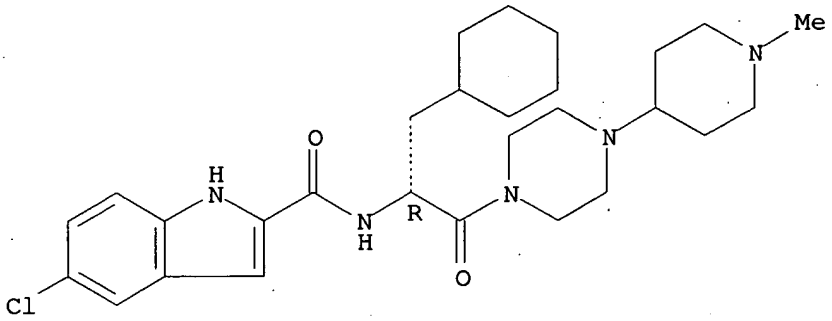
OS MARPAT 139:36797

IT 544478-85-5P 544478-86-6P 544478-88-8P
544478-89-9P 544478-90-2P 544478-91-3P
544478-94-6P 544478-95-7P 544478-98-0P
544478-99-1P 544479-00-7P 544479-01-8P
544479-02-9P 544479-03-0P 544479-06-3P
544479-07-4P 544479-10-9P 544479-11-0P
544479-12-1P 544479-13-2P 544479-14-3P
544479-15-4P 544479-18-7P 544479-19-8P
544479-20-1P 544479-21-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of alanylpiperidine heterocyclic derivs. as factor Xa inhibitors for use in treatment of thrombotic disorders)

RN 544478-85-5 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-1-(cyclohexylmethyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

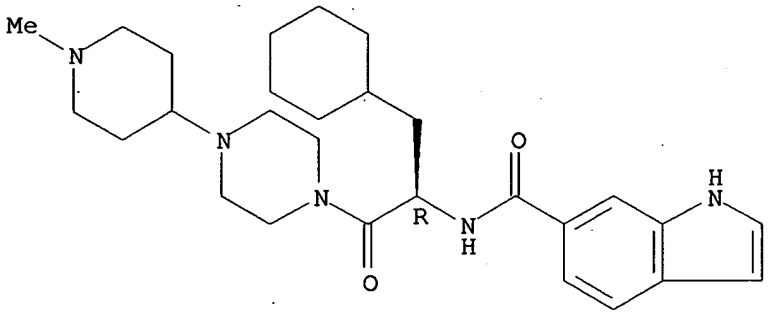


● HCl

RN 544478-86-6 CAPLUS

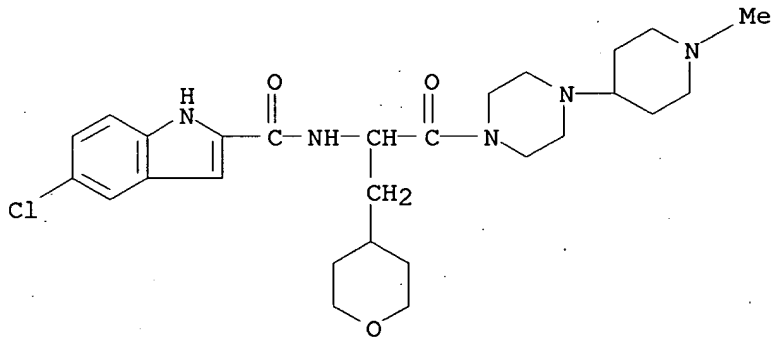
CN 1H-Indole-6-carboxamide, N-[(1R)-1-(cyclohexylmethyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, hydrochloride (10:11) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



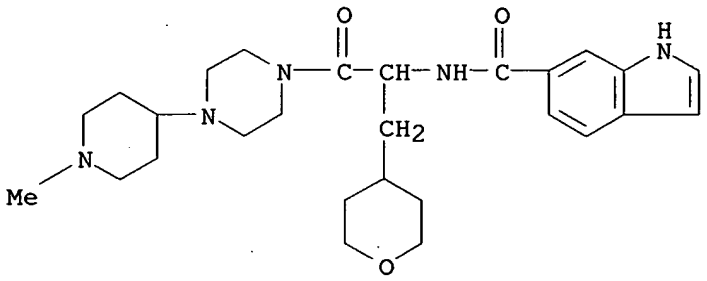
●11/10 HCl

RN 544478-88-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-[(tetrahydro-2H-pyran-4-yl)methyl]ethyl]-, hydrochloride (10:11) (9CI) (CA INDEX NAME)



●11/10 HCl

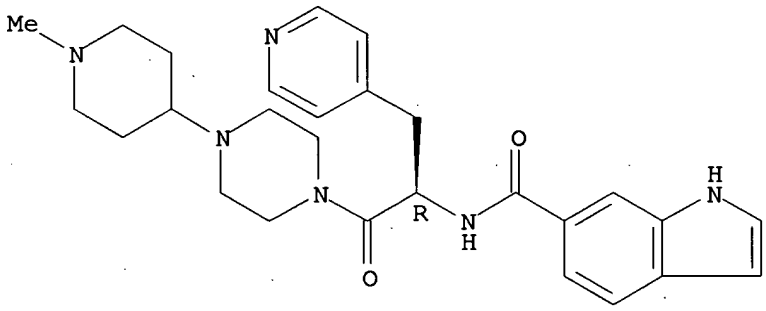
RN 544478-89-9 CAPLUS
CN 1H-Indole-6-carboxamide, N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-[(tetrahydro-2H-pyran-4-yl)methyl]ethyl]-, hydrochloride (10:11) (9CI) (CA INDEX NAME)



●11/10 HCl

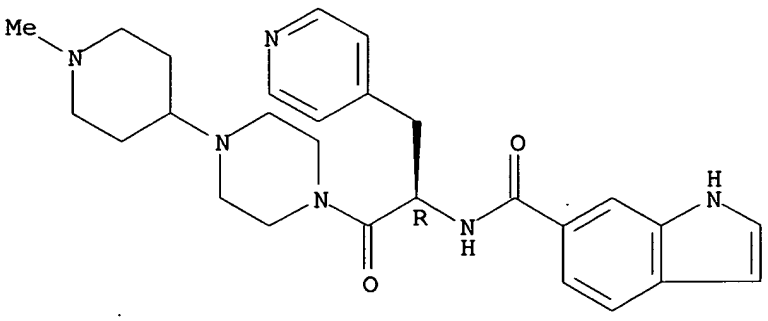
RN 544478-90-2 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-(4-pyridinylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 544478-91-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-(4-pyridinylmethyl)ethyl]-, hydrochloride (2:3) (9CI) (CA INDEX NAME)

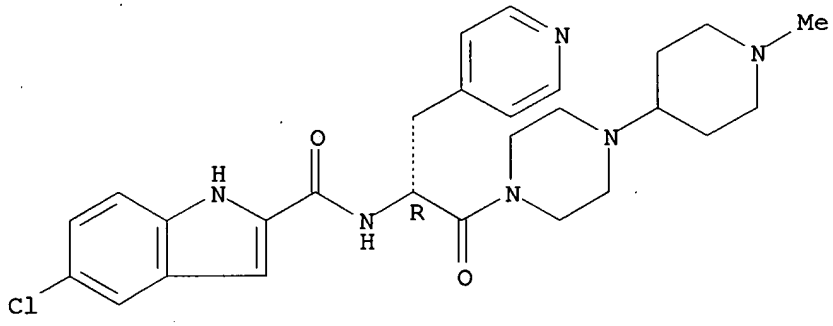
Absolute stereochemistry. Rotation (+).



● 3/2 HCl

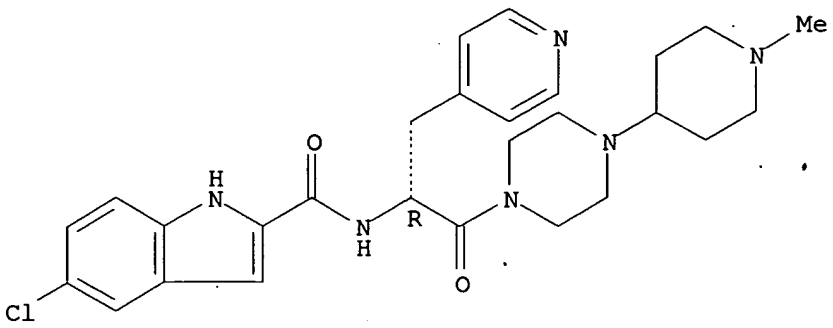
RN 544478-94-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-(4-pyridinylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 544478-95-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-(4-pyridinylmethyl)ethyl]-, hydrochloride (5:11) (9CI) (CA INDEX NAME)

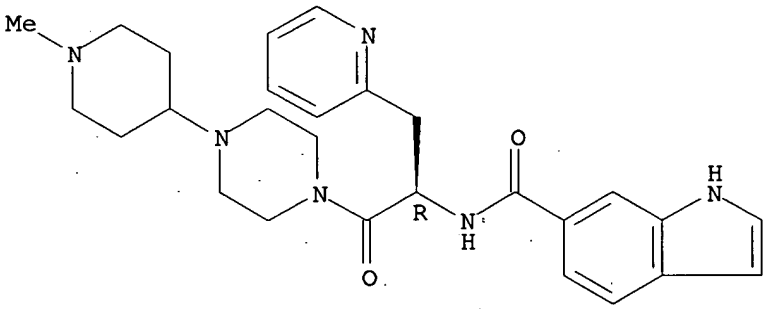
Absolute stereochemistry. Rotation (+).



●11/5 HCl

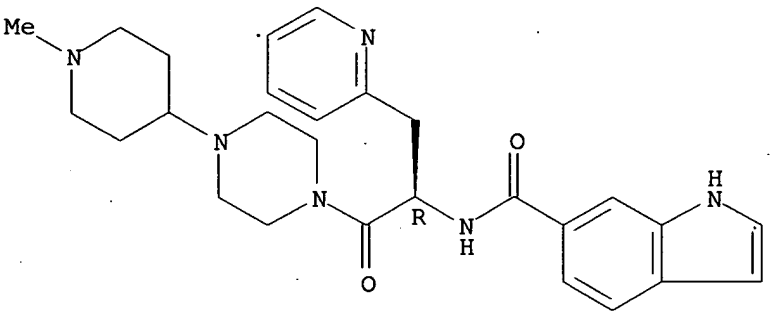
RN 544478-98-0 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-(2-pyridinylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 544478-99-1 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-(2-pyridinylmethyl)ethyl]-, hydrochloride (4:7) (9CI) (CA INDEX NAME)

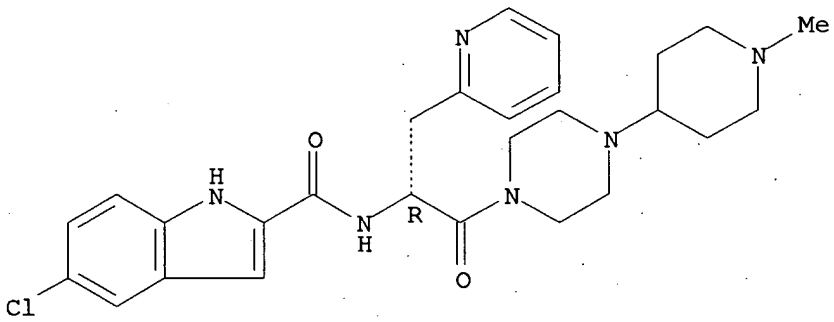
Absolute stereochemistry. Rotation (+).



● 7/4 HCl

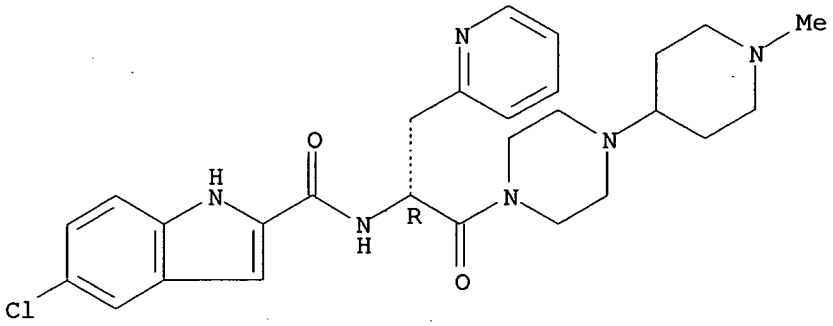
RN 544479-00-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-(2-pyridinylmethyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 544479-01-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-(2-pyridinylmethyl)ethyl]-, hydrochloride (4:9) (9CI) (CA INDEX NAME)

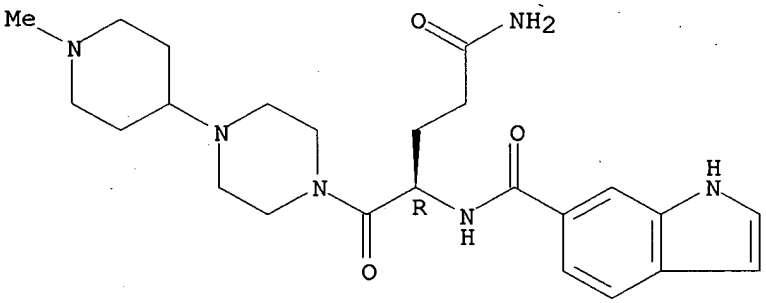
Absolute stereochemistry.



●9/4 HCl

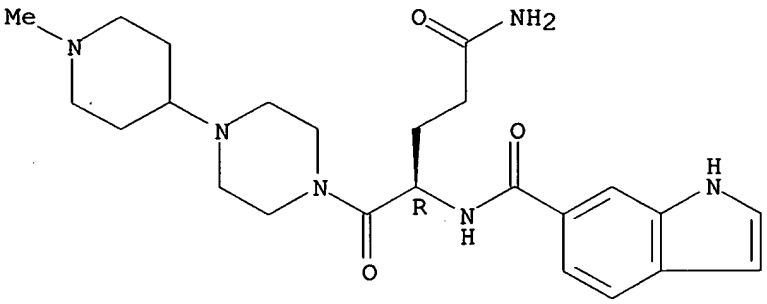
RN 544479-02-9 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-4-amino-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-4-oxobutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 544479-03-0 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-4-amino-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-4-oxobutyl]-, dihydrochloride (9CI) (CA INDEX NAME)

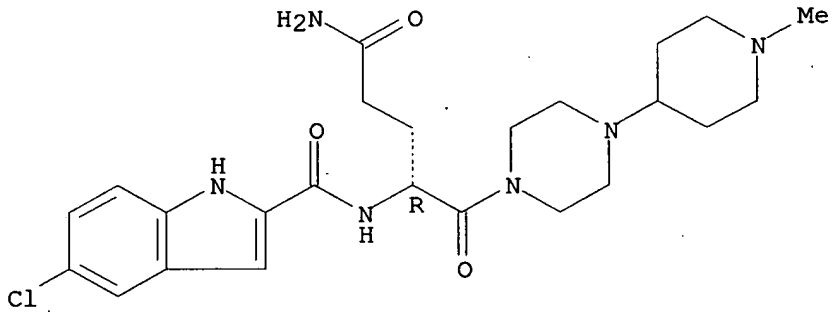
Absolute stereochemistry.



● 2 HCl

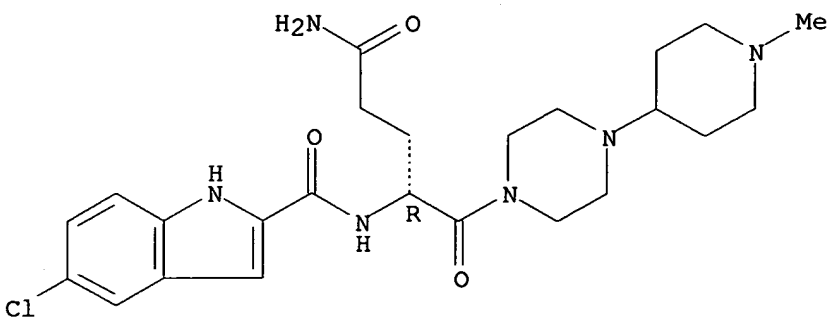
RN 544479-06-3 CAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R)-4-amino-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-4-oxobutyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 544479-07-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R)-4-amino-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-4-oxobutyl]-5-chloro-, dihydrochloride (9CI) (CA INDEX NAME)

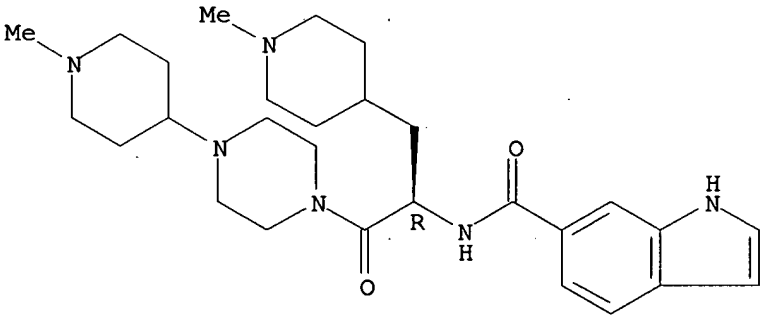
Absolute stereochemistry.



●2 HCl

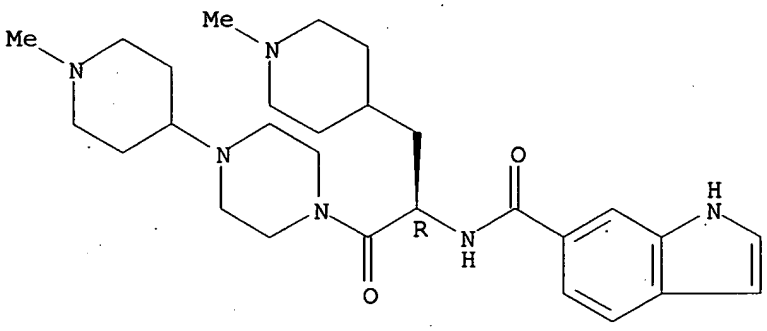
RN 544479-10-9 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-[(1-methyl-4-piperidinyl)methyl]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 544479-11-0 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-[(1-methyl-4-piperidinyl)methyl]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, hydrochloride (10:17) (9CI) (CA INDEX NAME)

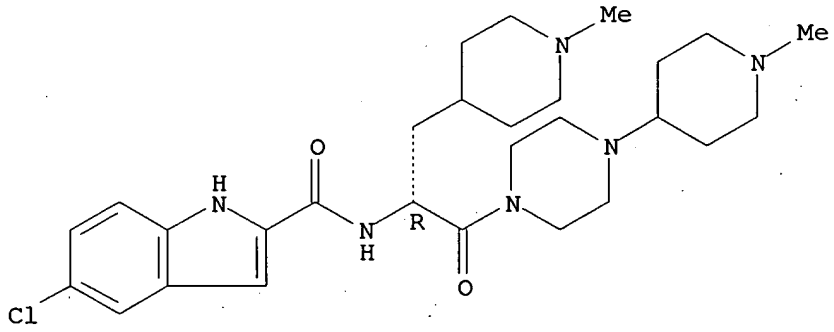
Absolute stereochemistry.



●17/10 HCl

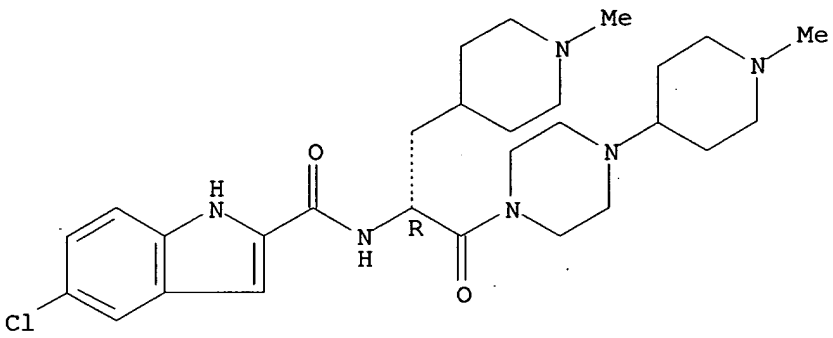
RN 544479-12-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-1-[(1-methyl-4-piperidinyl)methyl]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 544479-13-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-1-[(1-methyl-4-piperidinyl)methyl]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, hydrochloride (2:3) (9CI) (CA INDEX NAME)

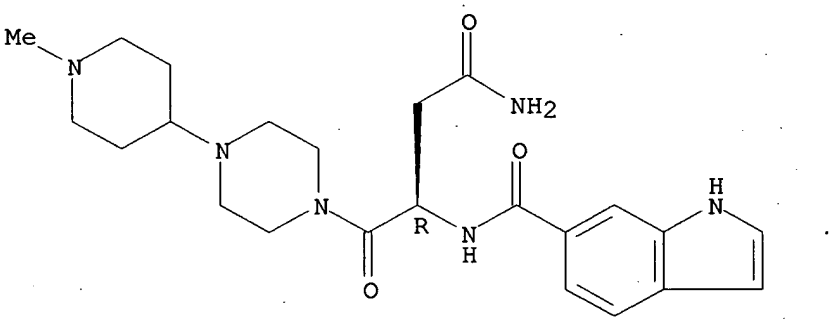
Absolute stereochemistry.



●3/2 HCl

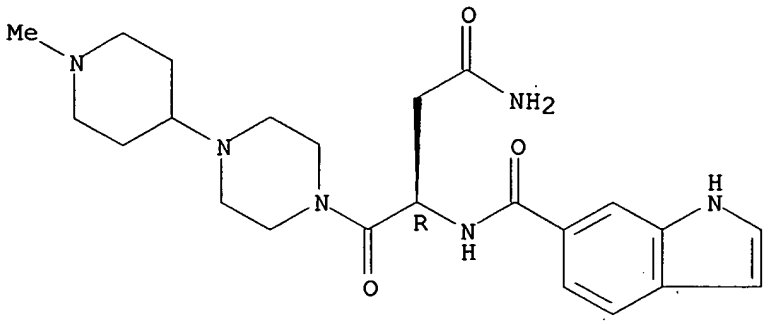
RN 544479-14-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-3-amino-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-3-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 544479-15-4 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-3-amino-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-3-oxopropyl]-, hydrochloride (2:3) (9CI) (CA INDEX NAME)

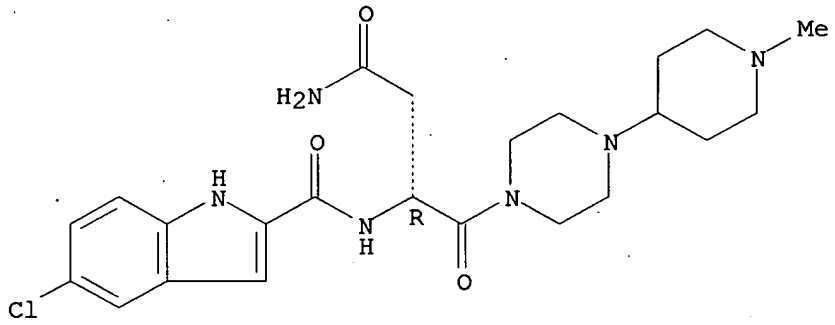
Absolute stereochemistry.



●3/2 HCl

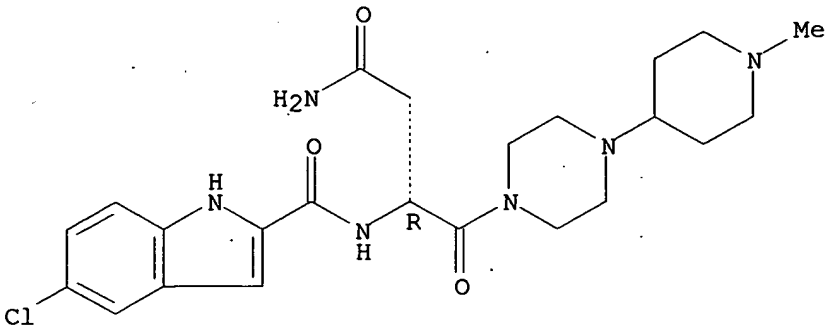
RN 544479-18-7 CAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R)-3-amino-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-3-oxopropyl]-5-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



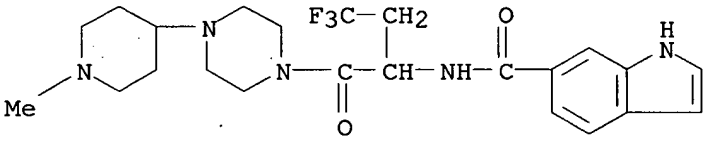
RN 544479-19-8 CAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R)-3-amino-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-3-oxopropyl]-5-chloro-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

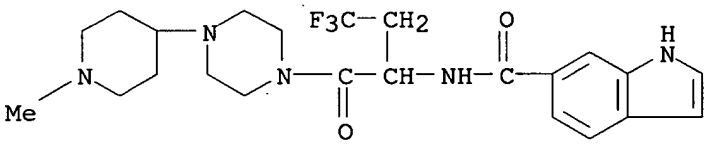


● HCl

RN 544479-20-1 CAPLUS
CN 1H-Indole-6-carboxamide, N-[3,3,3-trifluoro-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]propyl]- (9CI) (CA INDEX NAME)



RN 544479-21-2 CAPLUS
CN 1H-Indole-6-carboxamide, N-[3,3,3-trifluoro-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]propyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

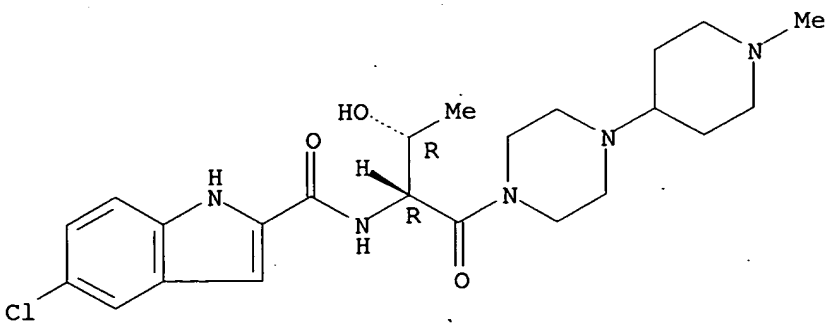
L7 ANSWER 31 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:472385 CAPLUS
DN 139:36796
TI Preparation of glycine derivatives as factor Xa inhibitors for use in the treatment of thrombotic disorders
IN Wiley, Michael Robert; Sall, Daniel Jon; Murray, Christopher William; Young, Stephen Clinton; Bastian, Jolie Anne
PA Eli Lilly and Company, USA

SO PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003049735	A1	20030619	WO 2002-US36150	20021209
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002366563	A1	20030623	AU 2002-366563	20021209
	EP 1455787	A1	20040915	EP 2002-791222	20021209
	EP 1455787	B1	20050622		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	AT 298236	E	20050715	AT 2002-791222	20021209
	ES 2242086	T3	20051101	ES 2002-2791222	20021209
	US 2004249155	A1	20041209	US 2004-496020	20040601
	US 7078415	B2	20060718		
PRAI	US 2001-339326P	P	20011212		
	WO 2002-US36150	W	20021209		

OS MARPAT 139:36796
IT 544479-95-0P 544479-97-2P 544479-99-4P
544480-00-4P 544480-02-6P 544480-03-7P
544480-04-8P 544480-08-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of glycine derivs. as factor Xa inhibitors for treatment of thrombotic disorders)
RN 544479-95-0 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2-hydroxy-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]propyl]-, hydrochloride (10:11) (9CI) (CA INDEX NAME)

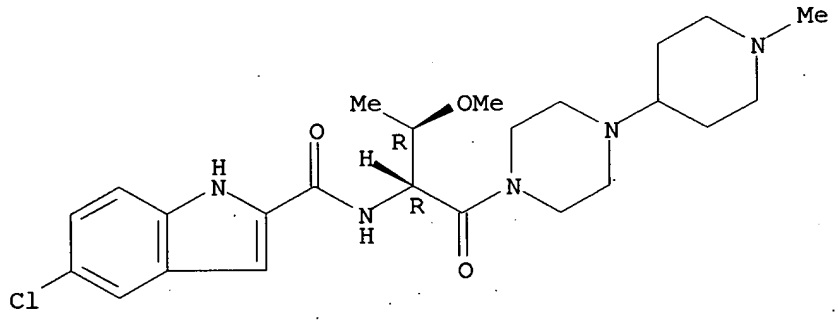
Absolute stereochemistry.



●11/10 HCl

RN 544479-97-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R,2R)-2-methoxy-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]propyl]-, hydrochloride (9CI) (CA INDEX NAME)

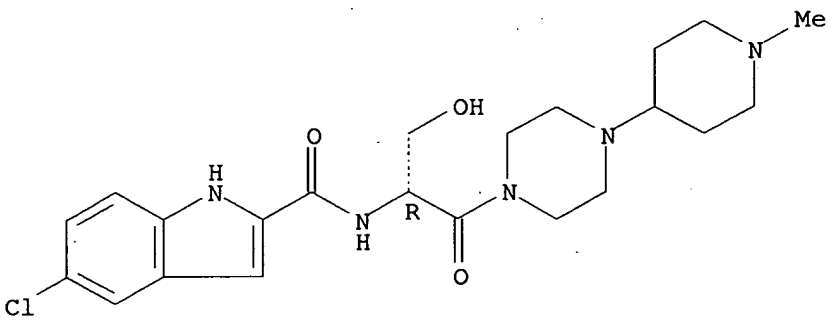
Absolute stereochemistry.



●x HCl

RN 544479-99-4 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-1-(hydroxymethyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, hydrochloride (10:11) (9CI) (CA INDEX NAME)

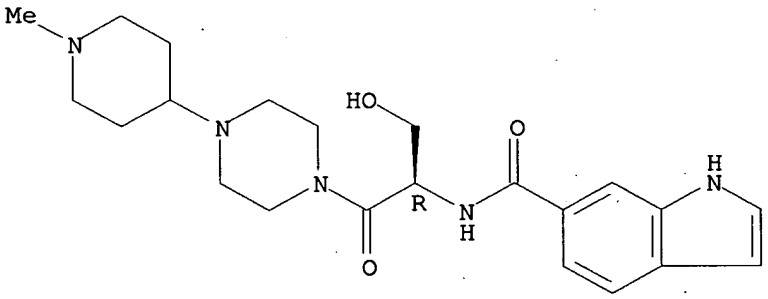
Absolute stereochemistry.



●11/10 HCl

RN 544480-00-4 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-(hydroxymethyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, hydrochloride (10:11) (9CI) (CA INDEX NAME)

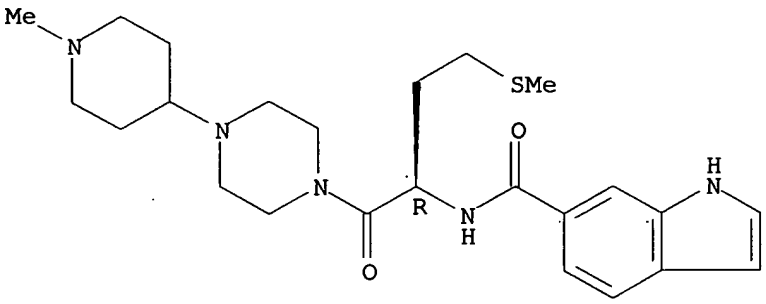
Absolute stereochemistry.



●11/10 HCl

RN 544480-02-6 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-3-(methylthio)propyl]-, hydrochloride (5:9) (9CI) (CA INDEX NAME)

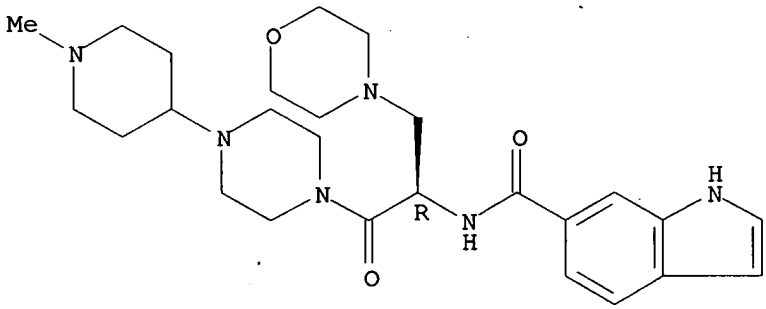
Absolute stereochemistry.



●9/5 HCl

RN 544480-03-7 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-1-(4-morpholinylmethyl)-2-oxoethyl]-, hydrochloride (5:8) (9CI) (CA INDEX NAME)

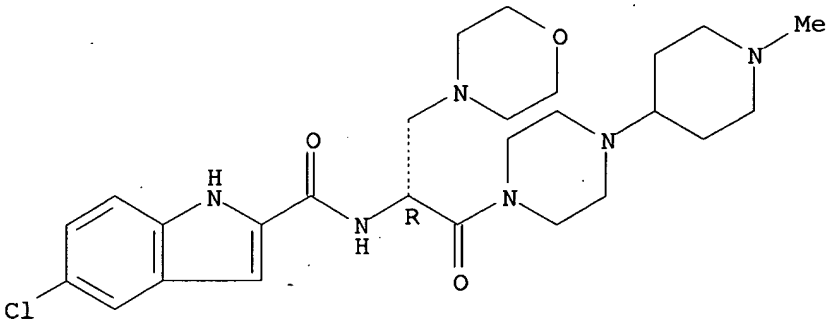
Absolute stereochemistry.



●8/5 HCl

RN 544480-04-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-1-(4-morpholinylmethyl)-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

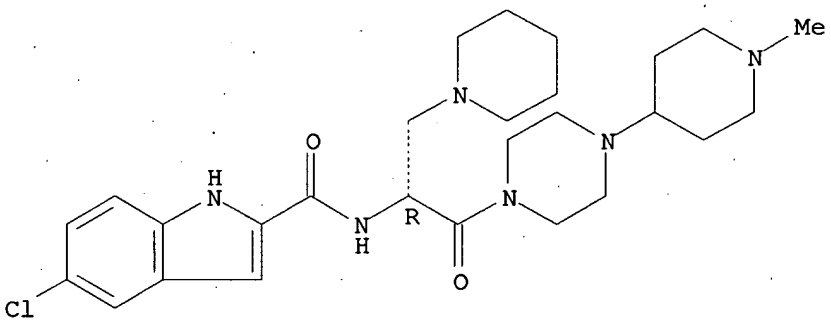
Absolute stereochemistry.



● HCl

RN 544480-08-2 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-(1-piperidinylmethyl)ethyl]-, hydrochloride (10:33) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●33/10 HCl

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:301053 CAPLUS
DN 138:321578
TI Preparation of peptides as ligands of melanocortin receptors
IN Dyck, Brian P.; Goodfellow, Val; Phillips, Teresa; Parker, Jessica; Zhang, Xiaohu; Chen, Chen; Tran, Joe Anh; Pontillo, Joseph; Tucci, Fabio C.
PA Neurocrine Biosciences, Inc., USA
SO PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2003031410	A1	20030417	WO 2002-US32282	20021009
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003158209	A1	20030821	US 2002-268923	20021009
	EP 1465867	A1	20041013	EP 2002-800985	20021009
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	JP 2005506338	T2	20050303	JP 2003-534394	20021009
PRAI	US 2001-328295P	P	20011009		
	US 2002-366745P	P	20020322		
	WO 2002-US32282	W	20021009		

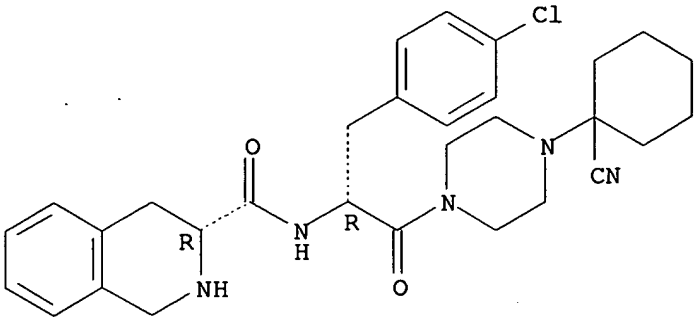
OS MARPAT 138:321578

IT 511538-63-9P 511538-65-1P 511538-67-3P
511538-69-5P 511538-72-0P 511538-73-1P
511539-03-0P 511539-04-1P 511539-06-3P
511539-07-4P 511539-15-4P 511539-16-5P
511539-17-6P 511539-18-7P 511539-22-3P
511539-23-4P 511539-29-0P 511539-40-5P
511539-41-6P 511539-42-7P 511539-43-8P
511539-46-1P 511540-40-2P 511540-41-3P
511540-42-4P 511540-43-5P 511540-44-6P
511540-45-7P 511540-46-8P 511549-38-5P
511549-40-9P 511549-41-0P 511549-42-1P
511549-43-2P 511549-45-4P 511549-46-5P
511549-47-6P 511549-48-7P 511549-50-1P
511549-51-2P 511549-52-3P 511549-53-4P
511549-54-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as ligands of melanocortin receptors)

RN 511538-63-9 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-(1-cyanocyclohexyl)-1-piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

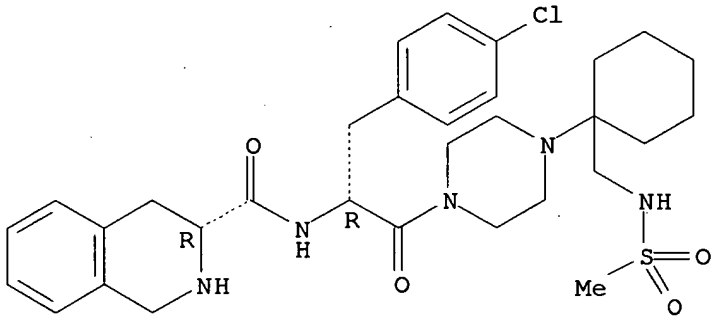


RN 511538-65-1 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[1-[[(methylsulfonyl)amino]methyl]cyclohexyl]-1-piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

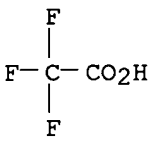
CRN 511538-64-0
CMF C31 H42 Cl N5 O4 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

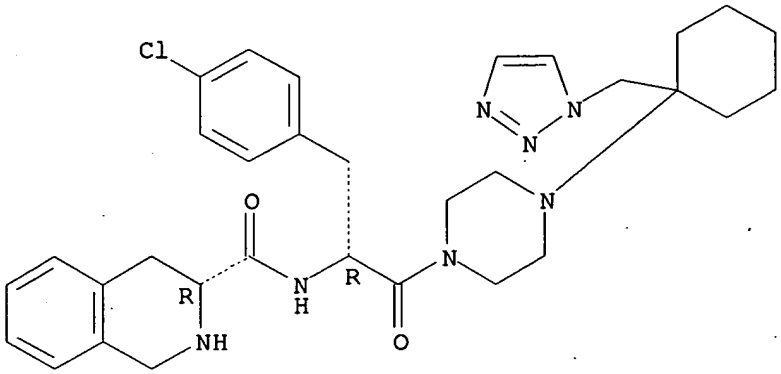


RN 511538-67-3 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[1-(1H-1,2,3-triazol-1-ylmethyl)cyclohexyl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3R)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

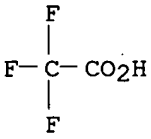
CRN 511538-66-2
CMF C32 H40 Cl N7 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

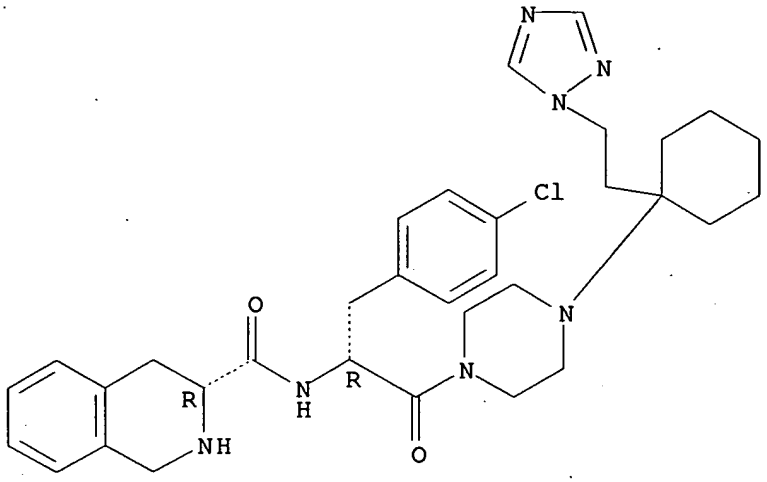


RN 511538-69-5 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[1-[2-(1H-1,2,4-triazol-1-yl)ethyl]cyclohexyl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3R)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

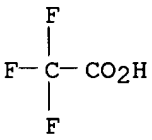
CRN 511538-68-4
CMF C33 H42 Cl N7 O2

Absolute stereochemistry.



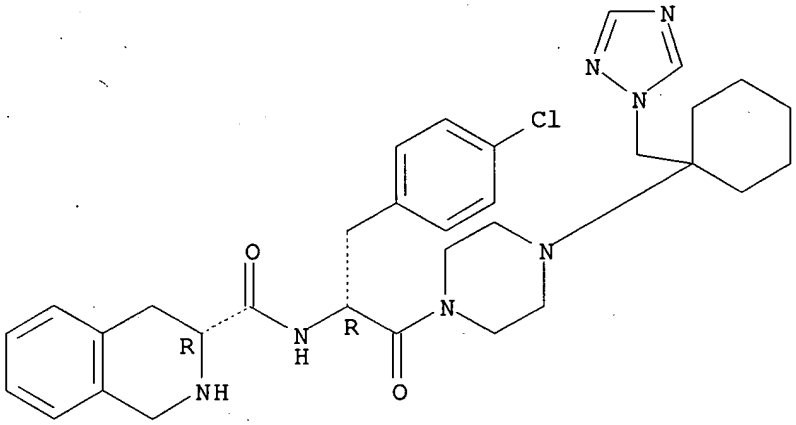
CM 2

CRN 76-05-1
CMF C2 H F3 O2



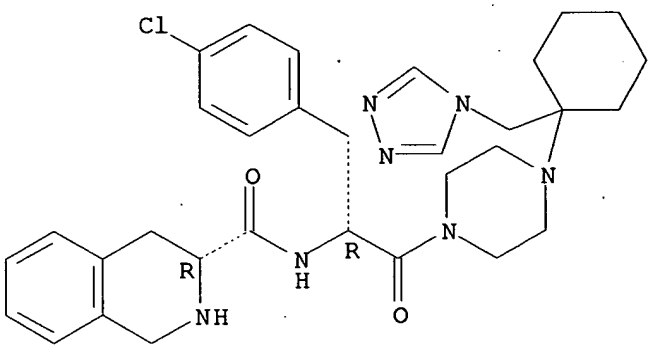
RN 511538-72-0 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[1-(1H-1,2,4-triazol-1-ylmethyl)cyclohexyl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



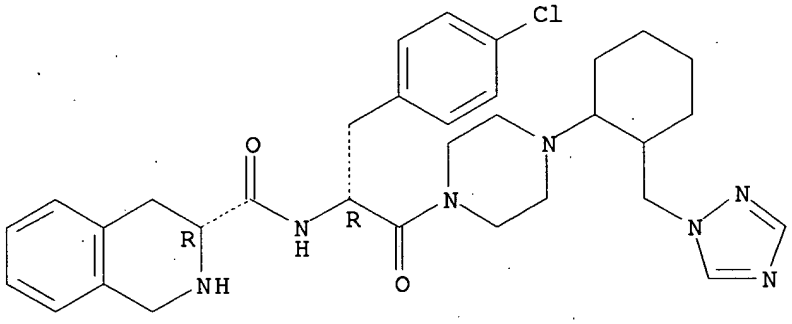
RN 511538-73-1 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[1-(4H-1,2,4-triazol-4-ylmethyl)cyclohexyl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



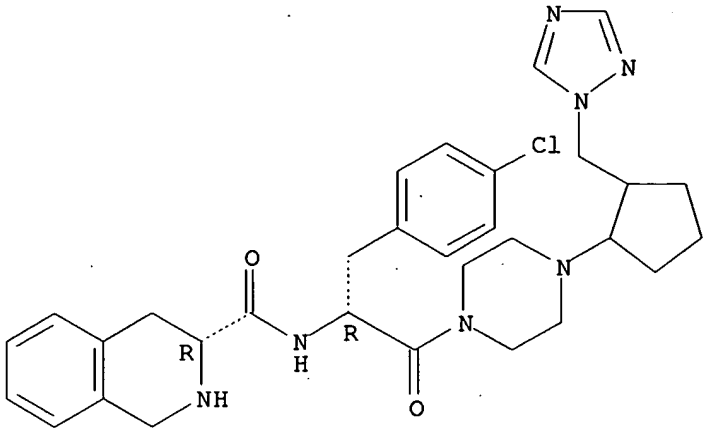
RN 511539-03-0 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[2-(1H-1,2,4-triazol-1-ylmethyl)cyclohexyl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



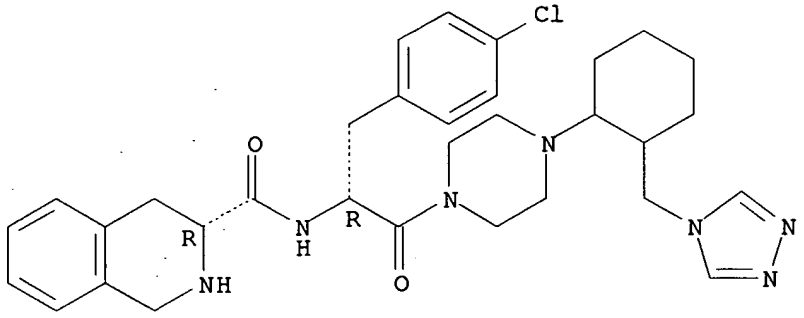
RN 511539-04-1 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[2-(1H-1,2,4-triazol-1-ylmethyl)cyclopentyl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



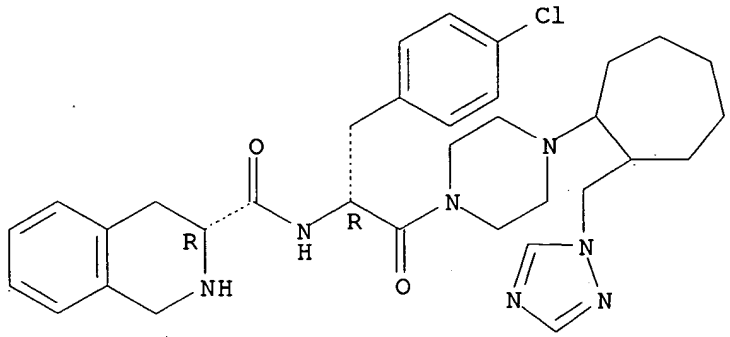
RN 511539-06-3 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[2-(4H-1,2,4-triazol-4-ylmethyl)cyclohexyl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



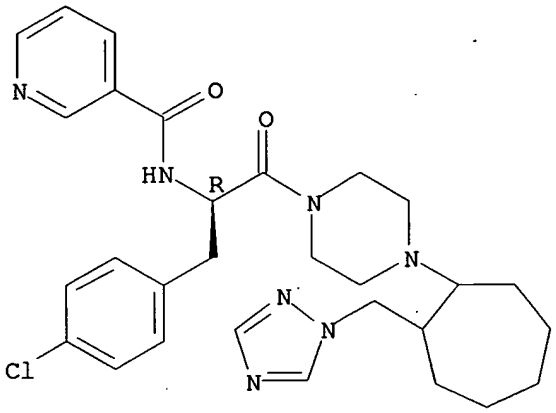
RN 511539-07-4 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[2-(1H-1,2,4-triazol-1-ylmethyl)cycloheptyl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



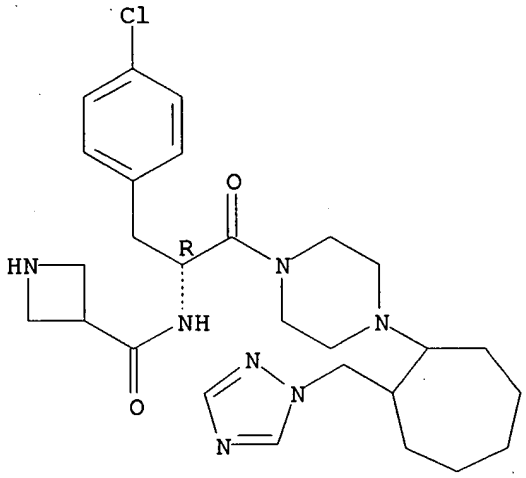
RN 511539-15-4 CAPLUS
CN 3-Pyridinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[2-(1H-1,2,4-triazol-1-ylmethyl)cycloheptyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



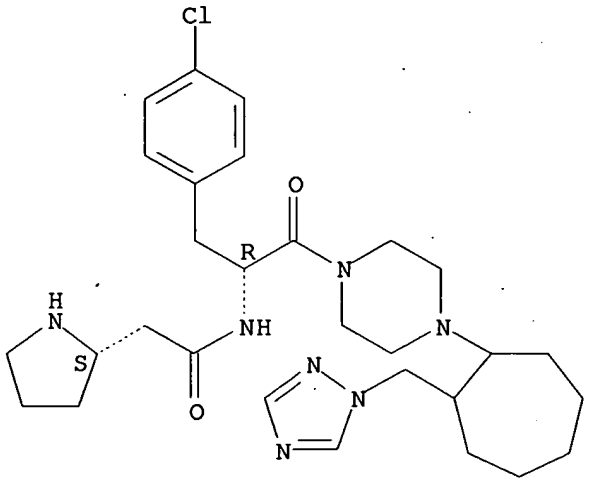
RN 511539-16-5 CAPLUS
CN 3-Azetidinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[2-(1H-1,2,4-triazol-1-ylmethyl)cycloheptyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



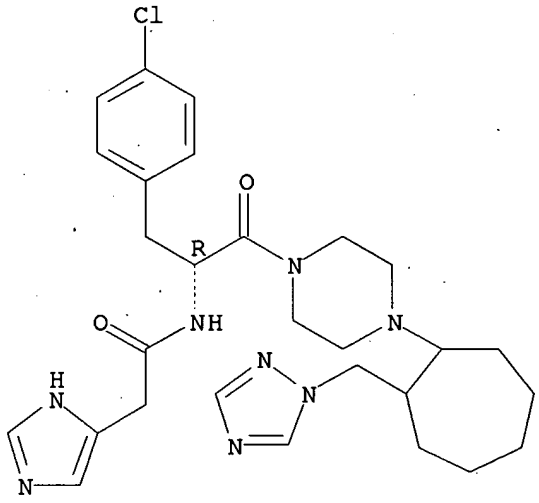
RN 511539-17-6 CAPLUS
CN 2-Pyrrolidineacetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[2-(1H-1,2,4-triazol-1-ylmethyl)cycloheptyl]-1-piperazinyl]ethyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



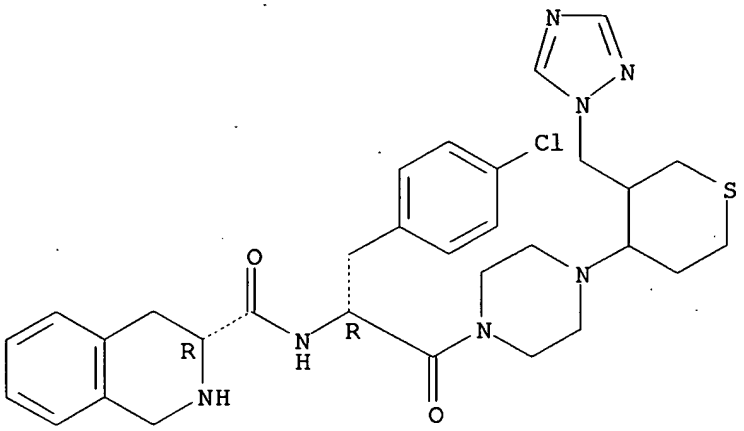
RN 511539-18-7 CAPLUS
CN 1H-Imidazole-4-acetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[2-(1H-1,2,4-triazol-1-ylmethyl)cycloheptyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



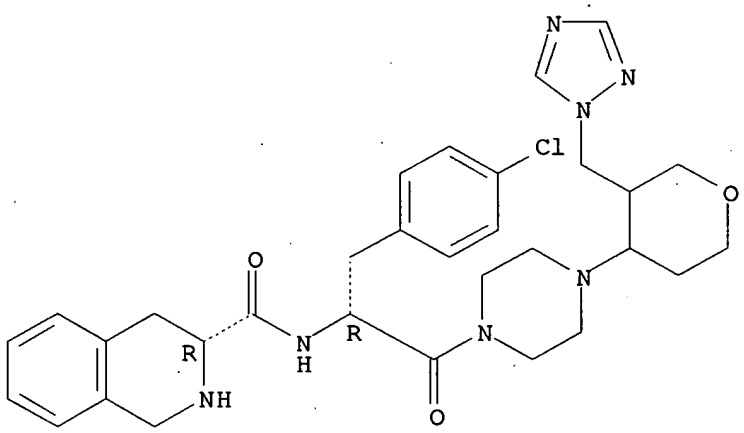
RN 511539-22-3 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[tetrahydro-3-(1H-1,2,4-triazol-1-ylmethyl)-2H-thiopyran-4-yl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



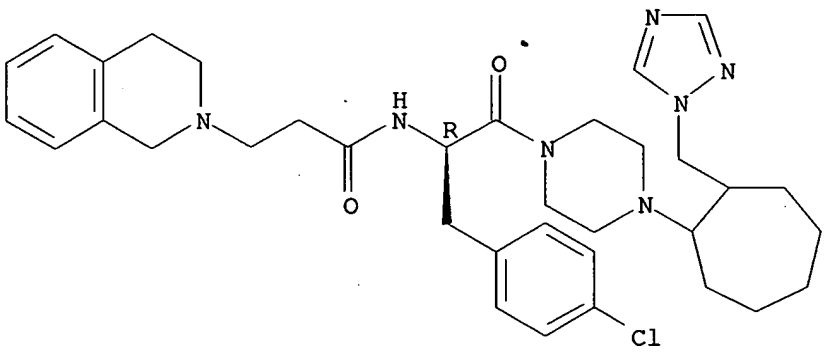
RN 511539-23-4 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[tetrahydro-3-(1H-1,2,4-triazol-1-ylmethyl)-2H-pyran-4-yl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



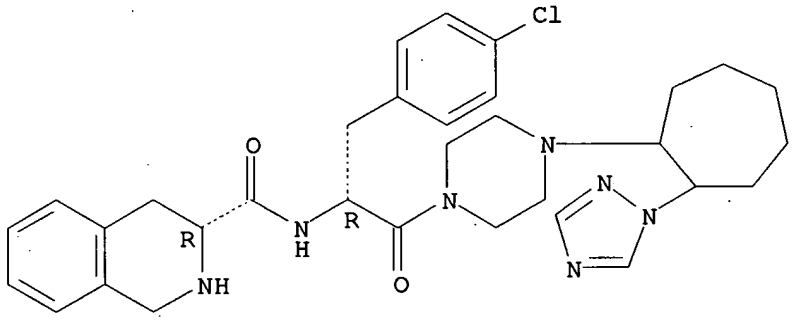
RN 511539-29-0 CAPLUS
CN 2(1H)-Isoquinolinepropanamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[2-(1H-1,2,4-triazol-1-ylmethyl)cycloheptyl]-1-piperazinyl]ethyl]-3,4-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



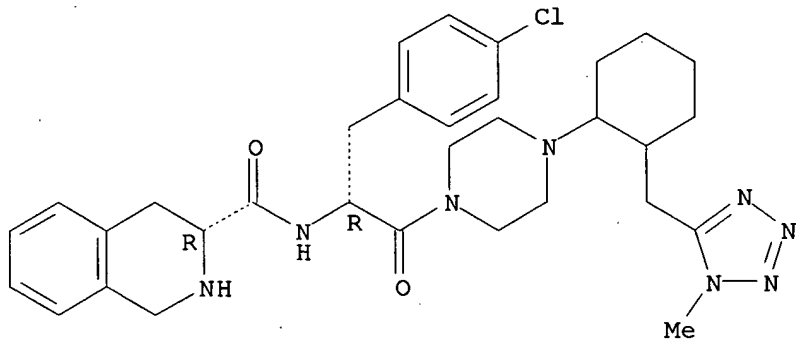
RN 511539-40-5 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[2-(1H-1,2,4-triazol-1-yl)cycloheptyl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 511539-41-6 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[(1-methyl-1H-tetrazol-5-yl)methyl]cyclohexyl]-1-piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

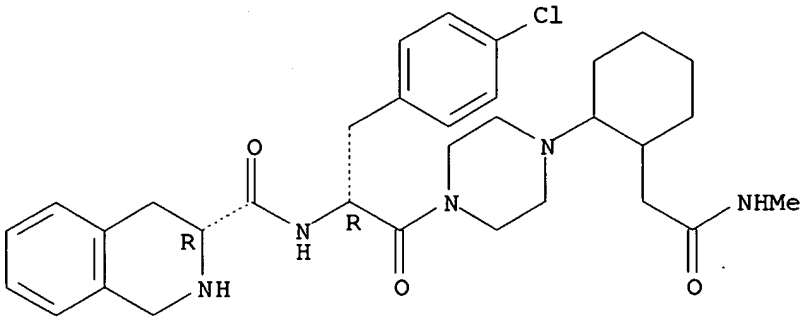
Absolute stereochemistry.



RN 511539-42-7 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[2-

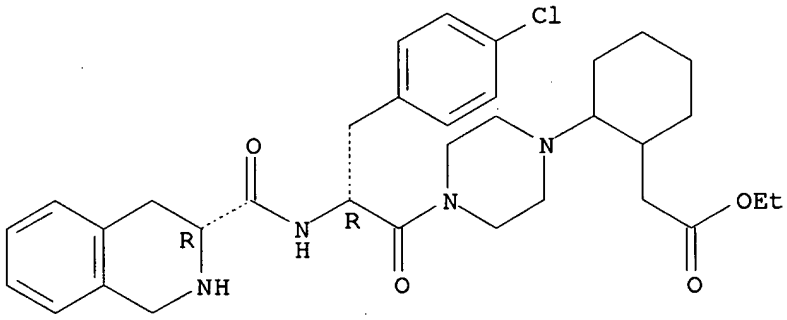
(methylamino)-2-oxoethyl]cyclohexyl]-1-piperazinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



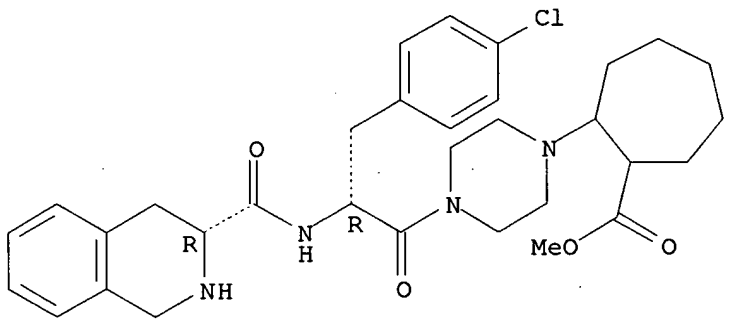
RN 511539-43-8 CAPLUS
CN Cyclohexaneacetic acid, 2-[4-[(2R)-3-(4-chlorophenyl)-1-oxo-2-[[[(3R)-1,2,3,4-tetrahydro-3-isoquinolinyl]carbonyl]amino]propyl]-1-piperazinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



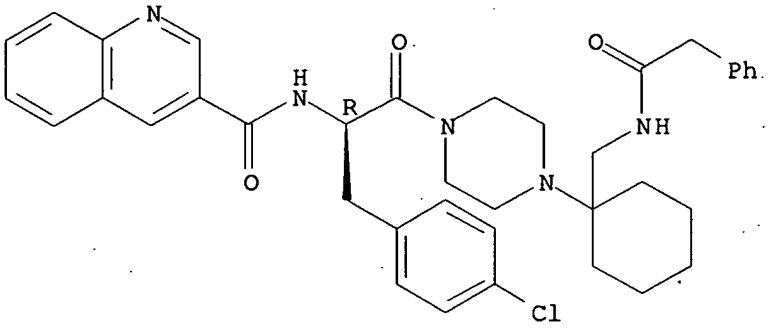
RN 511539-46-1 CAPLUS
CN Cycloheptanecarboxylic acid, 2-[4-[(2R)-3-(4-chlorophenyl)-1-oxo-2-[[[(3R)-1,2,3,4-tetrahydro-3-isoquinolinyl]carbonyl]amino]propyl]-1-piperazinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



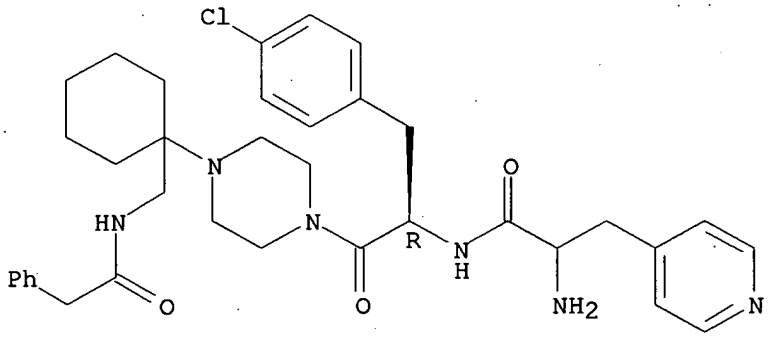
RN 511540-40-2 CAPLUS
CN 3-Quinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[1-[[(phenylacetyl)amino]methyl]cyclohexyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



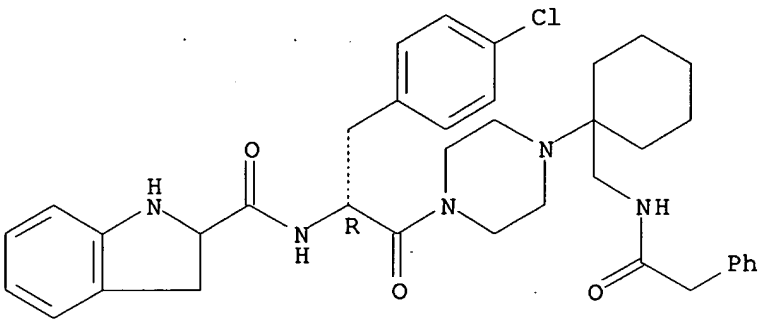
RN 511540-41-3 CAPLUS
CN 4-Pyridinepropanamide, α-amino-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[1-[[(phenylacetyl)amino]methyl]cyclohexyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



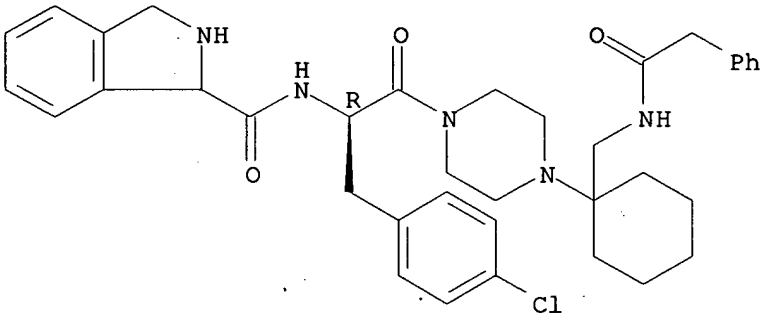
RN 511540-42-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[1-[[(phenylacetyl)amino]methyl]cyclohexyl]-1-piperazinyl]ethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



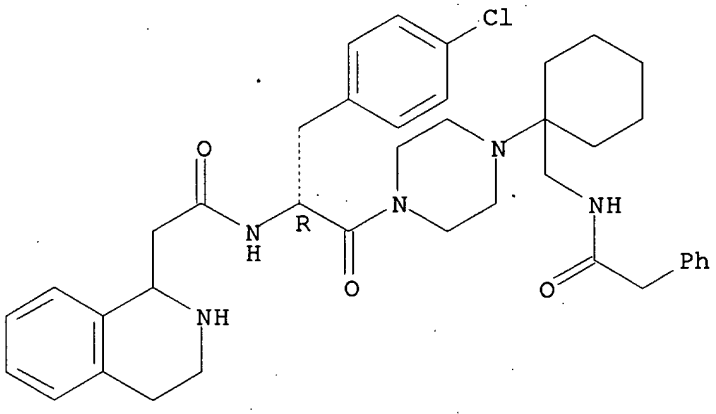
RN 511540-43-5 CAPLUS
CN 1H-Isoindole-1-carboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[1-[[(phenylacetyl)amino]methyl]cyclohexyl]-1-piperazinyl]ethyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 511540-44-6 CAPLUS
CN 1-Isoquinolineacetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[1-[[(phenylacetyl)amino]methyl]cyclohexyl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

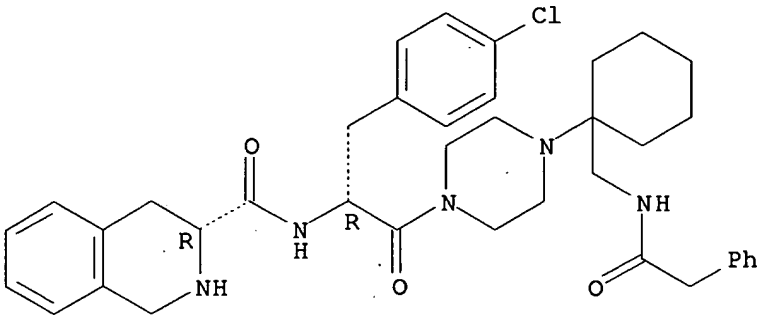
Absolute stereochemistry.



RN 511540-45-7 CAPLUS

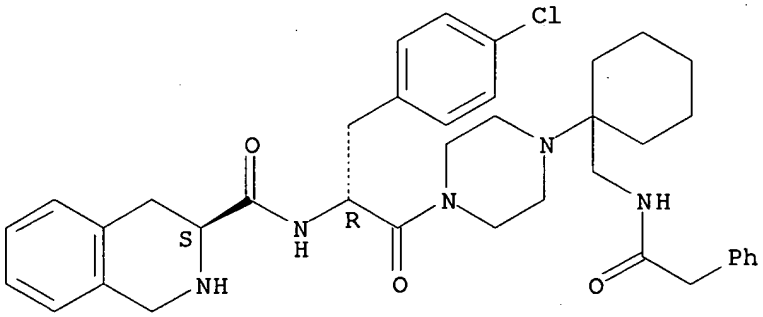
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[1-[[(phenylacetyl)amino]methyl]cyclohexyl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



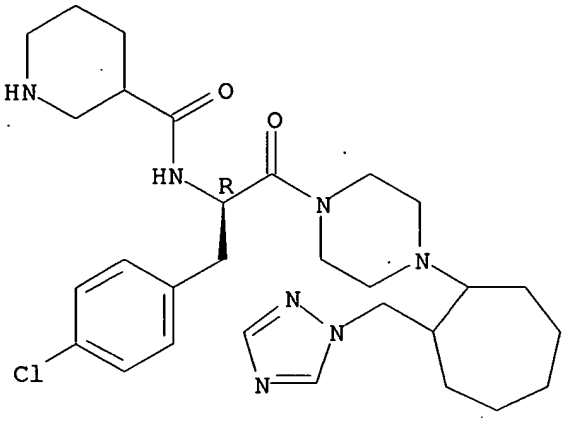
RN 511540-46-8 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[1-[[(phenylacetyl)amino]methyl]cyclohexyl]-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



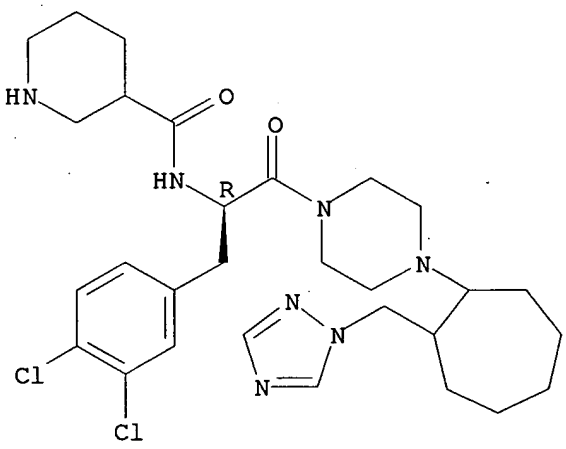
RN 511549-38-5 CAPLUS
CN 3-Piperidinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[2-(1H-1,2,4-triazol-1-ylmethyl)cycloheptyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



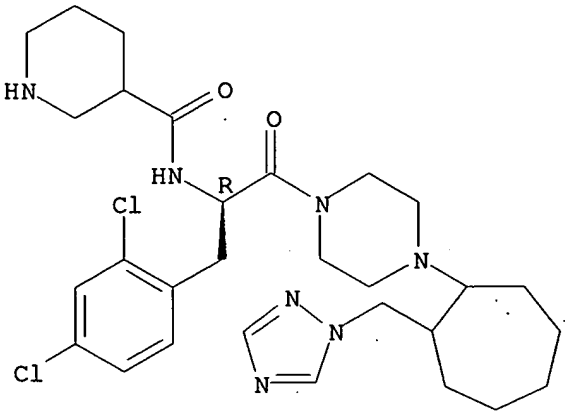
RN 511549-40-9 CAPLUS
CN 3-Piperidinecarboxamide, N-[(1R)-1-[(3,4-dichlorophenyl)methyl]-2-oxo-2-[4-[2-(1H-1,2,4-triazol-1-ylmethyl)cycloheptyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



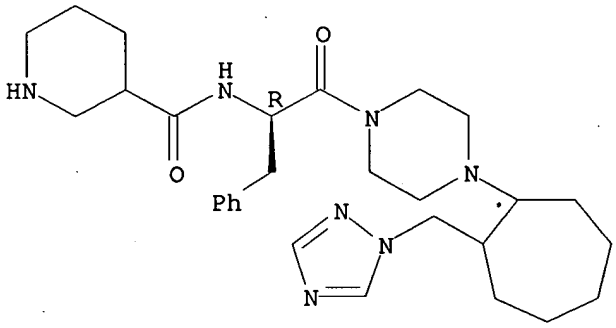
RN 511549-41-0 CAPLUS
CN 3-Piperidinecarboxamide, N-[(1R)-1-[(2,4-dichlorophenyl)methyl]-2-oxo-2-[4-[2-(1H-1,2,4-triazol-1-ylmethyl)cycloheptyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



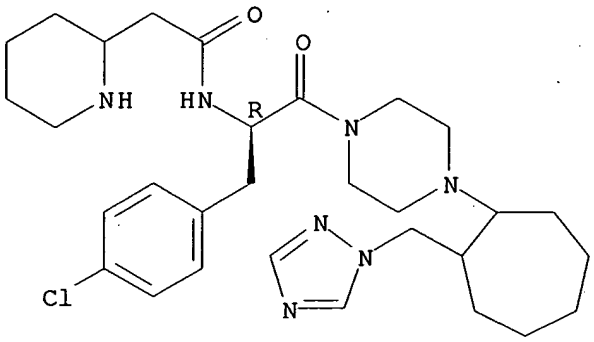
RN 511549-42-1 CAPLUS
CN 3-Piperidinecarboxamide, N-[(1R)-2-oxo-1-(phenylmethyl)-2-[4-[2-(1H-1,2,4-triazol-1-ylmethyl)cycloheptyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



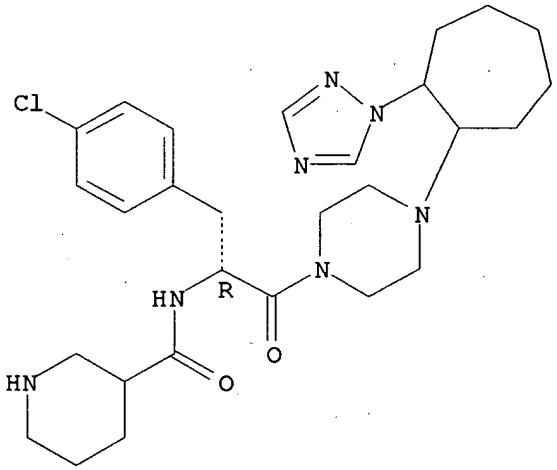
RN 511549-43-2 CAPLUS
CN 2-Piperidineacetamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[2-(1H-1,2,4-triazol-1-ylmethyl)cycloheptyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



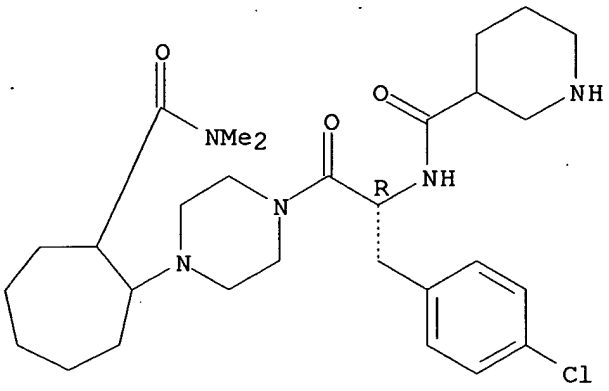
RN 511549-45-4 CAPLUS
CN 3-Piperidinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[2-(1H-1,2,4-triazol-1-yl)cycloheptyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



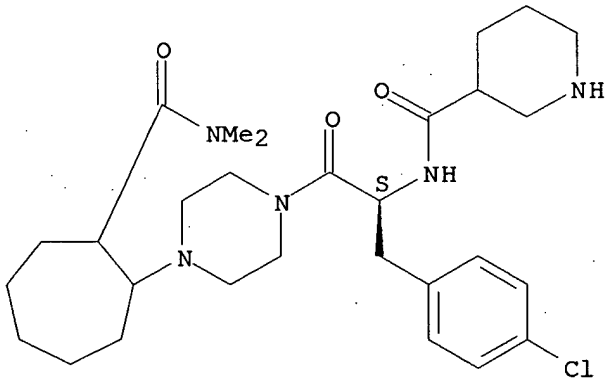
RN 511549-46-5 CAPLUS
CN 3-Piperidinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[(dimethylamino)carbonyl]cycloheptyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



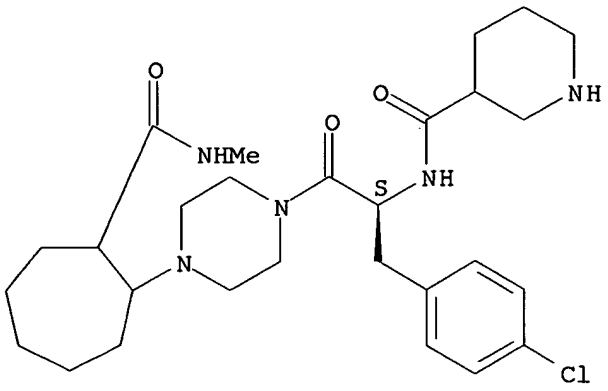
RN 511549-47-6 CAPLUS
CN 3-Piperidinecarboxamide, N-[(1S)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[(dimethylamino)carbonyl]cycloheptyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



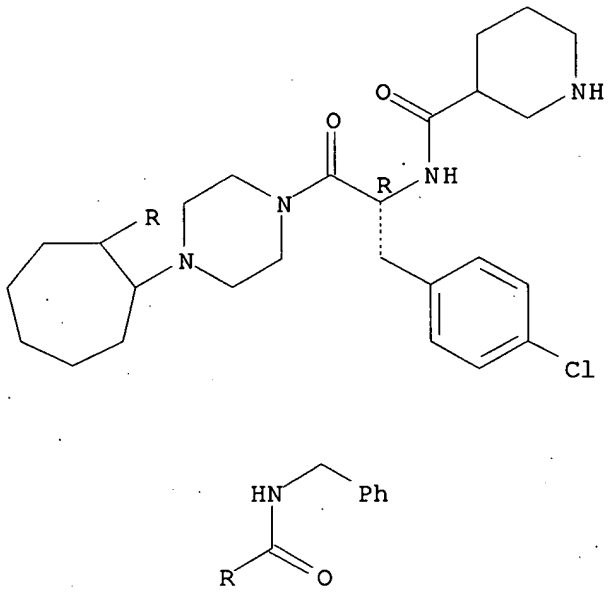
RN 511549-48-7 CAPLUS
CN 3-Piperidinecarboxamide, N-[(1S)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[(methylamino)carbonyl]cycloheptyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



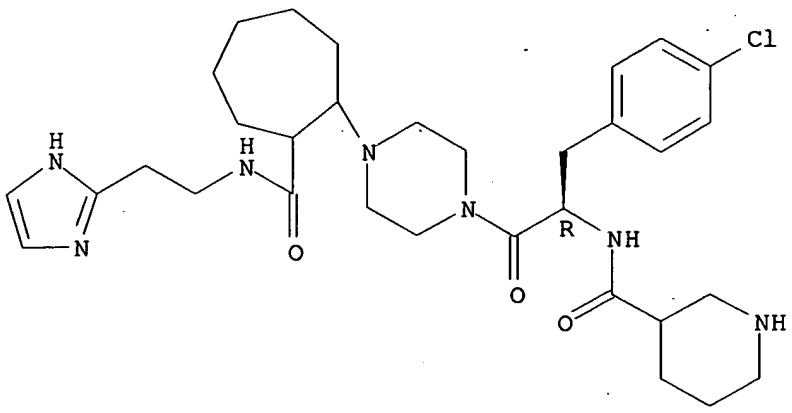
RN 511549-50-1 CAPLUS
CN 3-Piperidinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-[2-[[(phenylmethyl)amino]carbonyl]cycloheptyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



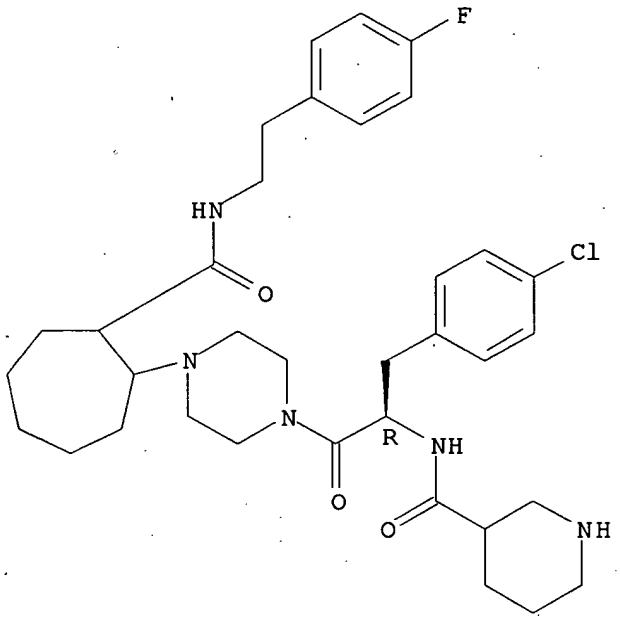
RN 511549-51-2 CAPLUS
CN 3-Piperidinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[[[2-(1H-imidazol-2-yl)ethyl]amino]carbonyl]cycloheptyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



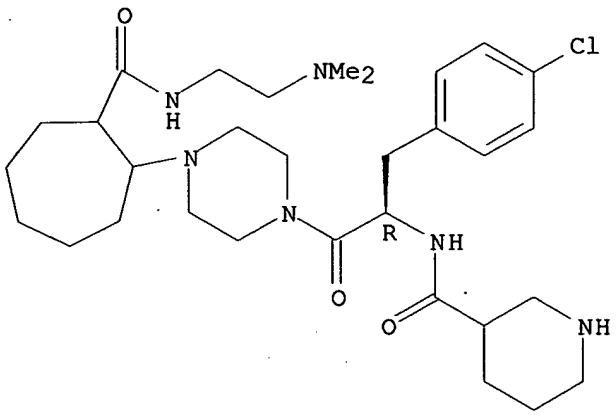
RN 511549-52-3 CAPLUS
CN 3-Piperidinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[[[2-(4-fluorophenyl)ethyl]amino]carbonyl]cycloheptyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



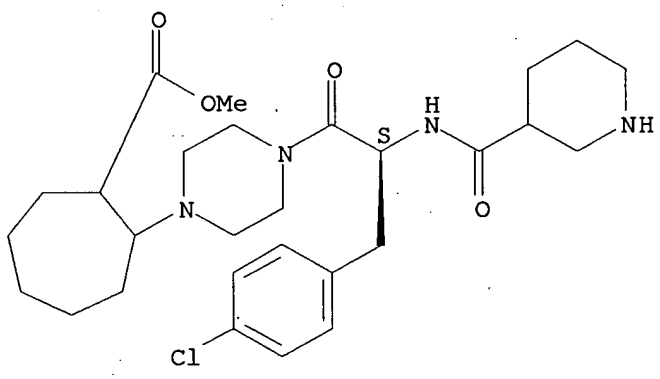
RN 511549-53-4 CAPLUS
CN 3-Piperidinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[2-[[[2-(dimethylamino)ethyl]amino]carbonyl]cycloheptyl]-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 511549-54-5 CAPLUS
CN Cycloheptanecarboxylic acid, 2-[4-[(2S)-3-(4-chlorophenyl)-1-oxo-2-[(3-piperidinylcarbonyl)amino]propyl]-1-piperazinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 33 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:97413 CAPLUS
DN 138:153555
TI Preparation of piperidinyl piperazine and piperidine derivatives as thrombolytic agents
IN Wiley, Michael Robert; Liebeschuetz, John Walter; Sall, Daniel Jon
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003010160	A2	20030206	WO 2002-US21292	20020724
	WO 2003010160	A3	20031002		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU	2002322396	A1	20030217	AU 2002-322396	20020724
EP	1409479	A2	20040421	EP 2002-756385	20020724
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US	2005026928	A1	20050203	US 2004-483264	20040115
PRAI US	2001-307634P	P	20010726		
US	2001-311462P	P	20010813		
US	2001-339317P	P	20011212		
WO	2002-US21292	W	20020724		

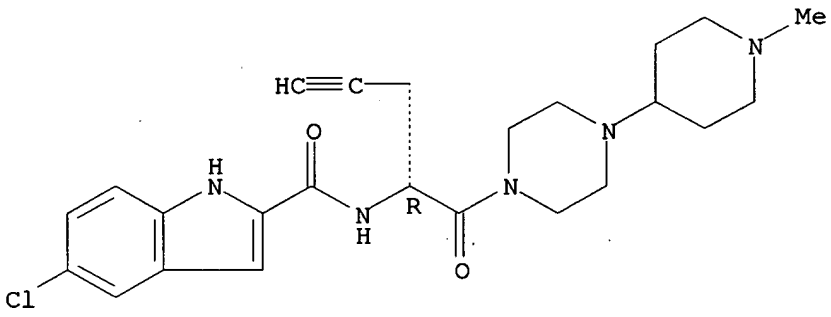
OS MARPAT 138:153555

IT 495377-13-4P 495377-16-7P 495377-20-3P
495377-24-7P 495377-61-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidinyl piperazine derivs. as Factor Xa inhibitors)

RN 495377-13-4 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-3-butynyl]- (9CI) (CA INDEX NAME)

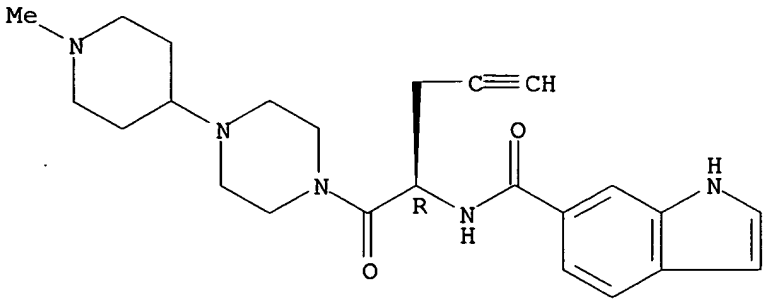
Absolute stereochemistry. Rotation (-).



RN 495377-16-7 CAPLUS

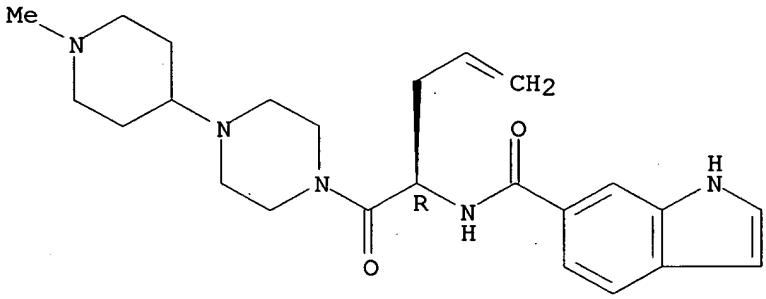
CN 1H-Indole-6-carboxamide, N-[(1R)-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-3-butynyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



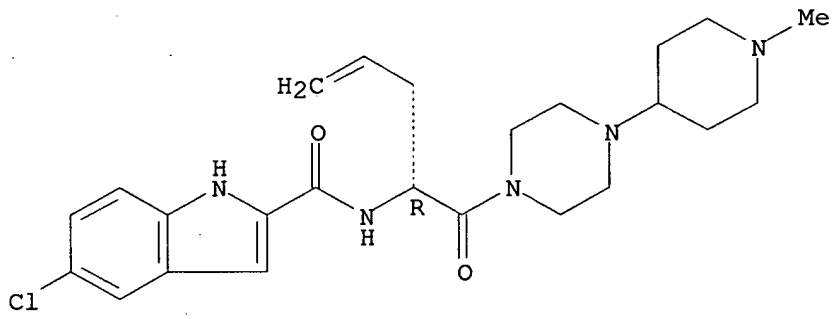
RN 495377-20-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-3-butenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



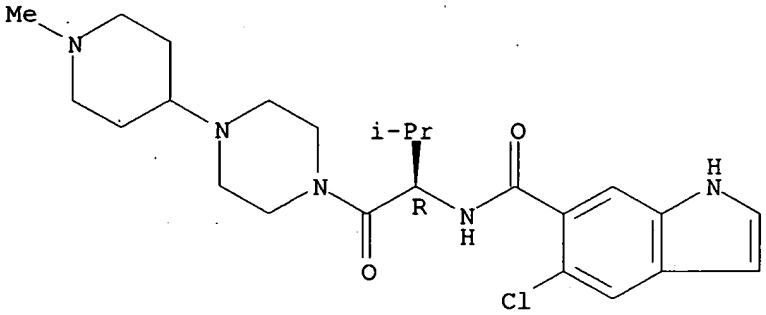
RN 495377-24-7 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-3-butenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 495377-61-2 CAPLUS
CN 1H-Indole-6-carboxamide, 5-chloro-N-[(1R)-2-methyl-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

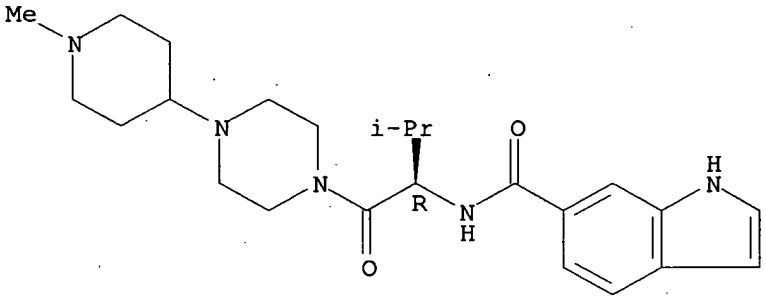


IT 495376-76-6P 495376-78-8P 495376-79-9P
495376-80-2P 495376-82-4P 495376-83-5P
495376-84-6P 495376-85-7P 495376-98-2P
495376-99-3P 495377-04-3P 495377-06-5P
495377-09-8P 495377-10-1P 495377-15-6P
495377-17-8P 495377-21-4P 495377-25-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidinyl piperazine derivs. as Factor Xa inhibitors)

RN 495376-76-6 CAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-methyl-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]propyl]-, hydrochloride (5:7) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

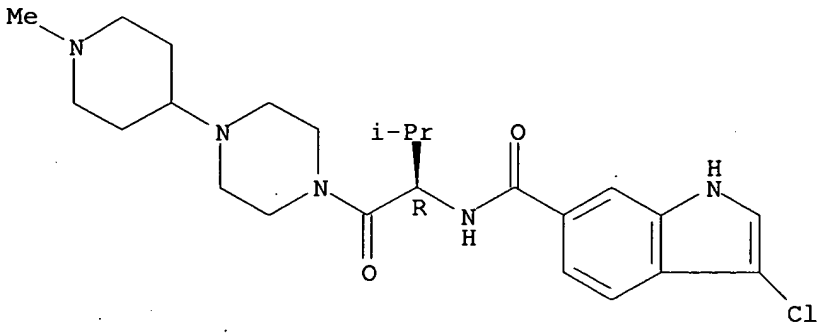


●7/5 HCl

RN 495376-78-8 CAPLUS

CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-methyl-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)

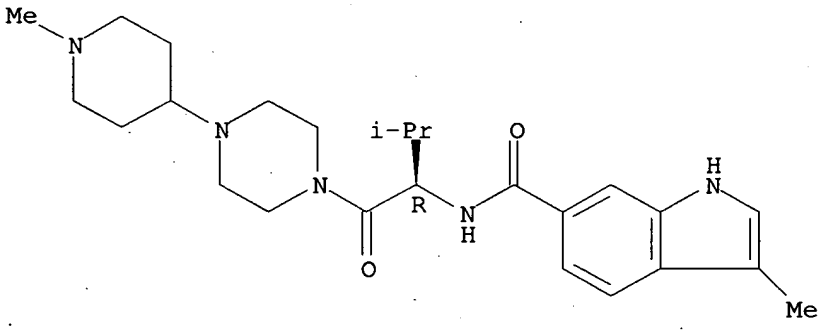
Absolute stereochemistry.



●2 HCl

RN 495376-79-9 CAPLUS
CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-methyl-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]propyl]-, hydrochloride (9CI) (CA INDEX NAME)

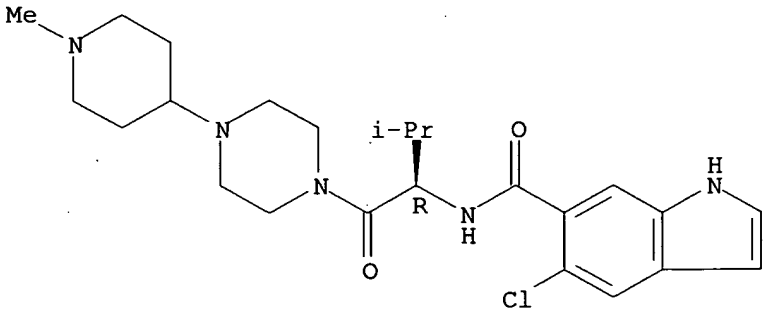
Absolute stereochemistry.



●x HCl

RN 495376-80-2 CAPLUS
CN 1H-Indole-6-carboxamide, 5-chloro-N-[(1R)-2-methyl-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)

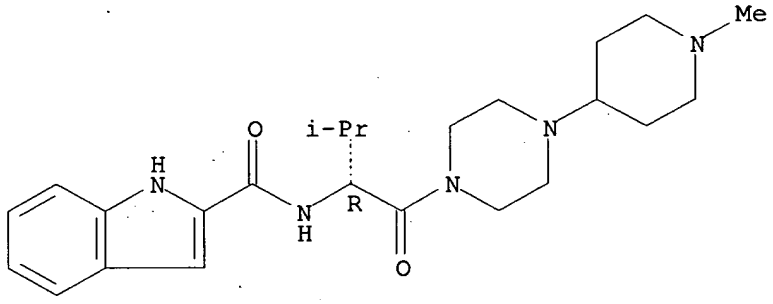
Absolute stereochemistry.



●2 HCl

RN 495376-82-4 CAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R)-2-methyl-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]propyl]-, dihydrochloride (9CI) (CA INDEX NAME)

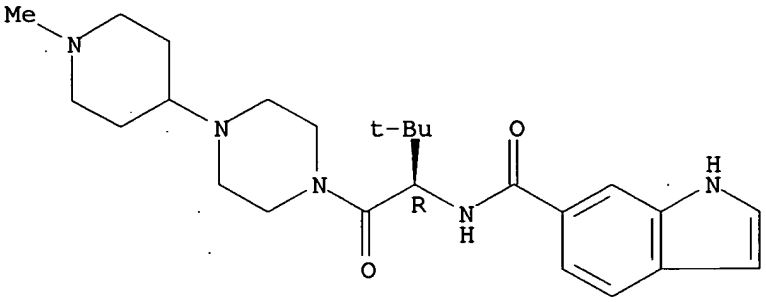
Absolute stereochemistry.



●2 HCl

RN 495376-83-5 CAPLUS.
CN 1H-Indole-6-carboxamide, N-[(1R)-2,2-dimethyl-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]propyl]-, hydrochloride (10:21) (9CI) (CA INDEX NAME)

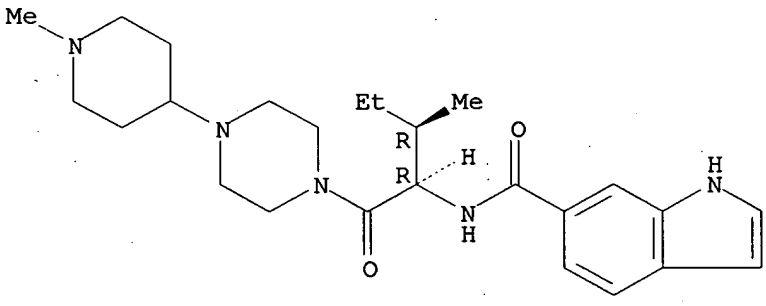
Absolute stereochemistry.



●21/10 HCl

RN 495376-84-6 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R,2R)-2-methyl-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]butyl]-, hydrochloride (10:23) (9CI) (CA INDEX NAME)

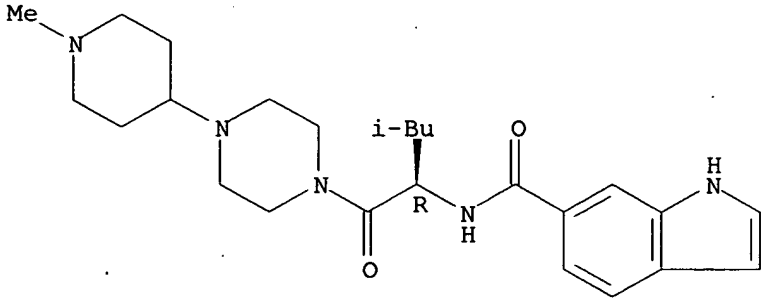
Absolute stereochemistry.



●23/10 HCl

RN 495376-85-7 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-3-methyl-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]butyl]-, hydrochloride (10:11) (9CI) (CA INDEX NAME)

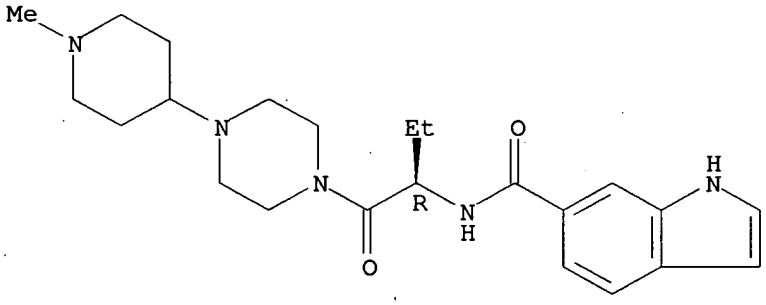
Absolute stereochemistry.



●11/10 HCl

RN 495376-98-2 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

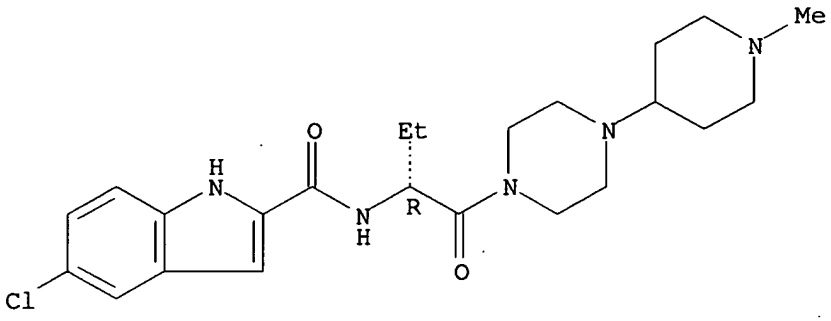
Absolute stereochemistry.



● HCl

RN 495376-99-3 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

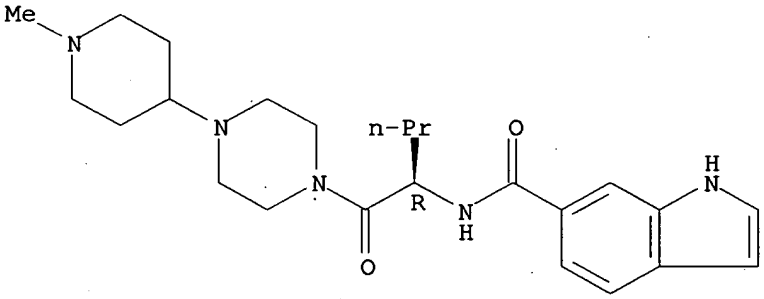
Absolute stereochemistry.



● HCl

RN 495377-04-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

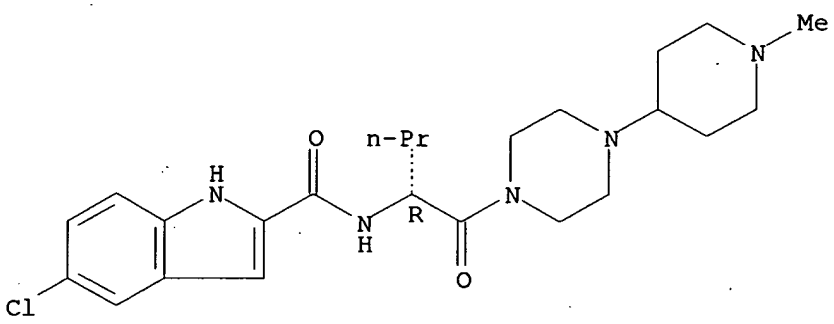
Absolute stereochemistry.



● HCl

RN 495377-06-5 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]butyl]-, hydrochloride (10:9) (9CI) (CA INDEX NAME)

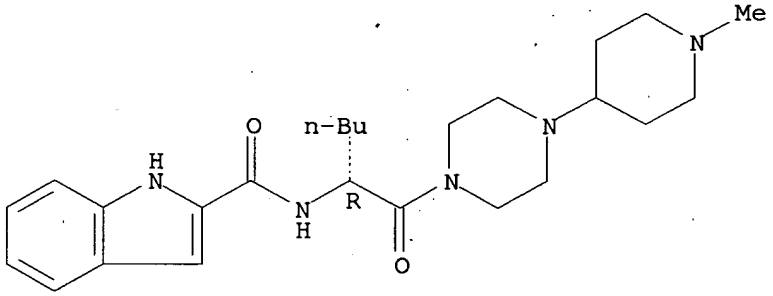
Absolute stereochemistry.



●9/10 HCl

RN 495377-09-8 CAPLUS
CN 1H-Indole-2-carboxamide, N-[(1R)-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]pentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

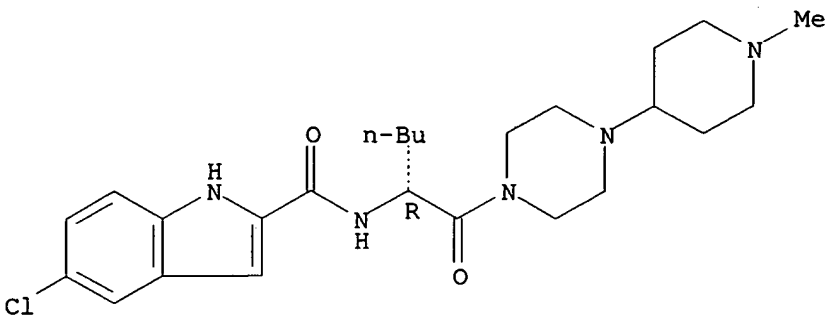
Absolute stereochemistry.



● HCl

RN 495377-10-1 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]pentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

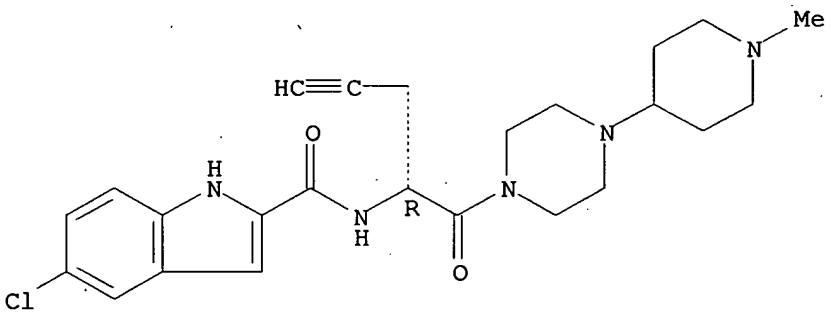
Absolute stereochemistry.



● HCl

RN 495377-15-6 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-3-butynyl]-, hydrochloride (10:9) (9CI) (CA INDEX NAME)

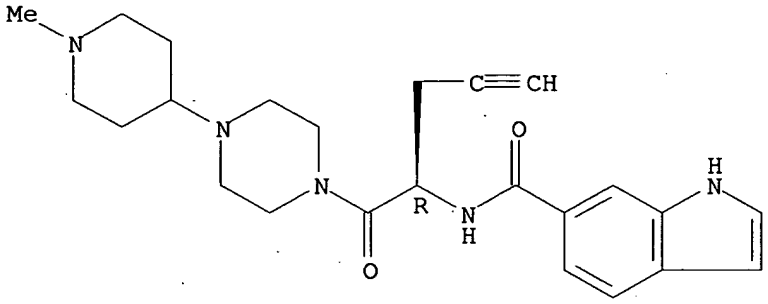
Absolute stereochemistry. Rotation (-).



●9/10 HCl

RN 495377-17-8 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-3-butynyl]-, hydrochloride (5:6) (9CI) (CA INDEX NAME)

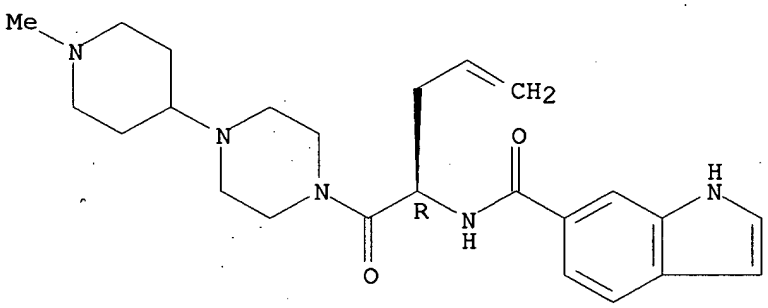
Absolute stereochemistry. Rotation (-).



●6/5 HCl

RN 495377-21-4 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-3-butenyl]-, hydrochloride (5:6) (9CI) (CA INDEX NAME)

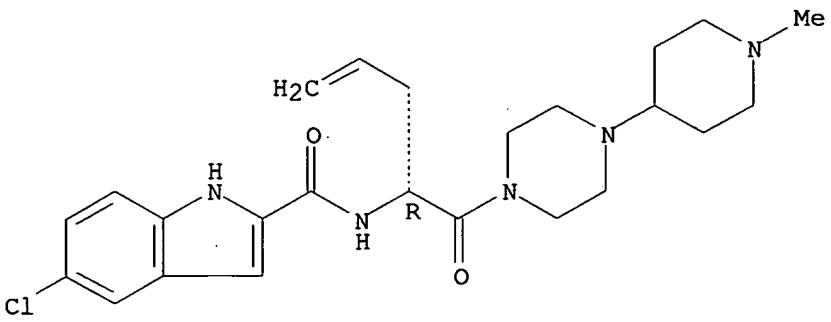
Absolute stereochemistry. Rotation (-).



●6/5 HCl

RN 495377-25-8 CAPLUS
CN 1H-Indole-2-carboxamide, 5-chloro-N-[(1R)-1-[[4-(1-methyl-4-piperidinyl)-1-piperazinyl]carbonyl]-3-butenyl]-, hydrochloride (10:11) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



●11/10 HCl

L7 ANSWER 34 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:97304 CAPLUS
DN 138:137330
TI Preparation of substituted piperazines as agonists of melanocortin receptors useful against obesity and diabetes
IN Fotsch, Christopher H.; Arasasingham, Premilla; Bo, Yunxin; Chen, Ning; Goldberg, Martin H.; Han, Nianhe; Hsieh, Feng-Yin; Kelly, Michael G.; Liu, Qingyian; Norman, Mark H.; Smith, Duncan M.; Stec, Markian; Tamayo, Nuria; Xi, Ning; Xu, Shimin
PA Amgen Inc., USA
SO PCT Int. Appl., 331 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003009850	A1	20030206	WO 2002-US23926	20020725
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	US 7115607	B2	20061003		
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	EP 1417190	A1	20040512	EP 2002-761189	20020725
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PRAI	US 2001-307831P	P	20010725		
	US 2002-202823	A	20020724		
	WO 2002-US23926	W	20020725		

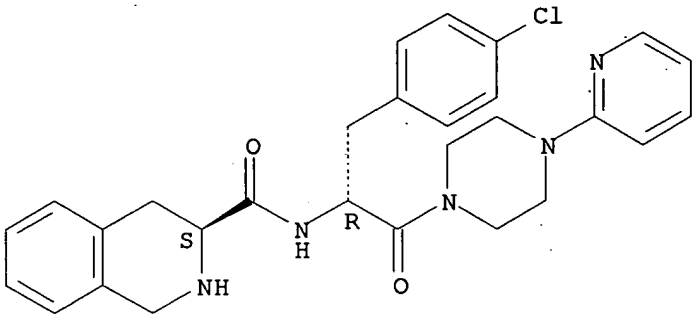
OS MARPAT 138:137330

IT 494783-23-2P, N-[(1R)-1-[(4-Chlorophenyl)methyl]-2-oxo-2-[4-(2-pyridyl)piperazin-1-yl]ethyl]-(3S)-1,2,3,4-tetrahydroisoquinoline-3-carboxamide 494783-24-3P, N-[(1R)-1-[(4-Chlorophenyl)methyl]-2-oxo-2-[4-(2-pyridyl)piperazin-1-yl]ethyl]-(3S)-1,2,3,4-tetrahydroisoquinoline-3-carboxamide monoacetate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted piperazines as agonists of melanocortin receptors useful against obesity and diabetes)

RN 494783-23-2 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



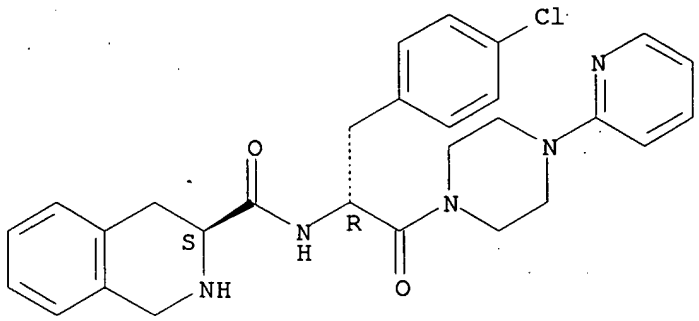
RN 494783-24-3 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]-1,2,3,4-tetrahydro-, (3S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

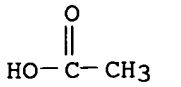
CRN 494783-23-2
CMF C28 H30 Cl N5 O2

Absolute stereochemistry.



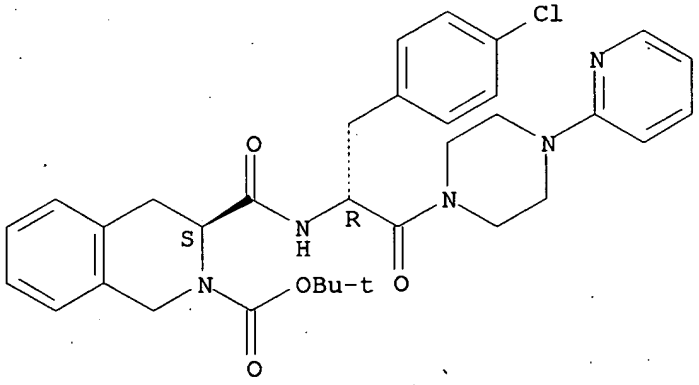
CM 2

CRN 64-19-7
CMF C2 H4 O2



IT 494783-26-5P, tert-Butyl 3-[N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-(2-pyridyl)piperazin-1-yl]ethyl]carbamoyl]-(3S)-1,2,3,4-tetrahydroisoquinoline-2-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted piperazines as agonists of melanocortin receptors useful against obesity and diabetes)
RN 494783-26-5 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3-[[[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]amino]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 35 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2002:964343 CAPLUS
DN 138:29109
TI Preparation of crystal forms of antithrombotic piperazine derivative
IN Engel, Gary Lowell; Diseroad, Benjamin Alan
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002100847	A2	20021219	WO 2002-US16569	20020606
	WO 2002100847	A3	20030821		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG

WO 2001096323 A1 20011220 WO 2001-GB2553 20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

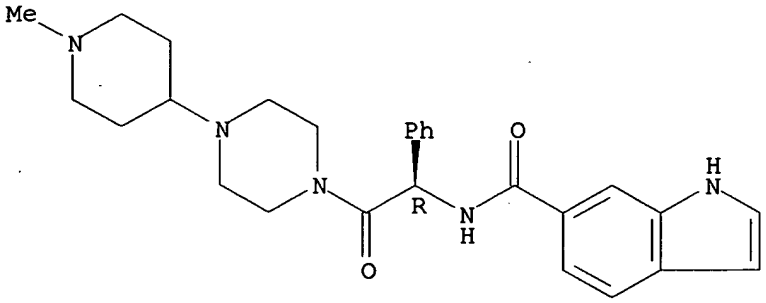
EP 1397348 A2 20040317 EP 2002-778933 20020606
EP 1397348 B1 20050928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004534062 T2 20041111 JP 2003-503615 20020606
AT 305452 E 20051015 AT 2002-778933 20020606
US 2004162295 A1 20040819 US 2003-477192 20031117
PRAI WO 2001-GB2553 W 20010612
US 2001-339295P P 20011212
WO 2000-GB2302 W 20000613
GB 2000-30304 A 20001213
WO 2002-US16569 W 20020606
IT 478279-46-8P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation of crystalline forms of antithrombotic (indolecarbonyl-phenylglycinyl)(methylpiperidinyl)piperazine difumarate)
RN 478279-46-8 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 313489-71-3
CMF C27 H33 N5 O2

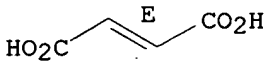
Absolute stereochemistry. Rotation (-).



CM 2

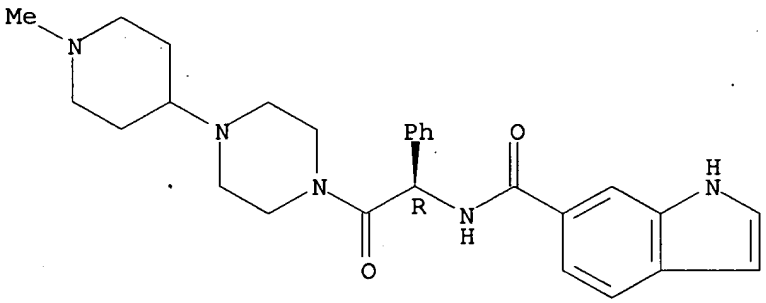
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



IT 313489-71-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of crystalline forms of antithrombotic (indolecarbonyl-phenylglycinyl)(methylpiperidinyl)piperazine difumarate)
RN 313489-71-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L7 ANSWER 40 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:923784 CAPLUS
DN 136:54020
TI Preparation of amino acid derivatives as serine protease inhibitors
IN Liebeschuetz, John Walter; Murray, Christopher William; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott Martin; Engel, David Birenbaum; Watson, Brian Morgan; Guzzo, Peter Robert;

Mayer, Michael John
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 191 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001096323	A1	20011220	WO 2001-GB2553	20010612
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	WO 2000076971	A3	20010802		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2411805	AA	20011220	CA 2001-2411805	20010612
	EP 1289972	A1	20030312	EP 2001-936686	20010612
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	BR 2001011451	A	20030624	BR 2001-11451	20010612
	JP 2004503547	T2	20040205	JP 2002-510466	20010612
	NZ 521896	A	20040730	NZ 2001-521896	20010612
	AT 275554	E	20040915	AT 2001-936686	20010612
	US 2003055246	A1	20030320	US 2002-30187	20020204
	US 6946467	B2	20050920		
	WO 2002100847	A2	20021219	WO 2002-US16569	20020606
	WO 2002100847	A3	20030821		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1397348	A2	20040317	EP 2002-778933	20020606
	EP 1397348	B1	20050928		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004534062	T2	20041111	JP 2003-503615	20020606

	AT 305452	E	20051015	AT 2002-778933	20020606
	ES 2248618	T3	20060316	ES 2002-2778933	20020606
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	HR 20020997	B1	20050228	HR 2002-997	20021212
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	US 2004162295	A1	20040819	US 2003-477192	20031117
	US 2004142963	A1	20040722	US 2004-754923	20040112
	US 6936611	B2	20050830		
	US 2004176363	A1	20040909	US 2004-803157	20040318
PRAI	WO 2000-GB2302	W	20000613		
	GB 2000-30304	A	20001213		
	GB 1999-13823	A	19990614		
	US 1999-142064P	P	19990702		
	GB 1999-18741	A	19990809		
	GB 1999-29553	A	19991214		
	WO 2001-GB2553	W	20010612		
	US 2001-339295P	P	20011212		
	US 2002-30187	A1	20020204		
	WO 2002-US16569	W	20020606		

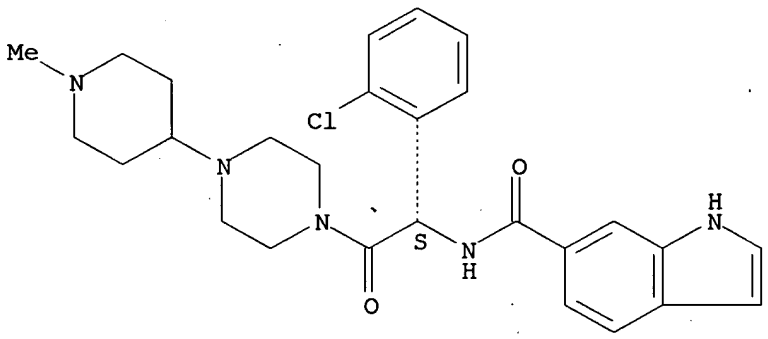
OS MARPAT 136:54020

IT 381722-57-2P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of amino acid derivs. as serine protease inhibitors)

RN 381722-57-2 CAPLUS

CN 1H-Indole-6-carboxamide, N-[(1S)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

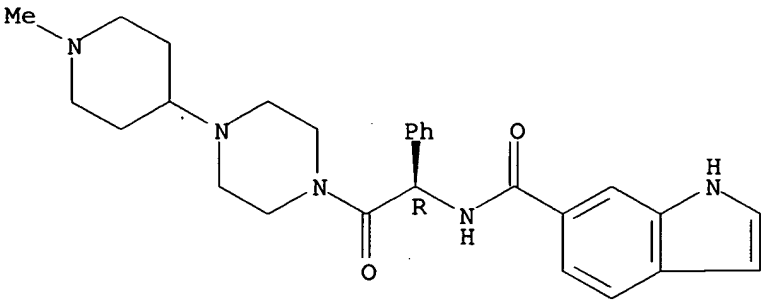


IT 313489-71-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amino acid derivs. as serine protease inhibitors)

RN 313489-71-3 CAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

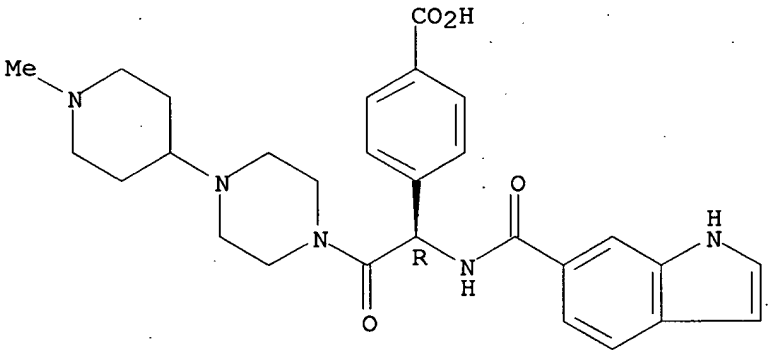


IT 313488-33-4P 313489-72-4P 313489-73-5P
381721-15-9P 381721-16-0P 381721-17-1P
381721-18-2P 381721-19-3P 381721-22-8P
381721-24-0P 381721-26-2P 381721-31-9P
381721-39-7P 381721-40-0P 381721-46-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as serine protease inhibitors)

RN 313488-33-4 CAPLUS

CN Benzoic acid, 4-[(1R)-1-[(1H-indol-6-ylcarbonyl)amino]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

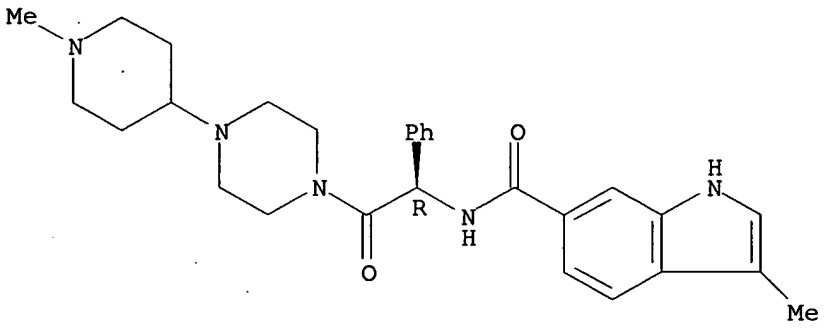
Absolute stereochemistry.



RN 313489-72-4 CAPLUS

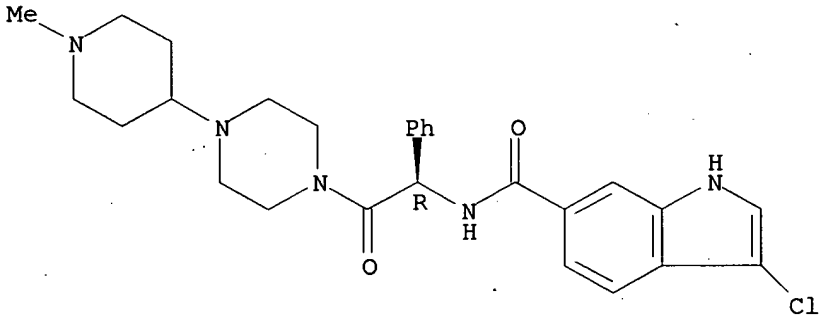
CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

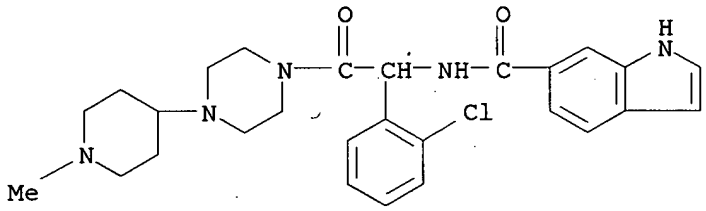


RN 313489-73-5 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



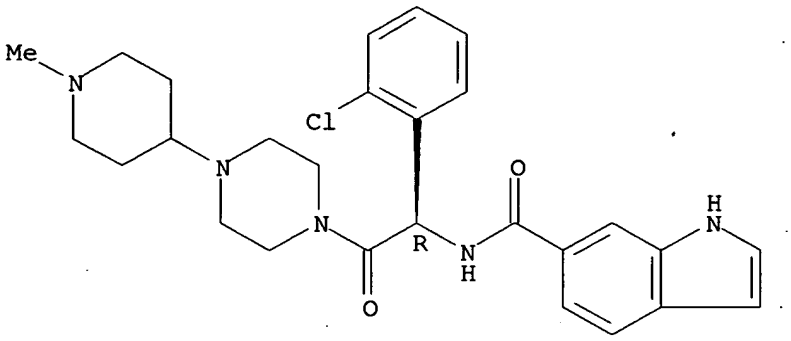
RN 381721-15-9 CAPLUS
CN 1H-Indole-6-carboxamide, N-[1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

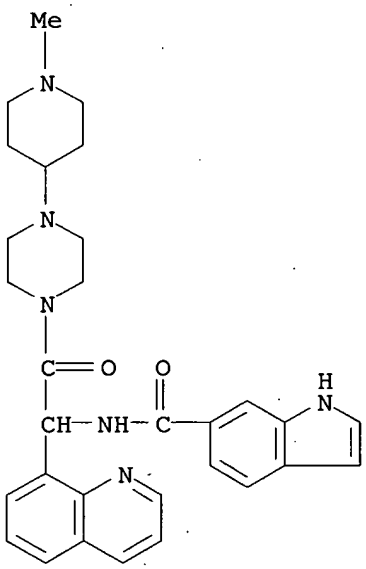
RN 381721-16-0 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



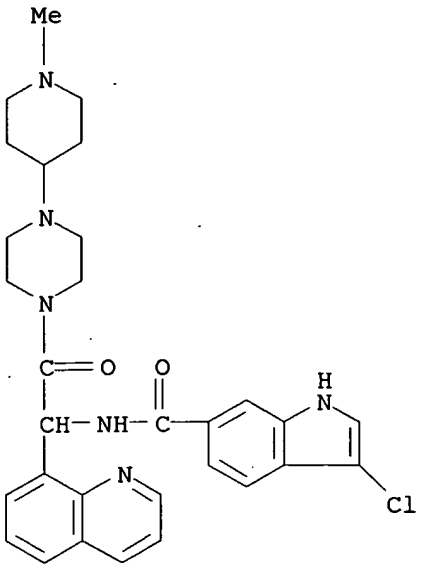
●2 HCl

RN 381721-17-1 CAPLUS
CN 1H-Indole-6-carboxamide, N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-(8-quinolinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



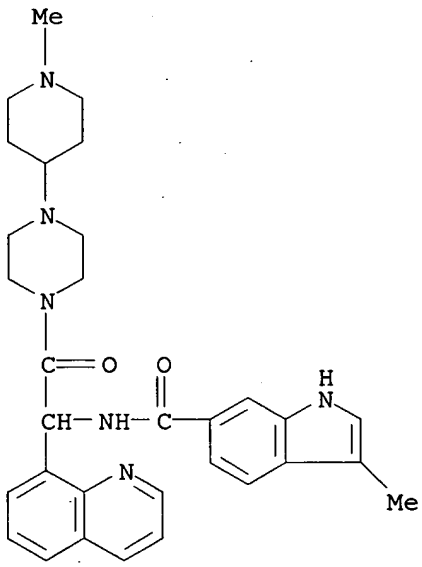
●2 HCl

RN 381721-18-2 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-(8-quinolinyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)



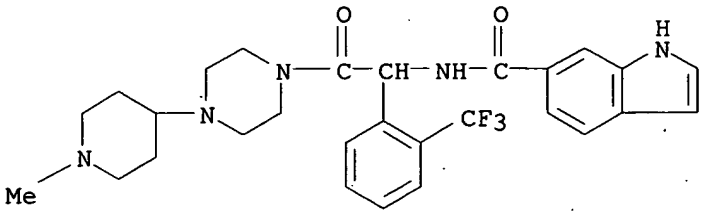
●x HCl

RN 381721-19-3 CAPLUS
CN 1H-Indole-6-carboxamide, 3-methyl-N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-(8-quinolinyl)ethyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

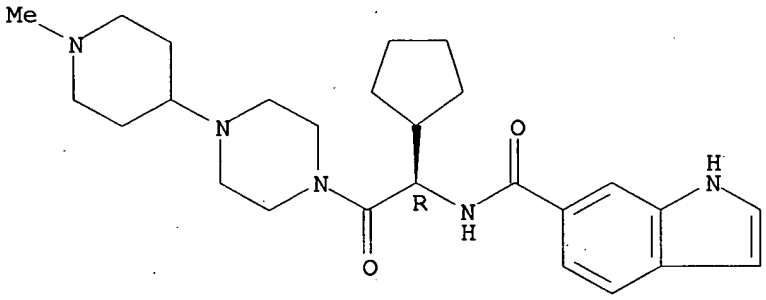
RN 381721-22-8 CAPLUS
CN 1H-Indole-6-carboxamide, N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 381721-24-0 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-cyclopentyl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

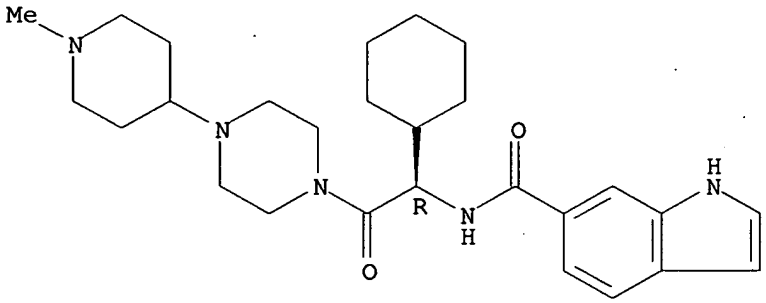
Absolute stereochemistry.



● 2 HCl

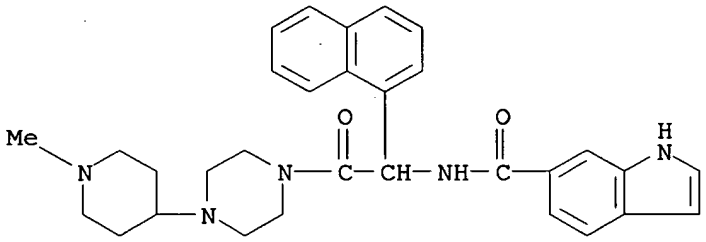
RN 381721-26-2 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-cyclohexyl-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



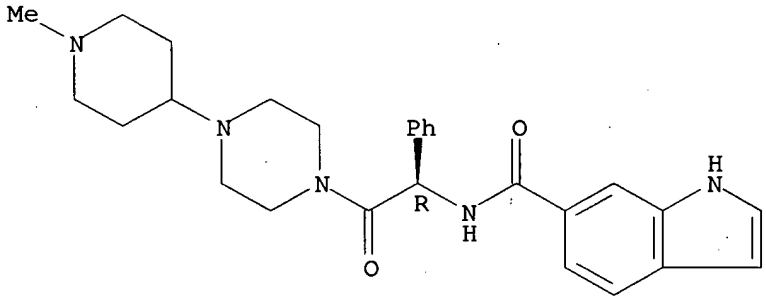
●2 HCl

RN 381721-31-9 CAPLUS
CN 1H-Indole-6-carboxamide, N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-1-(1-naphthalenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



RN 381721-39-7 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



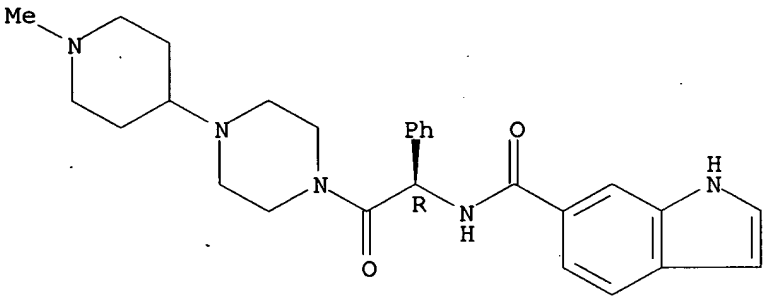
● HCl

RN 381721-40-0 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 313489-71-3
CMF C27 H33 N5 O2

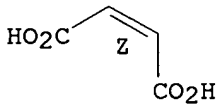
Absolute stereochemistry. Rotation (-).



CM 2

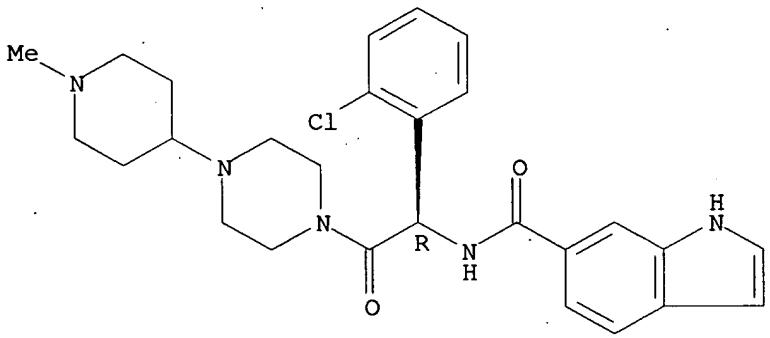
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

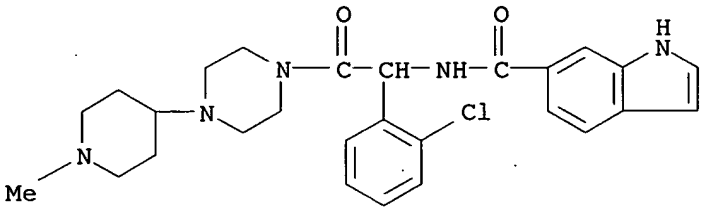


RN 381721-46-6 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 381722-56-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of amino acid derivs. as serine protease inhibitors)
RN 381722-56-1 CAPLUS
CN 1H-Indole-6-carboxamide, N-[1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 44 OF 52 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:900613 CAPLUS
DN 134:56957
TI Preparation of amino acid derivatives as serine protease inhibitors
IN Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Masters, John Joseph; Wiley, Michael John
PA Eli Lilly and Company, USA; Protherics Molecular Design Limited
SO PCT Int. Appl., 350 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076970	A2	20001221	WO 2000-GB2296	20000613
	WO 2000076970	A3	20010719		
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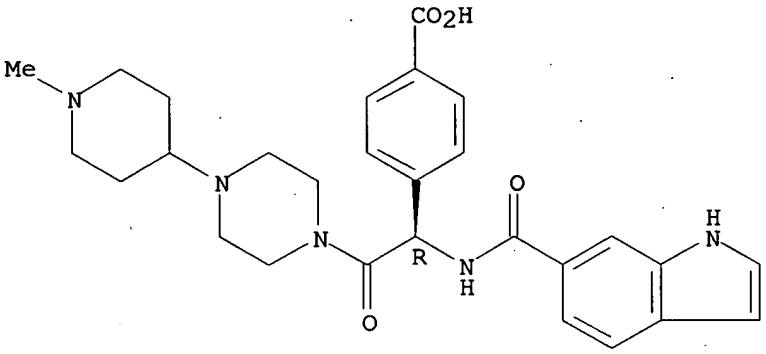
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2383008	AA	20001221	CA 2000-2383008	20000613
	EP 1192135	A2	20020403	EP 2000-938912	20000613
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	GB 1999-13823	A	19990614		
	US 1999-142064P	P	19990702		
	GB 1999-18741	A	19990809		
	GB 1999-29552	A	19991214		
	GB 1999-29553	A	19991214		

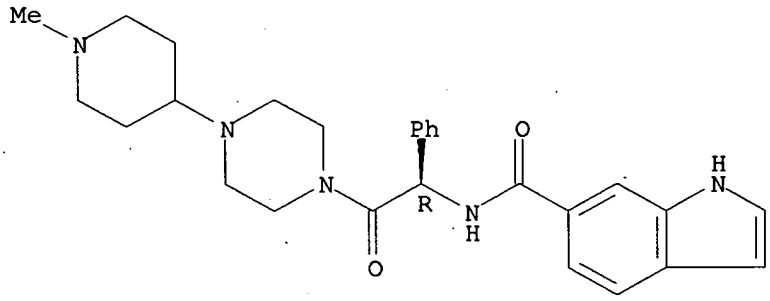
WO 2000-GB2296 W 20000613
OS MARPAT 134:56957
IT 313488-33-4P 313489-71-3P 313489-72-4P
313489-73-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as serine protease inhibitors)
RN 313488-33-4 CAPLUS
CN Benzoic acid, 4-[(1R)-1-[(1H-indol-6-ylcarbonyl)amino]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



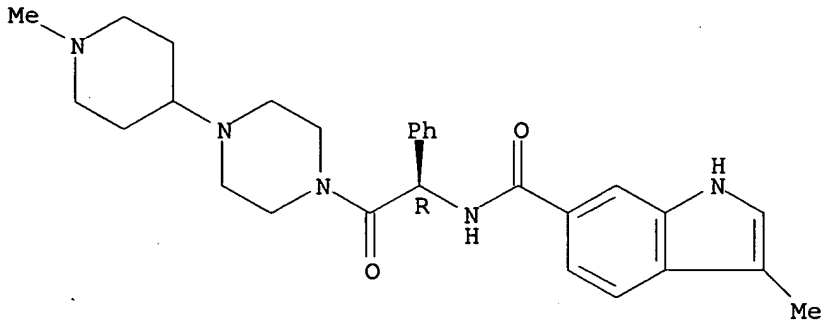
RN 313489-71-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



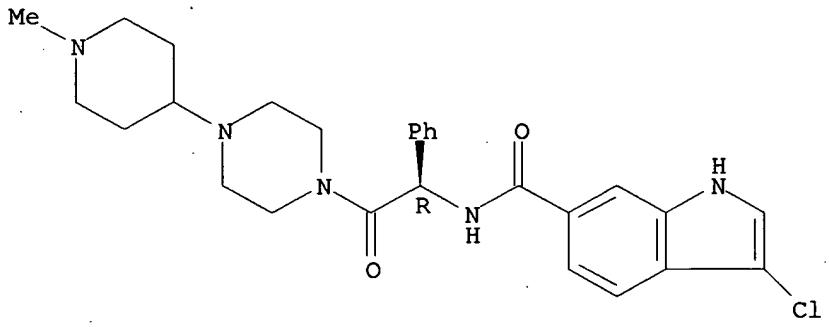
RN 313489-72-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-73-5 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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